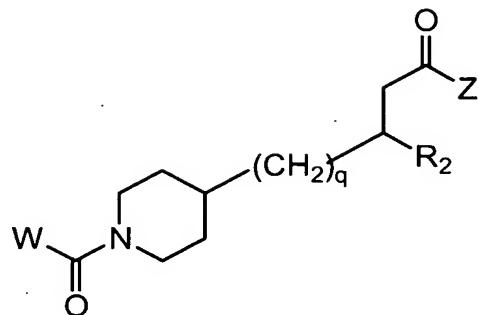


**WHAT IS CLAIMED IS:**

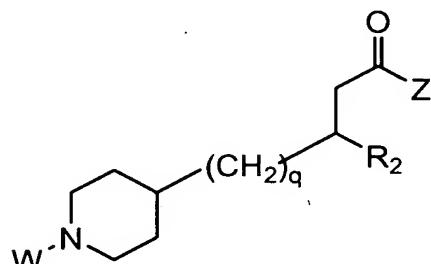
1. A targeting ligand having a formula selected from the group consisting of  
Formula (I) :

5



**Formula (I)**

and Formula (II):



**Formula (II)**

10 wherein

W is selected from the group consisting of  $-C_{0-6}$ alkyl( $R_1$ ),  $-C_{1-6}$ alkyl( $R_{1a}$ ),  
 $-C_{0-6}$ alkyl-aryl( $R_1, R_8$ ),  $-C_{0-6}$ alkyl-heterocyclyl( $R_1, R_8$ ),  $-C_{0-6}$ alkoxy( $R_1$ ),  
 $-C_{0-6}$ alkoxy-aryl( $R_1, R_8$ ), and  $-C_{0-6}$ alkoxy-heterocyclyl( $R_1, R_8$ );

15  $R_1$  is selected from the group consisting of hydrogen,  $-N(R_4)_2$ ,  $-N(R_4)(R_5)$ ,  $-N(R_4)(R_6)$ ,  
 $-heterocyclyl(R_8)$  and  $-heteroaryl(R_8)$ ;

$R_{1a}$  is selected from the group consisting of  $-C(R_4)(=N-R_4)$ ,  $-C(=N-R_4)-N(R_4)_2$ ,  
 $-C(=N-R_4)-N(R_4)(R_6)$ ,  $-C(=N-R_4)-N(R_4)-C(=O)-R_4$ ,  
20  $-C(=N-R_4)-N(R_4)-C(=O)-N(R_4)_2$ ,  $-C(=N-R_4)-N(R_4)-CO_2-R_4$ ,

**PRD-0026 CIP**

-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>7</sub>) and -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>;

R<sub>4</sub> is selected from the group consisting of hydrogen and -C<sub>1-8</sub>alkyl(R<sub>7</sub>);

- 5 R<sub>5</sub> is selected from the group consisting of -C(=O)-R<sub>4</sub>, -C(=O)-N(R<sub>4</sub>)<sub>2</sub>,  
-C(=O)-cycloalkyl(R<sub>8</sub>), -C(=O)-heterocyclyl(R<sub>8</sub>), -C(=O)-aryl(R<sub>8</sub>),  
-C(=O)-heteroaryl(R<sub>8</sub>), -C(=O)-N(R<sub>4</sub>)-cycloalkyl(R<sub>8</sub>), -C(=O)-N(R<sub>4</sub>)-aryl(R<sub>8</sub>),  
-CO<sub>2</sub>-R<sub>4</sub>, -CO<sub>2</sub>-cycloalkyl(R<sub>8</sub>), -CO<sub>2</sub>-aryl(R<sub>8</sub>), -C(R<sub>4</sub>)(=N-R<sub>4</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>,  
-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>,
- 10 -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>,  
-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>7</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>,  
-N(R<sub>4</sub>)-C(R<sub>4</sub>)(=N-R<sub>4</sub>), -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>),  
-N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>,  
-N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>7</sub>),  
15 -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>, -SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>7</sub>), -SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>,  
-SO<sub>2</sub>-cycloalkyl(R<sub>8</sub>) and -SO<sub>2</sub>-aryl(R<sub>8</sub>);

R<sub>6</sub> is selected from the group consisting of -cycloalkyl(R<sub>8</sub>), -heterocyclyl(R<sub>8</sub>), -aryl(R<sub>8</sub>)  
and -heteroaryl(R<sub>8</sub>);

- 20 R<sub>7</sub> is one to two substituents independently selected from the group consisting of  
hydrogen, -C<sub>1-8</sub>alkoxy(R<sub>9</sub>), -NH<sub>2</sub>, -NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -C(=O)H,  
-C(=O)-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>),  
-C(=O)-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -C(=O)-NH-aryl(R<sub>10</sub>), -C(=O)-cycloalkyl(R<sub>10</sub>),  
25 -C(=O)-heterocyclyl(R<sub>10</sub>), -C(=O)-aryl(R<sub>10</sub>), -C(=O)-heteroaryl(R<sub>10</sub>), -CO<sub>2</sub>H,  
-CO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -CO<sub>2</sub>-aryl(R<sub>10</sub>), -C(=NH)-NH<sub>2</sub>, -SH, -S-C<sub>1-8</sub>alkyl(R<sub>9</sub>),  
-S-C<sub>1-8</sub>alkyl-S-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -S-C<sub>1-8</sub>alkyl-C<sub>1-8</sub>alkoxy(R<sub>9</sub>),  
-S-C<sub>1-8</sub>alkyl-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-NH<sub>2</sub>,  
-SO<sub>2</sub>-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -SO<sub>2</sub>-aryl(R<sub>10</sub>), cyano, (halo)<sub>1-3</sub>,
- 30 hydroxy, nitro, oxo, -cycloalkyl(R<sub>10</sub>), -heterocyclyl(R<sub>10</sub>), -aryl(R<sub>10</sub>) and  
-heteroaryl(R<sub>10</sub>);

**PRD-0026 CIP**

R<sub>8</sub> is one to four substituents independently selected from the group consisting of

hydrogen, -C<sub>1-8</sub>alkyl(R<sub>9</sub>), -C(=O)H, -C(=O)-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -C(=O)-NH<sub>2</sub>,  
-C(=O)-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -C(=O)-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -C(=O)-NH-aryl(R<sub>10</sub>),  
-C(=O)-cycloalkyl(R<sub>10</sub>), -C(=O)-heterocyclyl(R<sub>10</sub>), -C(=O)-aryl(R<sub>10</sub>),  
-C(=O)-heteroaryl(R<sub>10</sub>), -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -CO<sub>2</sub>-aryl(R<sub>10</sub>), -C(=NH)-NH<sub>2</sub>,  
-SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>,  
-SO<sub>2</sub>-aryl(R<sub>10</sub>), -cycloalkyl(R<sub>10</sub>) and -aryl(R<sub>10</sub>) when attached to a nitrogen atom;  
and, wherein R<sub>8</sub> is one to four substituents independently selected from the group  
consisting of hydrogen, -C<sub>1-8</sub>alkyl(R<sub>9</sub>), -C<sub>1-8</sub>alkoxy(R<sub>9</sub>), -O-cycloalkyl(R<sub>10</sub>),  
-O-aryl(R<sub>10</sub>), -C(=O)H, -C(=O)-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -NHC(=O)-C<sub>1-8</sub>alkyl(R<sub>9</sub>),  
-C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -C(=O)-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>,  
-C(=O)-NH-aryl(R<sub>10</sub>), -NHC(=O)-NH<sub>2</sub>, -NHC(=O)-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>),  
-NHC(=O)-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -NHC(=O)-NH-aryl(R<sub>10</sub>),  
-NHC(=O)-O-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -NHC(=O)-O-aryl(R<sub>10</sub>), -C(=O)-cycloalkyl(R<sub>10</sub>),  
-C(=O)-heterocyclyl(R<sub>10</sub>), -C(=O)-aryl(R<sub>10</sub>), -C(=O)-heteroaryl(R<sub>10</sub>),  
-NHC(=O)-cycloalkyl(R<sub>10</sub>), -NHC(=O)-heterocyclyl(R<sub>10</sub>), -NHC(=O)-aryl(R<sub>10</sub>),  
-NHC(=O)-heteroaryl(R<sub>10</sub>), -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -CO<sub>2</sub>-aryl(R<sub>10</sub>),  
-C(=NH)-NH<sub>2</sub>, -SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>),  
-SO<sub>2</sub>-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -SO<sub>2</sub>-aryl(R<sub>10</sub>), -NHSO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -NHSO<sub>2</sub>-aryl(R<sub>10</sub>),  
-SH, -S-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -S-C<sub>1-8</sub>alkyl-S-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -S-C<sub>1-8</sub>alkyl-C<sub>1-8</sub>alkoxy(R<sub>9</sub>),  
-S-C<sub>1-8</sub>alkyl-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -NH<sub>2</sub>, -NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, cyano,  
halo, hydroxy, nitro, oxo, -cycloalkyl(R<sub>10</sub>), -heterocyclyl(R<sub>10</sub>), -aryl(R<sub>10</sub>), and  
-heteroaryl(R<sub>10</sub>) when attached to a carbon atom;

25 R<sub>9</sub> is selected from the group consisting of hydrogen, -C<sub>1-8</sub>alkoxy, -NH<sub>2</sub>, -NH-C<sub>1-8</sub>alkyl,  
-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -C(=O)H, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-8</sub>alkyl, -C(=O)-N(C<sub>1-8</sub>alkyl)<sub>2</sub>,  
-CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-8</sub>alkyl, -SO<sub>2</sub>-C<sub>1-8</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-8</sub>alkyl,  
-SO<sub>2</sub>-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, cyano, (halo)<sub>1-3</sub>, hydroxy, nitro and oxo;

30 R<sub>10</sub> is one to four substituents independently selected from the group consisting of  
hydrogen, -C<sub>1-8</sub>alkyl, -C(=O)H, -C(=O)-C<sub>1-8</sub>alkyl, -C(=O)-NH<sub>2</sub>,  
-C(=O)-NH-C<sub>1-8</sub>alkyl, -C(=O)-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl,

**PRD-0026 CIP**

-SO<sub>2</sub>-C<sub>1-8</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-8</sub>alkyl and -SO<sub>2</sub>-N(C<sub>1-8</sub>alkyl)<sub>2</sub> when attached to a nitrogen atom; and, wherein R<sub>10</sub> is one to four substituents independently selected from the group consisting of hydrogen, -C<sub>1-8</sub>alkyl, -C<sub>1-8</sub>alkoxy, -C(=O)H, -C(=O)-C<sub>1-8</sub>alkyl, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-8</sub>alkyl, -C(=O)-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-C<sub>1-8</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-8</sub>alkyl, -SO<sub>2</sub>-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -NH<sub>2</sub>, -NH-C<sub>1-8</sub>alkyl, -N(C<sub>1-8</sub>alkyl)<sub>2</sub>, cyano, halo, hydroxy, nitro and oxo when attached to a carbon atom;

q is 0, 1, 2, or 3;

10

R<sub>2a</sub> is selected from the group consisting of -C<sub>1-8</sub>alkyl(R<sub>7</sub>)(R<sub>11</sub>), -C<sub>2-8</sub>alkenyl(R<sub>7</sub>)(R<sub>11</sub>), -C<sub>2-8</sub>alkynyl(R<sub>7</sub>)(R<sub>11</sub>), -cycloalkyl(R<sub>7</sub>)(R<sub>11</sub>), -heterocyclyl(R<sub>8</sub>)(R<sub>12</sub>), -aryl(R<sub>8</sub>)(R<sub>12</sub>) and -heteroaryl(R<sub>8</sub>)(R<sub>12</sub>);

15

R<sub>11</sub> is selected from the group consisting of -C<sub>1-8</sub>alkyl(R<sub>14</sub>),

-O-C<sub>1-8</sub>alkyl(R<sub>14</sub>), -NH-C<sub>1-8</sub>alkyl(R<sub>14</sub>), -S-C<sub>1-8</sub>alkyl(R<sub>14</sub>), -C(=O)C<sub>1-8</sub>alkyl(R<sub>14</sub>),  
-O-C(=O)C<sub>1-8</sub>alkyl(R<sub>14</sub>), -NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>14</sub>), -C(=O)OC<sub>1-8</sub>alkyl(R<sub>14</sub>),  
-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>14</sub>), -O-C(=O)OC<sub>1-8</sub>alkyl(R<sub>14</sub>),  
-O-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>14</sub>), -NH-C(=O)OC<sub>1-8</sub>alkyl(R<sub>14</sub>),  
-NH-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>14</sub>), -C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),  
-O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -NH-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),  
-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -O-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),  
-NH-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),  
-O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),

25

-OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
-NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
-SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
-OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
-NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),

30

-SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
-OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
-OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),

**PRD-0026 CIP**

- OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- 5 -SO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- C(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- 10 -OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- SO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>), and
- 15 -SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>);

R<sub>12</sub> is selected from the group consisting of -C<sub>1-8</sub>alkyl(R<sub>14</sub>), -O-C<sub>1-8</sub>alkyl(R<sub>14</sub>),

- NH-C<sub>1-8</sub>alkyl(R<sub>14</sub>), -S-C<sub>1-8</sub>alkyl(R<sub>14</sub>), -CH<sub>2</sub>O-C<sub>1-8</sub>alkyl(R<sub>14</sub>),
- CH<sub>2</sub>NH-C<sub>1-8</sub>alkyl(R<sub>14</sub>), -CH<sub>2</sub>S-C<sub>1-8</sub>alkyl(R<sub>14</sub>), -C(=O)C<sub>1-8</sub>alkyl(R<sub>14</sub>),
- 20 -O-C(=O)C<sub>1-8</sub>alkyl(R<sub>14</sub>), -NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>14</sub>),
- CH<sub>2</sub>O-C(=O)C<sub>1-8</sub>alkyl(R<sub>14</sub>), -CH<sub>2</sub>NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>14</sub>),
- C(=O)OC<sub>1-8</sub>alkyl(R<sub>14</sub>), -C(=O)NHC<sub>1-8</sub>alkyl(R<sub>14</sub>),
- O-C(=O)OC<sub>1-8</sub>alkyl(R<sub>14</sub>), -O-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>14</sub>),
- NH-C(=O)OC<sub>1-8</sub>alkyl(R<sub>14</sub>), -NH-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>14</sub>),
- 25 -CH<sub>2</sub>O-C(=O)OC<sub>1-8</sub>alkyl(R<sub>14</sub>), -CH<sub>2</sub>O-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>14</sub>),
- CH<sub>2</sub>NH-C(=O)OC<sub>1-8</sub>alkyl(R<sub>14</sub>), -CH<sub>2</sub>NH-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>14</sub>),
- C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),
- NH-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -CH<sub>2</sub>O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),
- CH<sub>2</sub>NH-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),
- 30 -O-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -NH-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),
- CH<sub>2</sub>O-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -CH<sub>2</sub>NH-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),
- C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),

**PRD-0026 CIP**

- NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -CH<sub>2</sub>O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),
- CH<sub>2</sub>NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),
- OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- 5 -SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- 10 -OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- 15 -SO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- CH<sub>2</sub>SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- 20 -CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- CH<sub>2</sub>SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- CH<sub>2</sub>OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- CH<sub>2</sub>OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- 25 -CH<sub>2</sub>OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- CH<sub>2</sub>NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- CH<sub>2</sub>NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- CH<sub>2</sub>NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- C(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- 30 -OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),

**PRD-0026 CIP**

-NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
-NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
-NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
-SO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
5 -SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
-CH<sub>2</sub>OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
-CH<sub>2</sub>OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
-CH<sub>2</sub>OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
-CH<sub>2</sub>NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
10 -CH<sub>2</sub>NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>), and  
-CH<sub>2</sub>NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>);

R<sub>14</sub>when R<sub>11</sub> and R<sub>12</sub> terminates with a C(=O)is selected from the group consisting of hydrogen, OH, , -OC<sub>1-4</sub>alkyl and NH<sub>2</sub>; otherwise R<sub>14</sub>is selected from the group 15 consisting of -OH, -SH, COOH, and -COOC<sub>1-4</sub>alkyl;

Z is selected from the group consisting of hydroxy, -NH<sub>2</sub>, -NH-C<sub>1-8</sub>alkyl,  
-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -O-C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-OH, -O-C<sub>1-8</sub>alkylC<sub>1-8</sub>alkoxy, -O-C<sub>1-8</sub>alkylcarbonylC<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-CO<sub>2</sub>H, -O-C<sub>1-8</sub>alkyl-C(O)O-C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-O-C(O)C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-NH<sub>2</sub>, -O-C<sub>1-8</sub>alkyl-NH-C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -O-C<sub>1-8</sub>alkylamide, -O-C<sub>1-8</sub>alkyl-C(O)-NH-C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-C(O)-N(C<sub>1-8</sub>alkyl)<sub>2</sub> and -NHC(O)C<sub>1-8</sub>alkyl;

and pharmaceutically acceptable salts, racemic mixtures and enantiomers thereof.

- 25 2. The targeting ligand of claim 1 wherein W is selected from the group consisting of -C<sub>0-4</sub>alkyl(R<sub>1</sub>) and -C<sub>0-4</sub>alkyl-aryl(R<sub>1</sub>,R<sub>8</sub>).
3. The targeting ligand of claim 1 wherein W is -C<sub>0-4</sub>alkyl(R<sub>1</sub>) or  
30 -C<sub>0-4</sub>alkyl-phenyl(R<sub>1</sub>,R<sub>8</sub>).
4. The targeting ligand of claim 1 wherein R<sub>1</sub> is selected from the group consisting

of -N(R<sub>4</sub>)(R<sub>6</sub>), -heterocyclyl(R<sub>8</sub>) and -heteroaryl(R<sub>8</sub>).

5. The targeting ligand of claim 1 wherein R<sub>1</sub> is selected from the group consisting of -N(R<sub>4</sub>)(R<sub>6</sub>), -dihydro-1*H*-pyrrolo[2,3-*b*]pyridinyl(R<sub>8</sub>),

-tetrahydropyrimidinyl(R<sub>8</sub>), -tetrahydro-1,8-naphthyridinyl(R<sub>8</sub>),  
-tetrahydro-1*H*-azepino[2,3-*b*]pyridinyl(R<sub>8</sub>) and -pyridinyl(R<sub>8</sub>).

10. The targeting ligand of claim 1 wherein R<sub>1</sub> is selected from the group consisting of -N(R<sub>4</sub>)(R<sub>6</sub>), -tetrahydropyrimidinyl(R<sub>8</sub>) and

-tetrahydro-1,8-naphthyridinyl(R<sub>8</sub>).

15. The targeting ligand of claim 1 wherein R<sub>1a</sub> is selected from the group consisting of -C(R<sub>4</sub>)=(=N-R<sub>4</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>),  
-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>,  
-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>) and  
-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>.

20. The targeting ligand of claim 1 wherein R<sub>4</sub> is selected from the group consisting of hydrogen and -C<sub>1-4</sub>alkyl(R<sub>7</sub>).

25. The targeting ligand of claim 1 wherein R<sub>4</sub> is hydrogen.

10. The targeting ligand of claim 1 wherein R<sub>5</sub> is selected from the group consisting of -C(=O)-R<sub>4</sub>, -C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -C(=O)-cycloalkyl(R<sub>8</sub>), -C(=O)-heterocyclyl(R<sub>8</sub>),

-C(=O)-aryl(R<sub>8</sub>), -C(=O)-heteroaryl(R<sub>8</sub>), -C(=O)-N(R<sub>4</sub>)-cycloalkyl(R<sub>8</sub>),  
-C(=O)-N(R<sub>4</sub>)-aryl(R<sub>8</sub>), -CO<sub>2</sub>-R<sub>4</sub>, -CO<sub>2</sub>-cycloalkyl(R<sub>8</sub>), -CO<sub>2</sub>-aryl(R<sub>8</sub>),

-C(R<sub>4</sub>)=(=N-R<sub>4</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>),

-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>,

-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>),

-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>, -N(R<sub>4</sub>)-C(R<sub>4</sub>)=(=N-R<sub>4</sub>), -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>,

-N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>,

-N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>,

**PRD-0026 CIP**

-N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>),  
-N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>, -SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>), -SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>,  
-SO<sub>2</sub>-cycloalkyl(R<sub>8</sub>) and -SO<sub>2</sub>-aryl(R<sub>8</sub>).

- 5        11. The targeting ligand of claim 1 wherein R<sub>5</sub> is selected from the group consisting of -C(=O)-R<sub>4</sub>, -C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -CO<sub>2</sub>-R<sub>4</sub>, -C(R<sub>4</sub>)(=N-R<sub>4</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>,  
-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -N(R<sub>4</sub>)-C(R<sub>4</sub>)(=N-R<sub>4</sub>), -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>,  
-N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>) and -SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>.
- 10      12. The targeting ligand of claim 1 wherein R<sub>6</sub> is selected from the group consisting of -heterocyclyl(R<sub>8</sub>) and -heteroaryl(R<sub>8</sub>).
- 15      13. The targeting ligand of claim 1 wherein R<sub>6</sub> is selected from the group consisting of -dihydroimidazolyl(R<sub>8</sub>), -tetrahydropyridinyl(R<sub>8</sub>), -tetrahydropyrimidinyl(R<sub>8</sub>) and -pyridinyl(R<sub>8</sub>).
- 20      14. The targeting ligand of claim 1 wherein R<sub>7</sub> is one to two substituents independently selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkoxy(R<sub>9</sub>),  
-NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -C(=O)H, -C(=O)-C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
-C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C(=O)-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>,  
-C(=O)-NH-aryl(R<sub>10</sub>), -C(=O)-cycloalkyl(R<sub>10</sub>), -C(=O)-heterocyclyl(R<sub>10</sub>),  
-C(=O)-aryl(R<sub>10</sub>), -C(=O)-heteroaryl(R<sub>10</sub>), -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
-CO<sub>2</sub>-aryl(R<sub>10</sub>), -C(=NH)-NH<sub>2</sub>, -SH, -S-C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
-S-C<sub>1-4</sub>alkyl-S-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -S-C<sub>1-4</sub>alkyl-C<sub>1-4</sub>alkoxy(R<sub>9</sub>),  
-S-C<sub>1-4</sub>alkyl-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-NH<sub>2</sub>,  
-SO<sub>2</sub>-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -SO<sub>2</sub>-aryl(R<sub>10</sub>), cyano,  
(halo)<sub>1-3</sub>, hydroxy, nitro, oxo, -cycloalkyl(R<sub>10</sub>), -heterocyclyl(R<sub>10</sub>), -aryl(R<sub>10</sub>)  
and -heteroaryl(R<sub>10</sub>).
- 25      15. The targeting ligand of claim 1 wherein R<sub>7</sub> is one to two substituents independently selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkoxy(R<sub>9</sub>),  
-NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, (halo)<sub>1-3</sub>, hydroxy and oxo.

16. The targeting ligand of claim 1 wherein R<sub>7</sub> is hydrogen.
17. The targeting ligand of claim 1 wherein R<sub>8</sub> is one to four substituents  
5 independently selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
-C(=O)H, -C(=O)-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
-C(=O)-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -C(=O)-NH-aryl(R<sub>10</sub>), -C(=O)-cycloalkyl(R<sub>10</sub>),  
-C(=O)-heterocyclyl(R<sub>10</sub>), -C(=O)-aryl(R<sub>10</sub>), -C(=O)-heteroaryl(R<sub>10</sub>), -CO<sub>2</sub>H,  
-CO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -CO<sub>2</sub>-aryl(R<sub>10</sub>), -C(=NH)-NH<sub>2</sub>, -SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
10 -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -SO<sub>2</sub>-aryl(R<sub>10</sub>),  
-cycloalkyl(R<sub>10</sub>) and -aryl(R<sub>10</sub>) when attached to a nitrogen atom; and, wherein  
R<sub>8</sub> is one to four substituents independently selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C<sub>1-4</sub>alkoxy(R<sub>9</sub>), -O-cycloalkyl(R<sub>10</sub>), -O-aryl(R<sub>10</sub>),  
-C(=O)H, -C(=O)-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
15 -C(=O)-N(C<sub>1-4</sub>alkyl-R<sub>11</sub>)<sub>2</sub>, -C(=O)-NH-aryl(R<sub>10</sub>), -C(=O)-cycloalkyl(R<sub>10</sub>),  
-C(=O)-heterocyclyl(R<sub>10</sub>), -C(=O)-aryl(R<sub>10</sub>), -C(=O)-heteroaryl(R<sub>10</sub>), -CO<sub>2</sub>H,  
-CO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -CO<sub>2</sub>-aryl(R<sub>10</sub>), -C(=NH)-NH<sub>2</sub>, -SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
-SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -SO<sub>2</sub>-aryl(R<sub>10</sub>),  
-SH, -S-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -S-C<sub>1-4</sub>alkyl-S-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -S-C<sub>1-4</sub>alkyl-C<sub>1-4</sub>alkoxy(R<sub>9</sub>),  
20 -S-C<sub>1-4</sub>alkyl-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>,  
cyano, halo, hydroxy, nitro, oxo, -cycloalkyl(R<sub>10</sub>), -heterocyclyl(R<sub>10</sub>), -aryl(R<sub>10</sub>)  
and -heteroaryl(R<sub>10</sub>) when attached to a carbon atom.
18. The targeting ligand of claim 1 wherein R<sub>8</sub> is one to four substituents  
25 independently selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
-C(=O)H, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C(=O)-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>,  
-CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>) and -SO<sub>2</sub>-NH<sub>2</sub> when attached to a nitrogen atom;  
and, wherein R<sub>8</sub> is one to four substituents independently selected from the  
group consisting of hydrogen, -C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C<sub>1-4</sub>alkoxy(R<sub>9</sub>), -O-aryl(R<sub>10</sub>),  
-C(=O)H, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C(=O)-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>,  
30 -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-NH<sub>2</sub>, -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, cyano, halo, hydroxy, nitro and oxo when attached to a

carbon atom.

19. The targeting ligand of claim 1 wherein R<sub>8</sub> is one to four substituents independently selected from the group consisting of hydrogen and -C<sub>1-4</sub>alkyl(R<sub>9</sub>) when attached to a nitrogen atom; and, wherein R<sub>8</sub> is one to four substituents independently selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C<sub>1-4</sub>alkoxy(R<sub>9</sub>), -O-aryl(R<sub>10</sub>), -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, halo, hydroxy and oxo when attached to a carbon atom.  
5
- 10 20. The targeting ligand of claim 1 wherein R<sub>8</sub> is one to four substituents independently selected from the group consisting of hydrogen and -C<sub>1-4</sub>alkyl(R<sub>9</sub>) when attached to a nitrogen atom; and, wherein R<sub>8</sub> is one to four substituents independently selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C<sub>1-4</sub>alkoxy(R<sub>9</sub>), -O-aryl(R<sub>10</sub>) and hydroxy when attached to a carbon atom.  
15
21. The targeting ligand of claim 1 wherein R<sub>9</sub> is selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkoxy, -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl, -N(C<sub>1-4</sub>alkyl)<sub>2</sub>, -C(=O)H, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl, -C(=O)-N(C<sub>1-4</sub>alkyl)<sub>2</sub>, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-N(C<sub>1-4</sub>alkyl)<sub>2</sub>, cyano, (halo)<sub>1-3</sub>, hydroxy, nitro and oxo.  
20
22. The targeting ligand of claim 1 wherein R<sub>9</sub> is selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkoxy, -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl, -N(C<sub>1-4</sub>alkyl)<sub>2</sub>, -C(=O)H, -CO<sub>2</sub>H, -C(=O)-C<sub>1-4</sub>alkoxy, (halo)<sub>1-3</sub>, hydroxy and oxo.  
25
23. The targeting ligand of claim 1 wherein R<sub>9</sub> is selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkoxy, -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl, -N(C<sub>1-4</sub>alkyl)<sub>2</sub>, (halo)<sub>1-3</sub> and hydroxy.  
30
24. The targeting ligand claim 1 wherein R<sub>10</sub> is one to four substituents independently selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkyl,

**PRD-0026 CIP**

-C(=O)H, -C(=O)-C<sub>1-4</sub>alkyl, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl,  
-C(=O)-N(C<sub>1-4</sub>alkyl)<sub>2</sub>, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>,  
-SO<sub>2</sub>-NH-C<sub>1-4</sub>alkyl and -SO<sub>2</sub>-N(C<sub>1-4</sub>alkyl)<sub>2</sub> when attached to a nitrogen atom;  
and, wherein R<sub>10</sub> is one to four substituents independently selected from the  
group consisting of hydrogen, -C<sub>1-4</sub>alkyl, -C<sub>1-4</sub>alkoxy, -C(=O)H,  
-C(=O)-C<sub>1-4</sub>alkyl, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl, -C(=O)-N(C<sub>1-4</sub>alkyl)<sub>2</sub>,  
-CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-4</sub>alkyl,  
-SO<sub>2</sub>-N(C<sub>1-4</sub>alkyl)<sub>2</sub>, -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl, -N(C<sub>1-4</sub>alkyl)<sub>2</sub>, cyano, halo, hydroxy,  
nitro and oxo when attached to a carbon atom.

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25. The targeting ligand of claim 1 wherein (R<sub>10</sub>)<sub>1-4</sub> is selected from the group  
consisting of hydrogen, -C<sub>1-4</sub>alkyl, -C<sub>1-4</sub>alkoxy, -C(=O)H, -C(=O)-C<sub>1-4</sub>alkyl,  
-CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl, -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl, -N(C<sub>1-4</sub>alkyl)<sub>2</sub>, halo, hydroxy,  
nitro and oxo when attached to a carbon atom.

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26. The targeting ligand of claim 1 wherein R<sub>10</sub> is hydrogen.
27. The targeting ligand of claim 1 wherein R<sub>2a</sub> is selected from the group  
consisting of -C<sub>1-4</sub>alkyl (R<sub>7</sub>)(R<sub>11</sub>), -C<sub>2-4</sub>alkenyl(R<sub>7</sub>)(R<sub>11</sub>), -C<sub>2-4</sub>alkynyl(R<sub>7</sub>)(R<sub>11</sub>),  
-cycloalkyl(R<sub>7</sub>)(R<sub>11</sub>), -heterocyclyl(R<sub>8</sub>)(R<sub>12</sub>), -aryl(R<sub>8</sub>)(R<sub>12</sub>), and  
-heteroaryl(R<sub>8</sub>)(R<sub>12</sub>).

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28. The targeting ligand of claim 1 wherein R<sub>2a</sub> is selected from the group  
consisting of -cycloalkyl(R<sub>7</sub>)(R<sub>11</sub>), -heterocyclyl(R<sub>8</sub>)(R<sub>12</sub>), -aryl(R<sub>8</sub>)(R<sub>12</sub>), and  
-heteroaryl(R<sub>8</sub>)(R<sub>11</sub>).
29. The targeting ligand of claim 1 wherein R<sub>2a</sub> is selected from the group  
consisting of -cycloalkyl(R<sub>7</sub>)(R<sub>11</sub>), -heterocyclyl(R<sub>8</sub>)(R<sub>12</sub>), -phenyl(R<sub>8</sub>)(R<sub>12</sub>),  
-naphthalenyl(R<sub>8</sub>)(R<sub>12</sub>), and -heteroaryl(R<sub>8</sub>)(R<sub>11</sub>).
30. The targeting ligand claim 1 wherein R<sub>2a</sub> is selected from the group consisting  
of -tetrahydropyrimidinyl(R<sub>8</sub>)(R<sub>12</sub>), -1,3-benzodioxolyl(R<sub>8</sub>)(R<sub>12</sub>),

**PRD-0026 CIP**

-dihydrobenzofuranyl(R<sub>8</sub>)(R<sub>12</sub>), -tetrahydroquinolinyl(R<sub>8</sub>)(R<sub>12</sub>),  
-phenyl(R<sub>8</sub>)(R<sub>12</sub>), -naphthalenyl(R<sub>8</sub>)(R<sub>12</sub>), -pyridinyl(R<sub>8</sub>)(R<sub>12</sub>),  
-pyrimidinyl(R<sub>8</sub>)(R<sub>12</sub>), and -quinolinyl(R<sub>8</sub>)(R<sub>12</sub>).

- 5        31. The targeting ligand of claim 1 wherein R<sub>11</sub> is selected from the group consisting of -C<sub>1-8</sub>alkyl(R<sub>14</sub>), -O-C<sub>1-8</sub>alkyl(R<sub>14</sub>), -NH-C<sub>1-8</sub>alkyl(R<sub>14</sub>),  
-S-C<sub>1-8</sub>alkyl(R<sub>14</sub>), -C(=O)C<sub>1-8</sub>alkyl(R<sub>14</sub>), -O-C(=O)C<sub>1-8</sub>alkyl(R<sub>14</sub>),  
-NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>14</sub>), -C(=O)OC<sub>1-8</sub>alkyl(R<sub>14</sub>), -C(=O)NHC<sub>1-8</sub>alkyl(R<sub>14</sub>),  
-O-C(=O)OC<sub>1-8</sub>alkyl(R<sub>14</sub>), -O-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>14</sub>),  
-O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),  
-NH-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),  
-O-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -NH-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),  
-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),  
-NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),  
15      -SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
-NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
-SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
-C(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
-OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
20      -OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
-NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
and -SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>).  
  
25      32. The targeting ligand of claim 1 wherein R<sub>11</sub> is selected from the group consisting of -C<sub>1-8</sub>alkyl(R<sub>14</sub>), -O-C<sub>1-8</sub>alkyl(R<sub>14</sub>), -NH-C<sub>1-8</sub>alkyl(R<sub>14</sub>),  
-S-C<sub>1-8</sub>alkyl(R<sub>14</sub>), -C(=O)C<sub>1-8</sub>alkyl(R<sub>14</sub>), -O-C(=O)C<sub>1-8</sub>alkyl(R<sub>14</sub>),  
-NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>14</sub>), -C(=O)OC<sub>1-8</sub>alkyl(R<sub>14</sub>), -C(=O)NHC<sub>1-8</sub>alkyl(R<sub>14</sub>),  
-O-C(=O)OC<sub>1-8</sub>alkyl(R<sub>14</sub>), -O-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>14</sub>),  
-O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -NH-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),  
30      -C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -O-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),  
-NH-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),  
-O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), and -NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>).

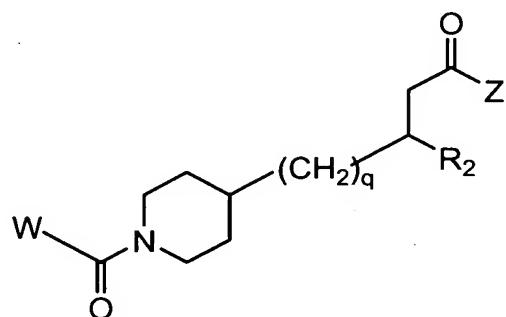
33. The targeting ligand of claim 1 wherein R<sub>12</sub> is selected from the group consisting of -C<sub>1-6</sub>alkyl(R<sub>14</sub>), -O-C<sub>1-6</sub>alkyl(R<sub>14</sub>),  
 5 -NH-C<sub>1-4</sub>alkyl(R<sub>14</sub>), -S-C<sub>1-6</sub>alkyl(R<sub>14</sub>), -CH<sub>2</sub>O-C<sub>1-6</sub>alkyl(R<sub>14</sub>),  
 -CH<sub>2</sub>NH-C<sub>1-6</sub>alkyl(R<sub>14</sub>), -CH<sub>2</sub>S-C<sub>1-6</sub>alkyl(R<sub>14</sub>), -C(=O)C<sub>1-6</sub>alkyl(R<sub>14</sub>),  
 -O-C(=O)C<sub>1-6</sub>alkyl(R<sub>14</sub>), -NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>14</sub>),  
 -CH<sub>2</sub>O-C(=O)C<sub>1-8</sub>alkyl(R<sub>14</sub>), -CH<sub>2</sub>NH-C(=O)C<sub>1-6</sub>alkyl(R<sub>14</sub>),  
 10 -C(=O)OC<sub>1-6</sub>alkyl(R<sub>14</sub>), -C(=O)NHC<sub>1-6</sub>alkyl(R<sub>14</sub>),  
 -O-C(=O)OC<sub>1-6</sub>alkyl(R<sub>14</sub>), -O-C(=O)NHC<sub>1-6</sub>alkyl(R<sub>14</sub>),  
 -NH-C(=O)OC<sub>1-6</sub>alkyl(R<sub>14</sub>), -NH-C(=O)NHC<sub>1-6</sub>alkyl(R<sub>14</sub>),  
 -NH-C(=O)C<sub>1-6</sub>alkylC(=O)(R<sub>14</sub>), -CH<sub>2</sub>O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),  
 15 -NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -CH<sub>2</sub>O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),  
 -CH<sub>2</sub>NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),  
 -OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
 -NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
 20 -SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
 -OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
 -NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
 -OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
 25 -NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
 -NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
 -NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
 -SO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
 -SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
 30 -CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
 -CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
 -CH<sub>2</sub>SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),  
 -CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
 -OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
 -NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
 -NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
 -NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),

**PRD-0026 CIP**

-CH<sub>2</sub>OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
-CH<sub>2</sub>NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),  
-CH<sub>2</sub>NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>), and  
-CH<sub>2</sub>NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>).

5

34. The targeting ligand of claim 1 wherein q is 1, 2 or 3.
35. The targeting ligand claim 1 wherein Z is selected from the group consisting of hydroxy, -NH<sub>2</sub>, -NH-C<sub>1-8</sub>alkyl, -N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -O-C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-OH, -O-C<sub>1-8</sub>alkylC<sub>1-4</sub>alkoxy, -O-C<sub>1-8</sub>alkylcarbonylC<sub>1-4</sub>alkyl, -O-C<sub>1-8</sub>alkyl-CO<sub>2</sub>H, -O-C<sub>1-8</sub>alkyl-C(O)O-C<sub>1-6</sub>alkyl, C<sub>1-8</sub>alkyl-OC(O)-C<sub>1-6</sub>alkyl, -O-C<sub>1-8</sub>alkyl-NH<sub>2</sub>, -O-C<sub>1-8</sub>alkyl-NH-C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -O-C<sub>1-8</sub>alkylamide, C<sub>1-8</sub>alkyl—C(O)-NH-C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-C(O)-N(C<sub>1-8</sub>alkyl)<sub>2</sub> and -NHC(O)C<sub>1-8</sub>alkyl.
- 15 36. The targeting ligand of claim 1 wherein the targeting ligand is conjugated to an radioactive element.
- 20 37. The targeting ligand of claim 1 wherein the targeting ligand is conjugated to an imagining agent.
38. The targeting ligand of claim 37 wherein the imagining agent is selected from the group consisting of <sup>99</sup>Tc, <sup>125</sup>I, <sup>18</sup>F, <sup>11</sup>C, and <sup>64</sup>Cu.
- 25 39. A targeting ligand of Formula (I):



Formula (I)

**PRD-0026 CIP**

wherein

W is selected from the group consisting of -C<sub>0-4</sub>alkyl(R<sub>1</sub>) and -C<sub>0-4</sub>alkyl-phenyl(R<sub>1</sub>,R<sub>8</sub>);

R<sub>1</sub> is -NH(R<sub>6</sub>);

5 R<sub>2a</sub> is selected from the group consisting of -tetrahydropyrimidinyl(R<sub>8</sub>)(R<sub>12</sub>),  
-1,3-benzodioxolyl(R<sub>8</sub>)(R<sub>12</sub>), -dihydrobenzofuranyl(R<sub>8</sub>)(R<sub>12</sub>),  
-tetrahydroquinolinyl(R<sub>8</sub>)(R<sub>12</sub>), -phenyl(R<sub>8</sub>)(R<sub>12</sub>), -naphthalenyl(R<sub>8</sub>)(R<sub>12</sub>),  
-pyridinyl(R<sub>8</sub>)(R<sub>12</sub>), -pyrimidinyl(R<sub>8</sub>)(R<sub>12</sub>), and -quinolinyl(R<sub>8</sub>)(R<sub>12</sub>).

10

R<sub>6</sub> is selected from the group consisting of -dihydroimidazolyl(R<sub>8</sub>),  
-tetrahydropyridinyl(R<sub>8</sub>), -tetrahydropyrimidinyl(R<sub>8</sub>) and -pyridinyl(R<sub>8</sub>);

15 R<sub>8</sub> is one to four substituents independently selected from the group consisting of  
hydrogen and -C<sub>1-4</sub>alkyl(R<sub>9</sub>) when attached to a nitrogen atom; and, wherein R<sub>8</sub> is  
one to four substituents independently selected from the group consisting of  
hydrogen, -C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C<sub>1-4</sub>alkoxy(R<sub>9</sub>), -O-aryl(R<sub>10</sub>) and hydroxy when attached  
to a carbon atom;

20 R<sub>9</sub> is selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkoxy, -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl,  
-N(C<sub>1-4</sub>alkyl)<sub>2</sub>, (halo)<sub>1-3</sub> and hydroxy;

25 R<sub>10</sub> is independently selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkyl,  
-C<sub>1-4</sub>alkoxy, -C(=O)H, -C(=O)-C<sub>1-4</sub>alkyl, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl, -NH<sub>2</sub>,  
-NH-C<sub>1-4</sub>alkyl, -N(C<sub>1-4</sub>alkyl)<sub>2</sub>, halo, hydroxy, nitro and oxo when attached to a  
carbon atom;

q is 1, 2 or 3;

30 R<sub>12</sub> is selected from the group consisting of -C<sub>1-6</sub>alkyl(R<sub>14</sub>), -O-C<sub>1-6</sub>alkyl(R<sub>14</sub>),  
-NH-C<sub>1-4</sub>alkyl(R<sub>14</sub>), -S-C<sub>1-6</sub>alkyl(R<sub>14</sub>), -CH<sub>2</sub>O-C<sub>1-6</sub>alkyl(R<sub>14</sub>),  
-CH<sub>2</sub>NH-C<sub>1-6</sub>alkyl(R<sub>14</sub>), -CH<sub>2</sub>S-C<sub>1-6</sub>alkyl(R<sub>14</sub>), -C(=O)C<sub>1-6</sub>alkyl(R<sub>14</sub>),

**PRD-0026 CIP**

- O-C(=O)C<sub>1-6</sub>alkyl(R<sub>14</sub>), -NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>14</sub>),
- CH<sub>2</sub>O-C(=O)C<sub>1-8</sub>alkyl(R<sub>14</sub>), -CH<sub>2</sub>NH-C(=O)C<sub>1-6</sub>alkyl(R<sub>14</sub>),
- C(=O)OC<sub>1-6</sub>alkyl(R<sub>14</sub>), -C(=O)NHC<sub>1-6</sub>alkyl(R<sub>14</sub>),
- O-C(=O)OC<sub>1-6</sub>alkyl(R<sub>14</sub>), -O-C(=O)NHC<sub>1-6</sub>alkyl(R<sub>14</sub>),
- 5 -NH-C(=O)OC<sub>1-6</sub>alkyl(R<sub>14</sub>), -NH-C(=O)NHC<sub>1-6</sub>alkyl(R<sub>14</sub>),
- NH-C(=O)C<sub>1-6</sub>alkylC(=O)(R<sub>14</sub>), -CH<sub>2</sub>O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),
- NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>), -CH<sub>2</sub>O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),
- CH<sub>2</sub>NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>14</sub>),
- OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- 10 -NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- 15 -NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- SO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- 20 -CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- CH<sub>2</sub>SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>14</sub>),
- CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- 25 -NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- CH<sub>2</sub>OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- CH<sub>2</sub>NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>),
- 30 -CH<sub>2</sub>NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>), and
- CH<sub>2</sub>NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>14</sub>);

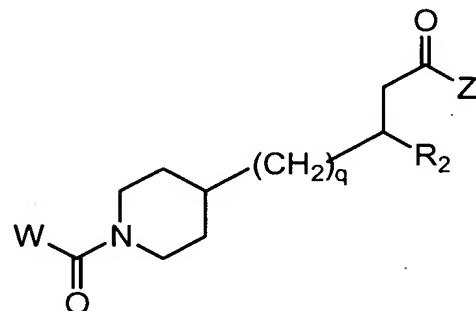
**PRD-0026 CIP**

R<sub>14</sub>when R<sub>11</sub> and R<sub>12</sub> terminates with a C(=O)is selected from the group consisting of hydrogen, OH, , -OC<sub>1-4</sub>alkyl and NH<sub>2</sub>; otherwise R<sub>14</sub>is selected from the group consisting of -OH, -SH, COOH, and -COOC<sub>1-4</sub>alkyl;

- 5 Z is slected from the group consisting hydroxy, -NH<sub>2</sub>, -NH-C<sub>1-8</sub>alkyl, -N(C<sub>1-8</sub>alkyl)<sub>2</sub>, O-C<sub>1-8</sub>alkyl-OH, -O-C<sub>1-8</sub>alkylC<sub>1-8</sub>alkoxy, -O-C<sub>1-8</sub>alkylcarbonylC<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-CO<sub>2</sub>H, -O-C<sub>1-8</sub>alkyl-C(O)O-C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-O-C(O)C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-NH<sub>2</sub>, -O-C<sub>1-8</sub>alkyl-NH-C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -O-C<sub>1-8</sub>alkylamide, -O-C<sub>1-8</sub>alkyl-C(O)-NH-C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-C(O)-N(C<sub>1-8</sub>alkyl)<sub>2</sub>  
10 and -NHC(O)C<sub>1-8</sub>alkyl;

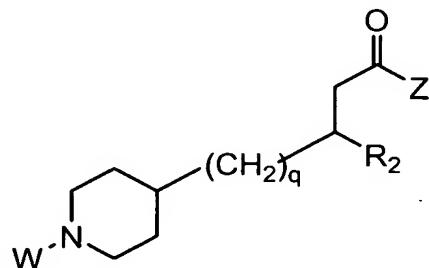
and pharmaceutically acceptable salts, racemic mixtures and enantiomers thereof.

40. A targeting conjugate having a formula selected from the group consisting of  
15 Formula (I):



Formula (I)

and Formula (II):



Formula (II)

20 wherein

**PRD-0026 CIP**

W is selected from the group consisting of -C<sub>0-6</sub>alkyl(R<sub>1</sub>), -C<sub>1-6</sub>alkyl(R<sub>1a</sub>),  
-C<sub>0-6</sub>alkyl-aryl(R<sub>1</sub>,R<sub>8</sub>), -C<sub>0-6</sub>alkyl-heterocyclyl(R<sub>1</sub>,R<sub>8</sub>), -C<sub>0-6</sub>alkoxy(R<sub>1</sub>),  
-C<sub>0-6</sub>alkoxy-aryl(R<sub>1</sub>,R<sub>8</sub>), and -C<sub>0-6</sub>alkoxy-heterocyclyl(R<sub>1</sub>,R<sub>8</sub>);

5 R<sub>1</sub> is selected from the group consisting of hydrogen, -N(R<sub>4</sub>)<sub>2</sub>, -N(R<sub>4</sub>)(R<sub>5</sub>), -N(R<sub>4</sub>)(R<sub>6</sub>),  
-heterocyclyl(R<sub>8</sub>) and -heteroaryl(R<sub>8</sub>);

R<sub>1a</sub> is selected from the group consisting of -C(R<sub>4</sub>)(=N-R<sub>4</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>,  
-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>,  
10 -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>,  
-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>7</sub>) and -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>;

R<sub>4</sub> is selected from the group consisting of hydrogen and -C<sub>1-8</sub>alkyl(R<sub>7</sub>);

15 R<sub>5</sub> is selected from the group consisting of -C(=O)-R<sub>4</sub>, -C(=O)-N(R<sub>4</sub>)<sub>2</sub>,  
-C(=O)-cycloalkyl(R<sub>8</sub>), -C(=O)-heterocyclyl(R<sub>8</sub>), -C(=O)-aryl(R<sub>8</sub>),  
-C(=O)-heteroaryl(R<sub>8</sub>), -C(=O)-N(R<sub>4</sub>)-cycloalkyl(R<sub>8</sub>), -C(=O)-N(R<sub>4</sub>)-aryl(R<sub>8</sub>),  
-CO<sub>2</sub>-R<sub>4</sub>, -CO<sub>2</sub>-cycloalkyl(R<sub>8</sub>), -CO<sub>2</sub>-aryl(R<sub>8</sub>), -C(R<sub>4</sub>)(=N-R<sub>4</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>,  
-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>,  
20 -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>,  
-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>7</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>,  
-N(R<sub>4</sub>)-C(R<sub>4</sub>)(=N-R<sub>4</sub>), -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>),  
-N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>,  
-N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>7</sub>),  
25 -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>, -SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>7</sub>), -SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>,  
-SO<sub>2</sub>-cycloalkyl(R<sub>8</sub>) and -SO<sub>2</sub>-aryl(R<sub>8</sub>);

R<sub>6</sub> is selected from the group consisting of -cycloalkyl(R<sub>8</sub>), -heterocyclyl(R<sub>8</sub>), -aryl(R<sub>8</sub>)  
and -heteroaryl(R<sub>8</sub>);

30 R<sub>7</sub> is one to two substituents independently selected from the group consisting of  
hydrogen, -C<sub>1-8</sub>alkoxy(R<sub>9</sub>), -NH<sub>2</sub>, -NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -C(=O)H,

**PRD-0026 CIP**

-C(=O)-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>),  
-C(=O)-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -C(=O)-NH-aryl(R<sub>10</sub>), -C(=O)-cycloalkyl(R<sub>10</sub>),  
-C(=O)-heterocyclyl(R<sub>10</sub>), -C(=O)-aryl(R<sub>10</sub>), -C(=O)-heteroaryl(R<sub>10</sub>), -CO<sub>2</sub>H,  
-CO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -CO<sub>2</sub>-aryl(R<sub>10</sub>), -C(=NH)-NH<sub>2</sub>, -SH, -S-C<sub>1-8</sub>alkyl(R<sub>9</sub>),  
5 -S-C<sub>1-8</sub>alkyl-S-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -S-C<sub>1-8</sub>alkyl-C<sub>1-8</sub>alkoxy(R<sub>9</sub>),  
-S-C<sub>1-8</sub>alkyl-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-NH<sub>2</sub>,  
-SO<sub>2</sub>-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -SO<sub>2</sub>-aryl(R<sub>10</sub>), cyano, (halo)<sub>1-3</sub>,  
hydroxy, nitro, oxo, -cycloalkyl(R<sub>10</sub>), -heterocyclyl(R<sub>10</sub>), -aryl(R<sub>10</sub>) and  
-heteroaryl(R<sub>10</sub>);

10

R<sub>8</sub> is one to four substituents independently selected from the group consisting of hydrogen, -C<sub>1-8</sub>alkyl(R<sub>9</sub>), -C(=O)H, -C(=O)-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -C(=O)-NH<sub>2</sub>,  
-C(=O)-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -C(=O)-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -C(=O)-NH-aryl(R<sub>10</sub>),  
-C(=O)-cycloalkyl(R<sub>10</sub>), -C(=O)-heterocyclyl(R<sub>10</sub>), -C(=O)-aryl(R<sub>10</sub>),  
15 -C(=O)-heteroaryl(R<sub>10</sub>), -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -CO<sub>2</sub>-aryl(R<sub>10</sub>), -C(=NH)-NH<sub>2</sub>,  
-SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>,  
-SO<sub>2</sub>-aryl(R<sub>10</sub>), -cycloalkyl(R<sub>10</sub>) and -aryl(R<sub>10</sub>) when attached to a nitrogen atom;  
and, wherein R<sub>8</sub> is one to four substituents independently selected from the group consisting of hydrogen, -C<sub>1-8</sub>alkyl(R<sub>9</sub>), -C<sub>1-8</sub>alkoxy(R<sub>9</sub>), -O-cycloalkyl(R<sub>10</sub>),  
20 -O-aryl(R<sub>10</sub>), -C(=O)H, -C(=O)-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -NHC(=O)-C<sub>1-8</sub>alkyl(R<sub>9</sub>),  
-C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -C(=O)-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>,  
-C(=O)-NH-aryl(R<sub>10</sub>), -NHC(=O)-NH<sub>2</sub>, -NHC(=O)-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>),  
-NHC(=O)-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -NHC(=O)-NH-aryl(R<sub>10</sub>),  
-NHC(=O)-O-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -NHC(=O)-O-aryl(R<sub>10</sub>), -C(=O)-cycloalkyl(R<sub>10</sub>),  
25 -C(=O)-heterocyclyl(R<sub>10</sub>), -C(=O)-aryl(R<sub>10</sub>), -C(=O)-heteroaryl(R<sub>10</sub>),  
-NHC(=O)-cycloalkyl(R<sub>10</sub>), -NHC(=O)-heterocyclyl(R<sub>10</sub>), -NHC(=O)-aryl(R<sub>10</sub>),  
-NHC(=O)-heteroaryl(R<sub>10</sub>), -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -CO<sub>2</sub>-aryl(R<sub>10</sub>),  
-C(=NH)-NH<sub>2</sub>, -SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>),  
-SO<sub>2</sub>-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -SO<sub>2</sub>-aryl(R<sub>10</sub>), -NHSO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -NHSO<sub>2</sub>-aryl(R<sub>10</sub>),  
30 -SH, -S-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -S-C<sub>1-8</sub>alkyl-S-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -S-C<sub>1-8</sub>alkyl-C<sub>1-8</sub>alkoxy(R<sub>9</sub>),  
-S-C<sub>1-8</sub>alkyl-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -NH<sub>2</sub>, -NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, cyano,  
halo, hydroxy, nitro, oxo, -cycloalkyl(R<sub>10</sub>), -heterocyclyl(R<sub>10</sub>), -aryl(R<sub>10</sub>), and

**PRD-0026 CIP**

-heteroaryl(R<sub>10</sub>) when attached to a carbon atom;

R<sub>9</sub> is selected from the group consisting of hydrogen, -C<sub>1-8</sub>alkoxy, -NH<sub>2</sub>, -NH-C<sub>1-8</sub>alkyl,  
-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -C(=O)H, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-8</sub>alkyl, -C(=O)-N(C<sub>1-8</sub>alkyl)<sub>2</sub>,

5 -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-8</sub>alkyl, -SO<sub>2</sub>-C<sub>1-8</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-8</sub>alkyl,  
-SO<sub>2</sub>-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, cyano, (halo)<sub>1-3</sub>, hydroxy, nitro and oxo;

R<sub>10</sub> is one to four substituents independently selected from the group consisting of

hydrogen, -C<sub>1-8</sub>alkyl, -C(=O)H, -C(=O)-C<sub>1-8</sub>alkyl, -C(=O)-NH<sub>2</sub>,

10 -C(=O)-NH-C<sub>1-8</sub>alkyl, -C(=O)-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl,

-SO<sub>2</sub>-C<sub>1-8</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-8</sub>alkyl and -SO<sub>2</sub>-N(C<sub>1-8</sub>alkyl)<sub>2</sub> when

attached to a nitrogen atom; and, wherein R<sub>10</sub> is one to four substituents

independently selected from the group consisting of hydrogen, -C<sub>1-8</sub>alkyl,

-C<sub>1-8</sub>alkoxy, -C(=O)H, -C(=O)-C<sub>1-8</sub>alkyl, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-8</sub>alkyl,

15 -C(=O)-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-C<sub>1-8</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>,

-SO<sub>2</sub>-NH-C<sub>1-8</sub>alkyl, -SO<sub>2</sub>-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -NH<sub>2</sub>, -NH-C<sub>1-8</sub>alkyl, -N(C<sub>1-8</sub>alkyl)<sub>2</sub>, cyano,

halo, hydroxy, nitro and oxo when attached to a carbon atom;

q is 0, 1, 2, or 3;

20

R<sub>2a</sub> is selected from the group consisting of -C<sub>1-8</sub>alkyl(R<sub>7</sub>)(R<sub>11</sub>), -C<sub>2-8</sub>alkenyl(R<sub>7</sub>)(R<sub>11</sub>),

-C<sub>2-8</sub>alkynyl(R<sub>7</sub>)(R<sub>11</sub>), -cycloalkyl(R<sub>7</sub>)(R<sub>11</sub>), -heterocycll(R<sub>8</sub>)(R<sub>12</sub>), -aryl(R<sub>8</sub>)(R<sub>12</sub>) and

-heteroaryl(R<sub>8</sub>)(R<sub>12</sub>);

25

R<sub>11</sub> is selected from the group consisting of -C<sub>1-8</sub>alkyl(R<sub>13</sub>),

-O-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -NH-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -S-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>),

-O-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>),

-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>),

-O-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>), -NH-C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>),

30

-NH-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),

-O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -NH-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),

-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -O-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),

**PRD-0026 CIP**

- NH-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),
- O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),
- OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- 5 -SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- 10 -OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- OC(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),
- NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- 15 -SO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- C(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- 20 -OC(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),
- NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- SO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>), and
- 25 -SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>);

R<sub>12</sub> is selected from the group consisting of -C<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C<sub>1-8</sub>alkyl(R<sub>13</sub>),

- NH-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -S-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>O-C<sub>1-8</sub>alkyl(R<sub>13</sub>),
- CH<sub>2</sub>NH-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>S-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>),
- 30 -O-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>),
- CH<sub>2</sub>O-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>),
- C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>),

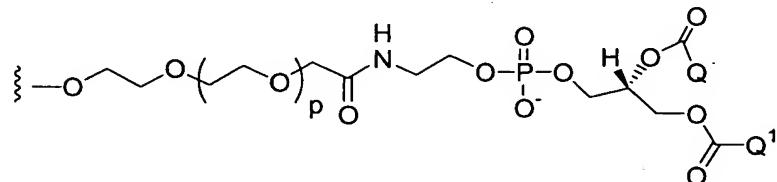
**PRD-0026 CIP**

- O-C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>),
- NH-C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>), -NH-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>),
- CH<sub>2</sub>O-C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>O-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>),
- CH<sub>2</sub>NH-C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>NH-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>),
- 5 -C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),
- NH-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -CH<sub>2</sub>O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),
- CH<sub>2</sub>NH-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),
- O-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -NH-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),
- CH<sub>2</sub>O-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -CH<sub>2</sub>NH-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),
- 10 -C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),
- NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -CH<sub>2</sub>O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),
- CH<sub>2</sub>NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),
- OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- 15 -SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- 20 -OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- 25 -SO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- 30 -CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- CH<sub>2</sub>SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),

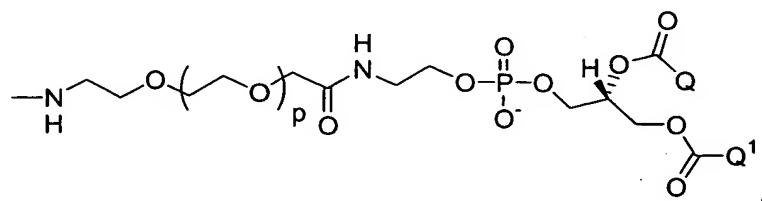
**PRD-0026 CIP**

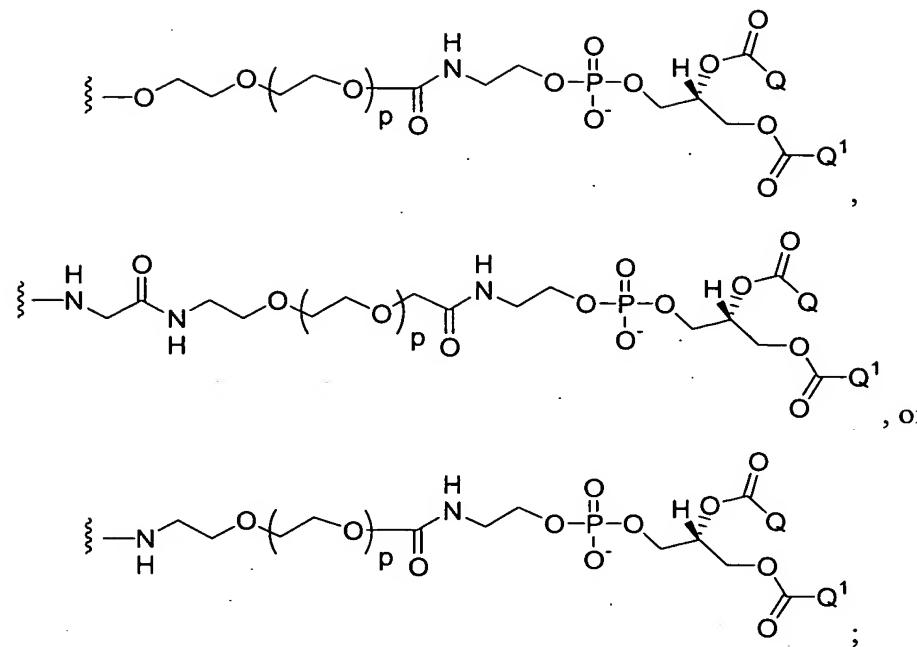
- CH<sub>2</sub>OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- 5 -CH<sub>2</sub>NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- C(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- 10 -OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- SO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- 15 -SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- CH<sub>2</sub>OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- CH<sub>2</sub>OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- CH<sub>2</sub>OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- CH<sub>2</sub>NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- 20 -CH<sub>2</sub>NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>), and
- CH<sub>2</sub>NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>);

wherein when R<sub>11</sub> or R<sub>12</sub> terminates with a -C(=O)-, R<sub>13</sub> is selected from

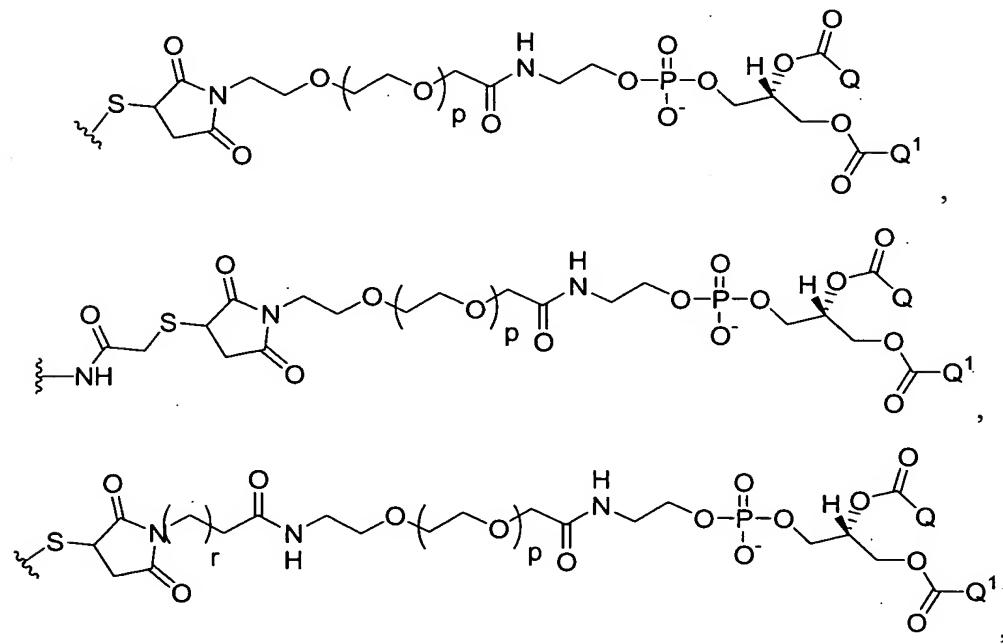


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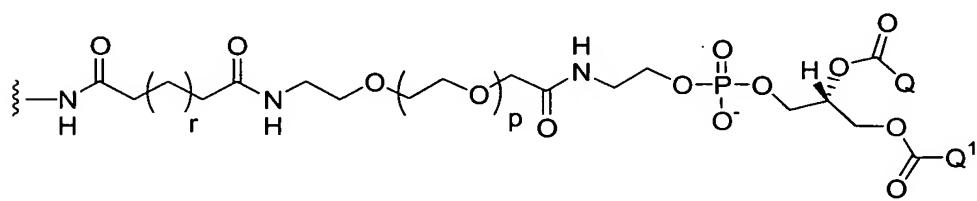
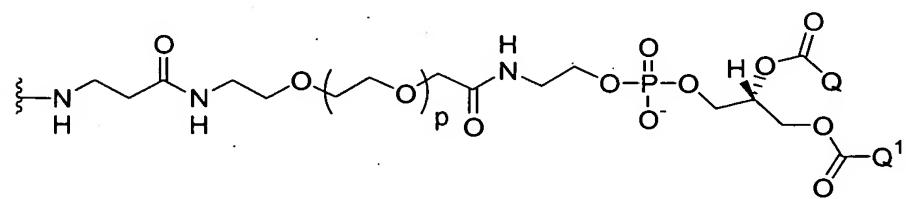
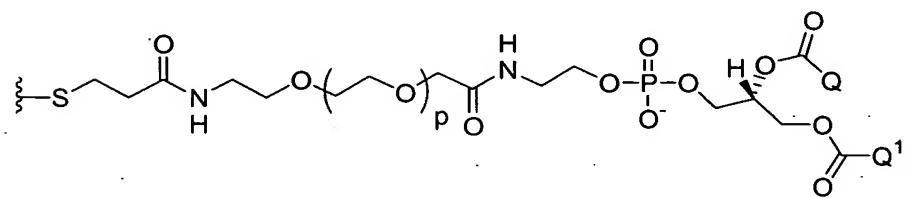
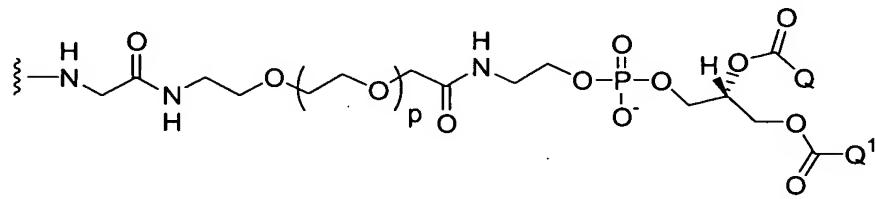
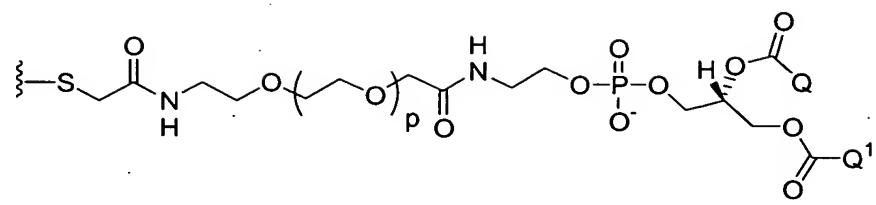




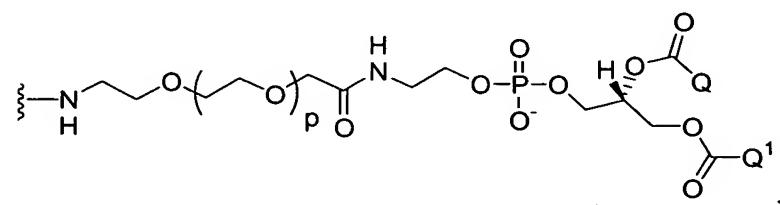
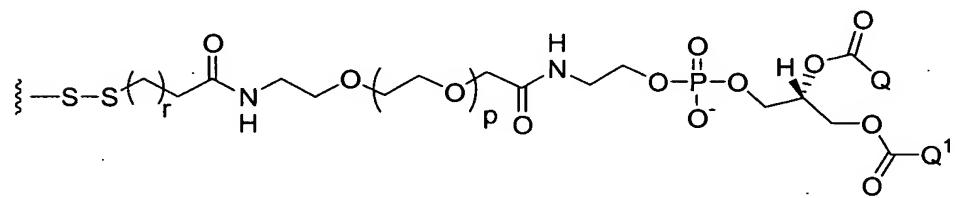
5 and when R<sub>11</sub> or R<sub>12</sub> does not terminate with a -C(=O)-, R<sub>13</sub> is selected from the group consisting of

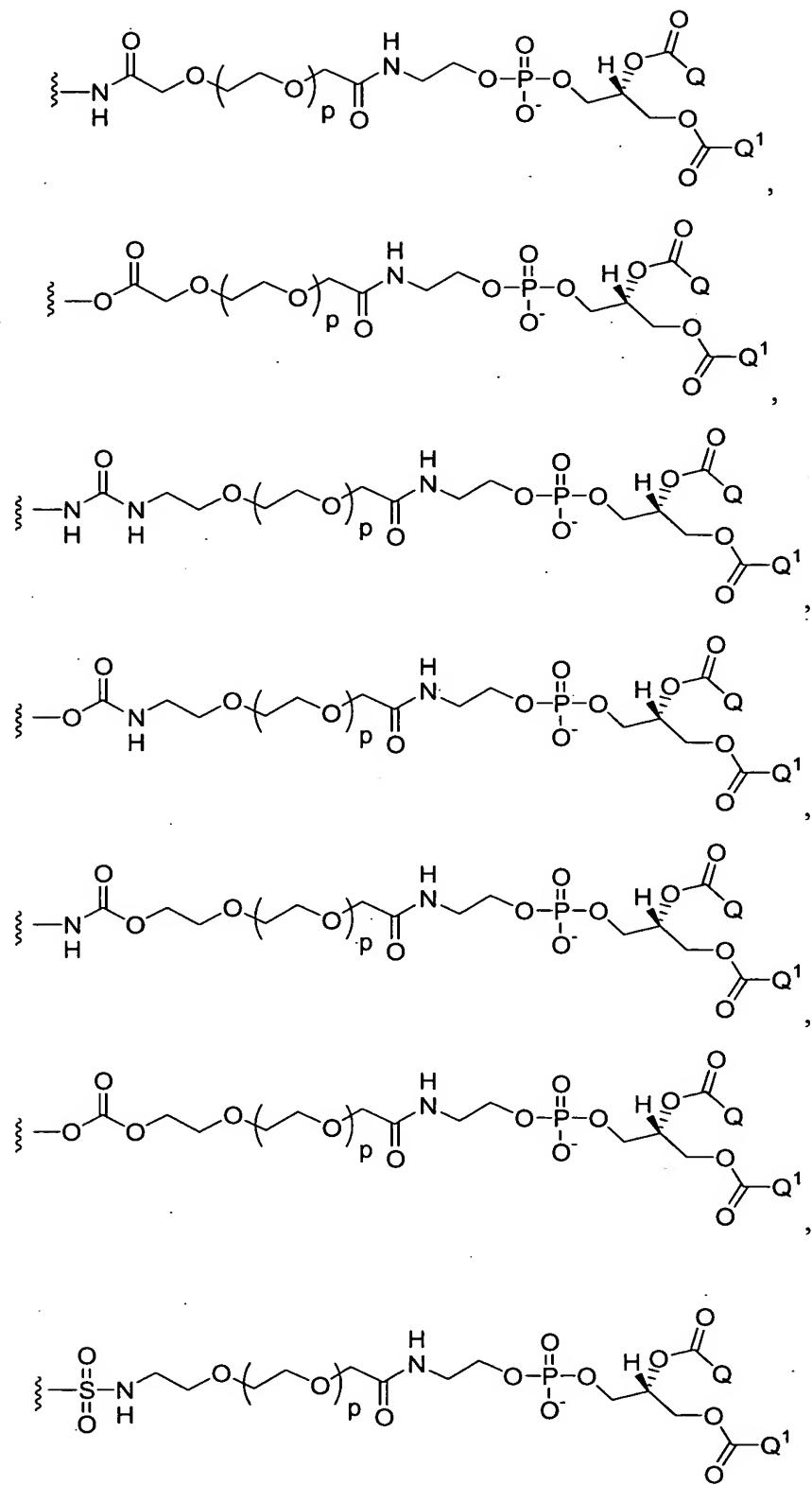


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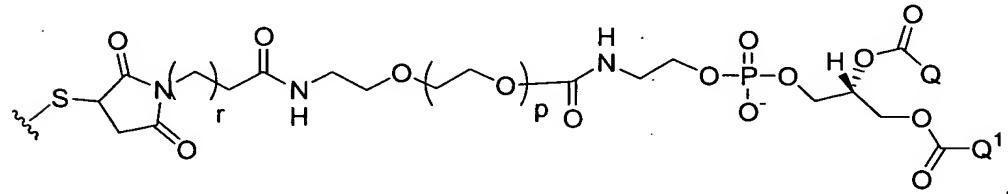
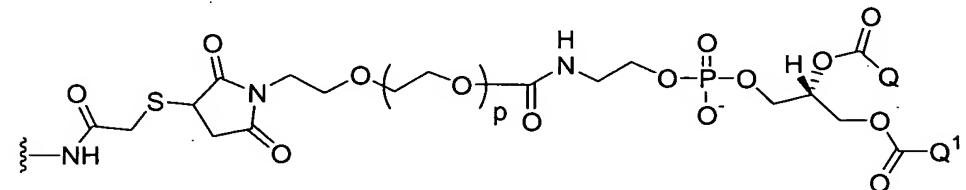
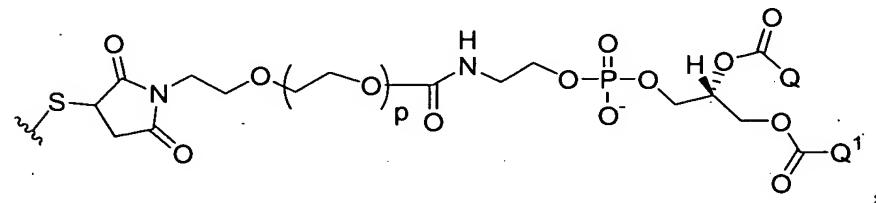
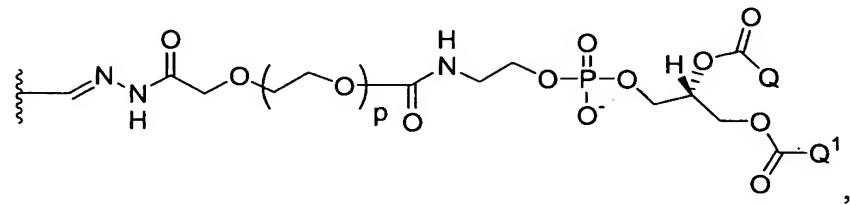
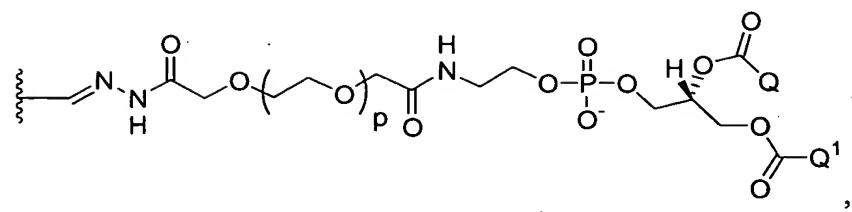


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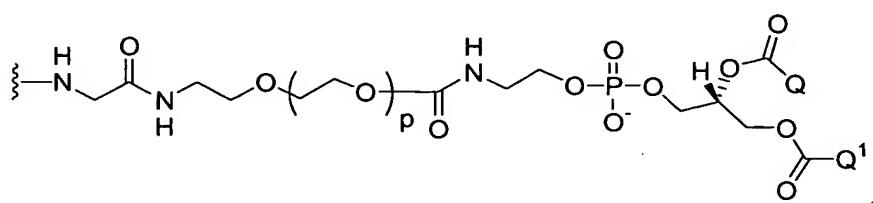
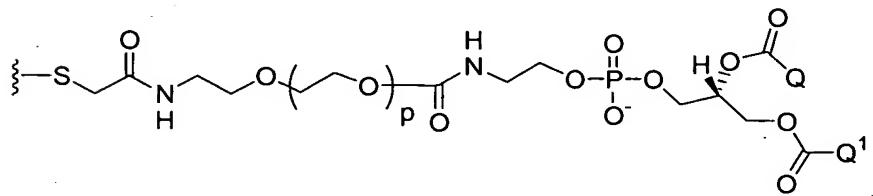




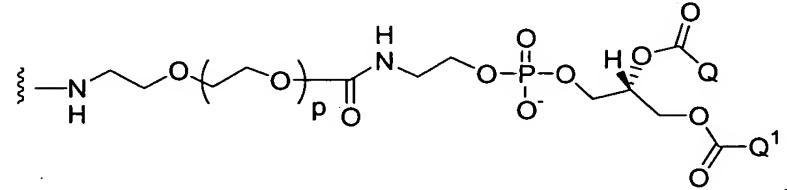
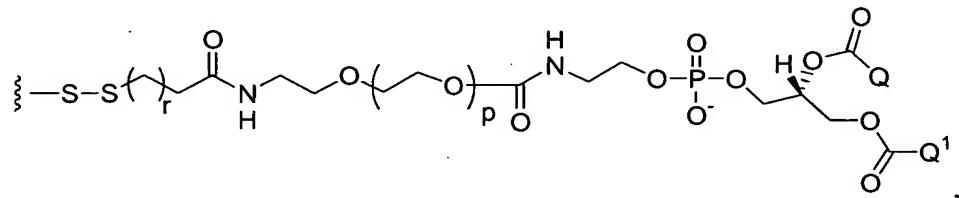
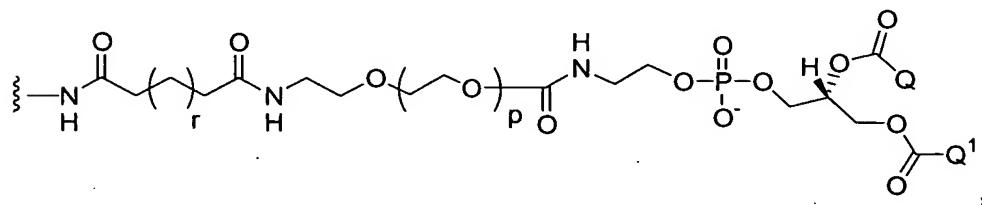
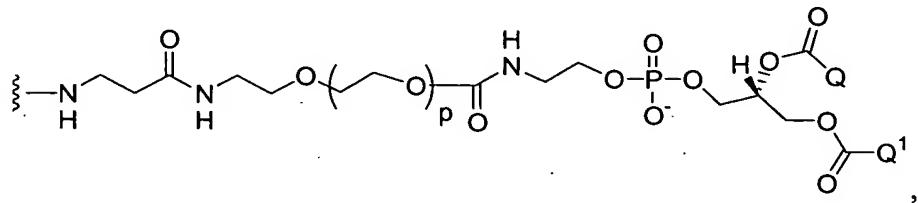
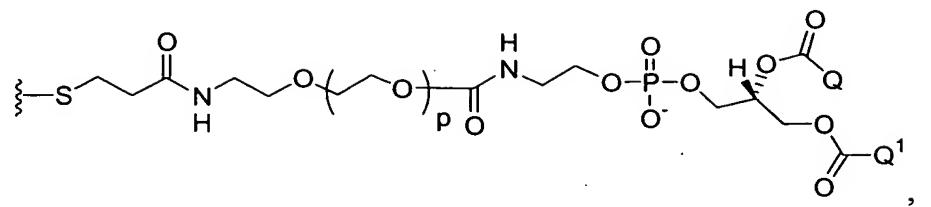
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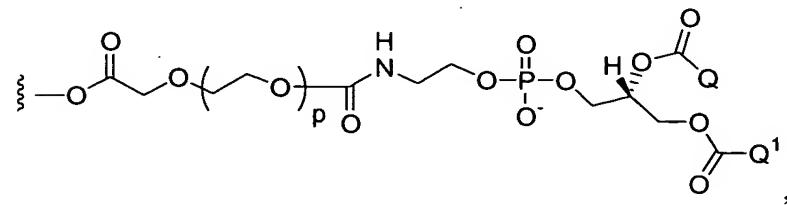
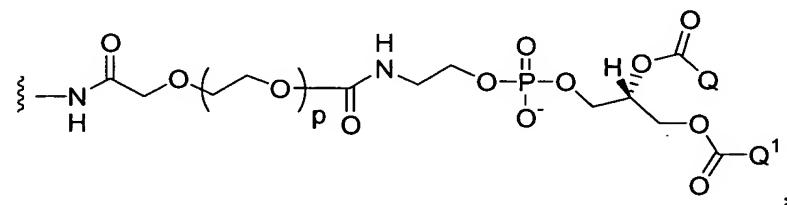
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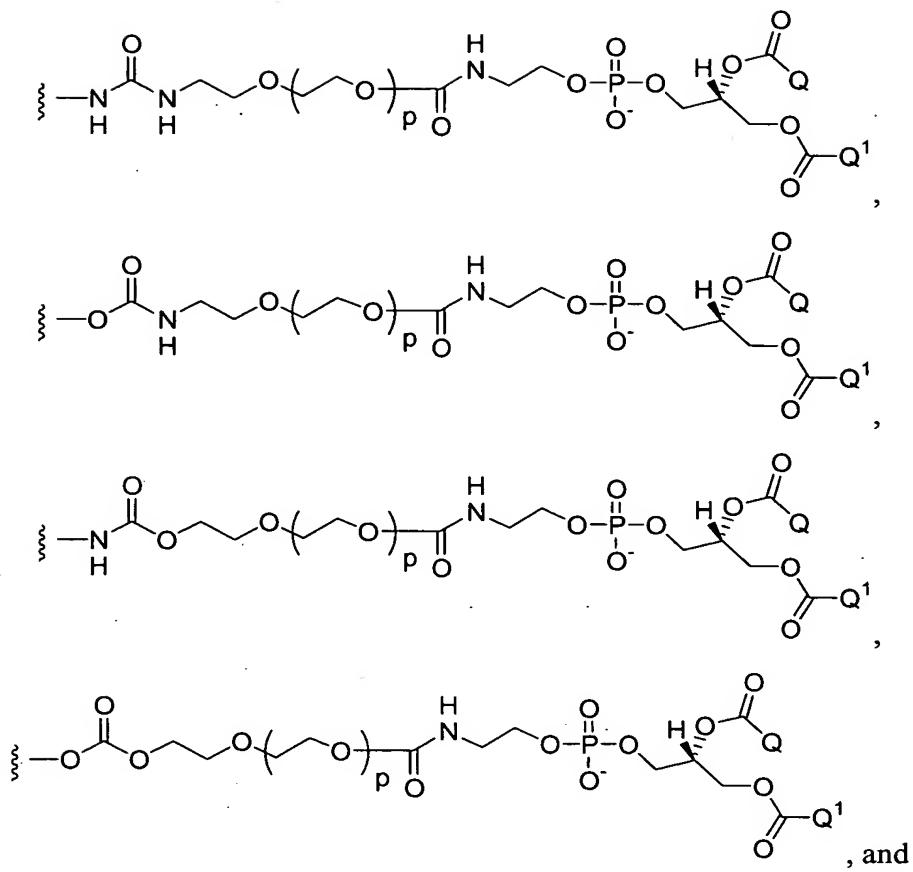


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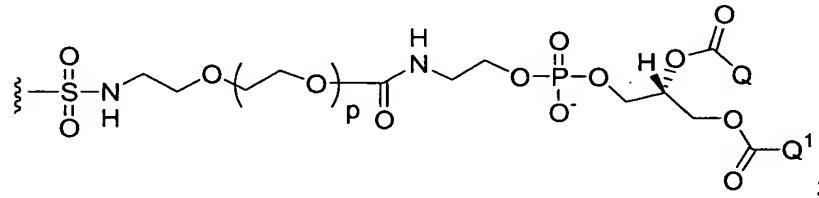


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wherein the unit  $-O-(CH_2CH_2O)_p-$  or  $-O\left(\begin{array}{c} | \\ -O-CH_2-CH_2-O- \end{array}\right)_p$  of  $R_{12}$  and  $R_{13}$  is a polyethylene glycol (PEG) polymer ranging in molecular weight from 750 to 5000 daltons;

10

$r$  is an integer from 0 to 8;

15  $Q$  and  $Q^1$  of substituents  $R_{12}$  and  $R_{13}$  are the same within a given compound and are selected from the group consisting of

the C<sub>11</sub> saturated chain of lauric acid,  
the C<sub>13</sub> saturated chain of myristoic acid,  
the C<sub>15</sub> saturated chain of palmitoic acid,  
the C<sub>17</sub> saturated chain of stearoic acid,  
5 the C<sub>17</sub> mono-unsaturated chain of oleoic acid, and  
the C<sub>17</sub> di-unsaturated chain of linoleic acid;

Z is selected from the group consisting of hydroxy, -NH<sub>2</sub>, -NH-C<sub>1-8</sub>alkyl,  
-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -O-C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-OH, -O-C<sub>1-8</sub>alkylC<sub>1-8</sub>alkoxy,  
10 O-C<sub>1-8</sub>alkylcarbonylC<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-CO<sub>2</sub>H, -O-C<sub>1-8</sub>alkyl-C(O)O-C<sub>1-8</sub>alkyl, -  
O-C<sub>1-8</sub>alkyl-O-C(O)C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-NH<sub>2</sub>, -O-C<sub>1-8</sub>alkyl-NH-C<sub>1-8</sub>alkyl, -  
O-C<sub>1-8</sub>alkyl-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -O-C<sub>1-8</sub>alkylamide, -O-C<sub>1-8</sub>alkyl-C(O)-NH-C<sub>1-8</sub>alkyl, -  
O-C<sub>1-8</sub>alkyl-C(O)-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, and -NHC(O)C<sub>1-8</sub>alkyl;

15 and pharmaceutically acceptable salts, racemic mixtures and enantiomers thereof.

41. The targeting conjugate of claim 40 wherein W is selected from the group  
consisting of -C<sub>0-4</sub>alkyl(R<sub>1</sub>) and -C<sub>0-4</sub>alkyl-aryl(R<sub>1</sub>,R<sub>8</sub>).

20 42. The targeting conjugate of claim 40 wherein W is -C<sub>0-4</sub>alkyl(R<sub>1</sub>) or  
-C<sub>0-4</sub>alkyl-phenyl(R<sub>1</sub>,R<sub>8</sub>).

43. The targeting conjugate of claim 40 wherein R<sub>1</sub> is selected from the group  
consisting of -N(R<sub>4</sub>)(R<sub>6</sub>), -heterocyclyl(R<sub>8</sub>) and -heteroaryl(R<sub>8</sub>).

25 44. The targeting conjugate of claim 40 wherein R<sub>1</sub> is selected from the group  
consisting of -N(R<sub>4</sub>)(R<sub>6</sub>), -dihydro-1*H*-pyrrolo[2,3-*b*]pyridinyl(R<sub>8</sub>),  
-tetrahydropyrimidinyl(R<sub>8</sub>), -tetrahydro-1,8-naphthyridinyl(R<sub>8</sub>),  
-tetrahydro-1*H*-azepino[2,3-*b*]pyridinyl(R<sub>8</sub>) and -pyridinyl(R<sub>8</sub>).

30 45. The targeting conjugate of claim 40 wherein R<sub>1</sub> is selected from the group  
consisting of -N(R<sub>4</sub>)(R<sub>6</sub>), -tetrahydropyrimidinyl(R<sub>8</sub>) and

-tetrahydro-1,8-naphthyridinyl(R<sub>8</sub>).

46. The targeting conjugate of claim 40 wherein R<sub>1a</sub> is selected from the group consisting of -C(R<sub>4</sub>) (=N-R<sub>4</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>),  
5 -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>,  
-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>) and  
-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>.
47. The targeting conjugate of claim 40 wherein R<sub>4</sub> is selected from the group  
10 consisting of hydrogen and -C<sub>1-4</sub>alkyl(R<sub>7</sub>).
48. The targeting conjugate of claim 40 wherein R<sub>4</sub> is hydrogen.
49. The targeting conjugate of claim 40 wherein R<sub>5</sub> is selected from the group  
15 consisting of -C(=O)-R<sub>4</sub>, -C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -C(=O)-cycloalkyl(R<sub>8</sub>),  
-C(=O)-heterocyclyl(R<sub>8</sub>), -C(=O)-aryl(R<sub>8</sub>), -C(=O)-heteroaryl(R<sub>8</sub>),  
-C(=O)-N(R<sub>4</sub>)-cycloalkyl(R<sub>8</sub>), -C(=O)-N(R<sub>4</sub>)-aryl(R<sub>8</sub>), -CO<sub>2</sub>-R<sub>4</sub>,  
-CO<sub>2</sub>-cycloalkyl(R<sub>8</sub>), -CO<sub>2</sub>-aryl(R<sub>8</sub>), -C(R<sub>4</sub>) (=N-R<sub>4</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>,  
20 -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>,  
-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>,  
-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>,  
-N(R<sub>4</sub>)-C(R<sub>4</sub>) (=N-R<sub>4</sub>), -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>),  
25 -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>,  
-N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>);  
-N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>, -SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>), -SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>,  
-SO<sub>2</sub>-cycloalkyl(R<sub>8</sub>) and -SO<sub>2</sub>-aryl(R<sub>8</sub>).
50. The targeting conjugate of claim 40 wherein R<sub>5</sub> is selected from the group  
30 consisting of -C(=O)-R<sub>4</sub>, -C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -CO<sub>2</sub>-R<sub>4</sub>, -C(R<sub>4</sub>) (=N-R<sub>4</sub>),  
-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -N(R<sub>4</sub>)-C(R<sub>4</sub>) (=N-R<sub>4</sub>),  
-N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>) and  
-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>.

51. The targeting conjugate of claim 40 wherein R<sub>6</sub> is selected from the group consisting of -heterocyclyl(R<sub>8</sub>) and -heteroaryl(R<sub>8</sub>).
- 5 52. The targeting conjugate of claim 40 wherein R<sub>6</sub> is selected from the group consisting of -dihydroimidazolyl(R<sub>8</sub>), -tetrahydropyridinyl(R<sub>8</sub>), -tetrahydropyrimidinyl(R<sub>8</sub>) and -pyridinyl(R<sub>8</sub>).
- 10 53. The targeting conjugate of claim 40 wherein R<sub>7</sub> is one to two substituents independently selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkoxy(R<sub>9</sub>), -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -C(=O)H, -C(=O)-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C(=O)-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -C(=O)-NH-aryl(R<sub>10</sub>), -C(=O)-cycloalkyl(R<sub>10</sub>), -C(=O)-heterocyclyl(R<sub>10</sub>), -C(=O)-aryl(R<sub>10</sub>), -C(=O)-heteroaryl(R<sub>10</sub>), -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -CO<sub>2</sub>-aryl(R<sub>10</sub>), -C(=NH)-NH<sub>2</sub>, -SH, -S-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -S-C<sub>1-4</sub>alkyl-S-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -S-C<sub>1-4</sub>alkyl-C<sub>1-4</sub>alkoxy(R<sub>9</sub>), -S-C<sub>1-4</sub>alkyl-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -SO<sub>2</sub>-aryl(R<sub>10</sub>), cyano, (halo)<sub>1-3</sub>, hydroxy, nitro, oxo, -cycloalkyl(R<sub>10</sub>), -heterocyclyl(R<sub>10</sub>), -aryl(R<sub>10</sub>) and -heteroaryl(R<sub>10</sub>).
- 15 54. The targeting conjugate of claim 40 wherein R<sub>7</sub> is one to two substituents independently selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkoxy(R<sub>9</sub>), -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, (halo)<sub>1-3</sub>, hydroxy and oxo.
- 20 55. The targeting conjugate of claim 40 wherein R<sub>7</sub> is hydrogen.
- 25 56. The targeting conjugate of claim 40 wherein R<sub>8</sub> is one to four substituents independently selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C(=O)H, -C(=O)-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C(=O)-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -C(=O)-NH-aryl(R<sub>10</sub>), -C(=O)-cycloalkyl(R<sub>10</sub>), -C(=O)-heterocyclyl(R<sub>10</sub>), -C(=O)-aryl(R<sub>10</sub>), -C(=O)-heteroaryl(R<sub>10</sub>), -CO<sub>2</sub>H,

-CO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -CO<sub>2</sub>-aryl(R<sub>10</sub>), -C(=NH)-NH<sub>2</sub>, -SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
 -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -SO<sub>2</sub>-aryl(R<sub>10</sub>),  
 -cycloalkyl(R<sub>10</sub>) and -aryl(R<sub>10</sub>) when attached to a nitrogen atom; and, wherein  
 R<sub>8</sub> is one to four substituents independently selected from the group consisting  
 5 of hydrogen, -C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C<sub>1-4</sub>alkoxy(R<sub>9</sub>), -O-cycloalkyl(R<sub>10</sub>), -O-aryl(R<sub>10</sub>),  
 -C(=O)H, -C(=O)-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
 -C(=O)-N(C<sub>1-4</sub>alkyl-R<sub>11</sub>)<sub>2</sub>, -C(=O)-NH-aryl(R<sub>10</sub>), -C(=O)-cycloalkyl(R<sub>10</sub>),  
 -C(=O)-heterocyclyl(R<sub>10</sub>), -C(=O)-aryl(R<sub>10</sub>), -C(=O)-heteroaryl(R<sub>10</sub>), -CO<sub>2</sub>H,  
 -CO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -CO<sub>2</sub>-aryl(R<sub>10</sub>), -C(=NH)-NH<sub>2</sub>, -SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
 10 -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -SO<sub>2</sub>-aryl(R<sub>10</sub>),  
 -SH, -S-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -S-C<sub>1-4</sub>alkyl-S-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -S-C<sub>1-4</sub>alkyl-C<sub>1-4</sub>alkoxy(R<sub>9</sub>),  
 -S-C<sub>1-4</sub>alkyl-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>,  
 cyano, halo, hydroxy, nitro, oxo, -cycloalkyl(R<sub>10</sub>), -heterocyclyl(R<sub>10</sub>), -aryl(R<sub>10</sub>)  
 and -heteroaryl(R<sub>10</sub>) when attached to a carbon atom.

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57. The targeting conjugate of claim 40 wherein R<sub>8</sub> is one to four substituents  
 independently selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
 -C(=O)H, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C(=O)-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>,  
 -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>) and -SO<sub>2</sub>-NH<sub>2</sub> when attached to a nitrogen atom;

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and, wherein R<sub>8</sub> is one to four substituents independently selected from the  
 group consisting of hydrogen, -C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C<sub>1-4</sub>alkoxy(R<sub>9</sub>), -O-aryl(R<sub>10</sub>),  
 -C(=O)H, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C(=O)-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>,  
 -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-NH<sub>2</sub>, -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
 -N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, cyano, halo, hydroxy, nitro and oxo when attached to a  
 25 carbon atom.

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58. The targeting conjugate of claim 40 wherein R<sub>8</sub> is one to four substituents  
 independently selected from the group consisting of hydrogen and  
 -C<sub>1-4</sub>alkyl(R<sub>9</sub>) when attached to a nitrogen atom; and, wherein R<sub>8</sub> is one to four  
 30 substituents independently selected from the group consisting of hydrogen,  
 -C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C<sub>1-4</sub>alkoxy(R<sub>9</sub>), -O-aryl(R<sub>10</sub>), -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
 -N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, halo, hydroxy and oxo when attached to a carbon atom.

59. The targeting conjugate of claim 40 wherein R<sub>8</sub> is one to four substituents independently selected from the group consisting of hydrogen and -C<sub>1-4</sub>alkyl(R<sub>9</sub>) when attached to a nitrogen atom; and, wherein R<sub>8</sub> is one to four substituents independently selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C<sub>1-4</sub>alkoxy(R<sub>9</sub>), -O-aryl(R<sub>10</sub>) and hydroxy when attached to a carbon atom.
60. The targeting conjugate of claim 40 wherein R<sub>9</sub> is selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkoxy, -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl, -N(C<sub>1-4</sub>alkyl)<sub>2</sub>, -C(=O)H, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl, -C(=O)-N(C<sub>1-4</sub>alkyl)<sub>2</sub>, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-N(C<sub>1-4</sub>alkyl)<sub>2</sub>, cyano, (halo)<sub>1-3</sub>, hydroxy, nitro and oxo.
- 15 61. The targeting conjugate of claim 40 wherein R<sub>9</sub> is selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkoxy, -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl, -N(C<sub>1-4</sub>alkyl)<sub>2</sub>, -C(=O)H, -CO<sub>2</sub>H, -C(=O)-C<sub>1-4</sub>alkoxy, (halo)<sub>1-3</sub>, hydroxy and oxo.
- 20 62. The targeting conjugate of claim 40 wherein R<sub>9</sub> is selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkoxy, -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl, -N(C<sub>1-4</sub>alkyl)<sub>2</sub>, (halo)<sub>1-3</sub> and hydroxy.
63. The targeting conjugate claim 40 wherein R<sub>10</sub> is one to four substituents independently selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkyl, -C(=O)H, -C(=O)-C<sub>1-4</sub>alkyl, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl, -C(=O)-N(C<sub>1-4</sub>alkyl)<sub>2</sub>, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-4</sub>alkyl and -SO<sub>2</sub>-N(C<sub>1-4</sub>alkyl)<sub>2</sub> when attached to a nitrogen atom; and, wherein R<sub>10</sub> is one to four substituents independently selected from the group consisting of hydrogen, -C<sub>1-4</sub>alkyl, -C<sub>1-4</sub>alkoxy, -C(=O)H, -C(=O)-C<sub>1-4</sub>alkyl, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl, -C(=O)-N(C<sub>1-4</sub>alkyl)<sub>2</sub>, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-N(C<sub>1-4</sub>alkyl)<sub>2</sub>, -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl, -N(C<sub>1-4</sub>alkyl)<sub>2</sub>, cyano, halo, hydroxy,

nitro and oxo when attached to a carbon atom.

64. The targeting conjugate of claim 40 wherein  $(R_{10})_{1-4}$  is selected from the group consisting of hydrogen,  $-C_{1-4}alkyl$ ,  $-C_{1-4}alkoxy$ ,  $-C(=O)H$ ,  $-C(=O)-C_{1-4}alkyl$ ,  
5  $-CO_2H$ ,  $-CO_2-C_{1-4}alkyl$ ,  $-NH_2$ ,  $-NH-C_{1-4}alkyl$ ,  $-N(C_{1-4}alkyl)_2$ , halo, hydroxy, nitro and oxo when attached to a carbon atom.
65. The targeting conjugate of claim 40 wherein  $R_{10}$  is hydrogen.
- 10 66. The targeting conjugate of claim 40 wherein  $R_{2a}$  is selected from the group consisting of  $-C_{1-4}alkyl(R_7)(R_{11})$ ,  $-C_{2-4}alkenyl(R_7)(R_{11})$ ,  $-C_{2-4}alkynyl(R_7)(R_{11})$ ,  
 $-cycloalkyl(R_7)(R_{11})$ ,  $-heterocyclyl(R_8)(R_{12})$ ,  $-aryl(R_8)(R_{12})$ , and  
 $-heteroaryl(R_8)(R_{12})$ .
- 15 67. The targeting conjugate of claim 40 wherein  $R_{2a}$  is selected from the group consisting of  $-cycloalkyl(R_7)(R_{11})$ ,  $-heterocyclyl(R_8)(R_{12})$ ,  $-aryl(R_8)(R_{12})$ , and  
 $-heteroaryl(R_8)(R_{11})$ .
- 20 68. The targeting conjugate of claim 40 wherein  $R_{2a}$  is selected from the group consisting of  $-cycloalkyl(R_7)(R_{11})$ ,  $-heterocyclyl(R_8)(R_{12})$ ,  $-phenyl(R_8)(R_{12})$ ,  
 $-naphthalenyl(R_8)(R_{12})$ , and  $-heteroaryl(R_8)(R_{11})$ .
- 25 69. The targeting conjugate of claim 40 wherein  $R_{2a}$  is selected from the group consisting of  $-tetrahydropyrimidinyl(R_8)(R_{12})$ ,  $-1,3\text{-benzodioxolyl}(R_8)(R_{12})$ ,  
 $-dihydrobenzofuranyl(R_8)(R_{12})$ ,  $-tetrahydroquinolinyl(R_8)(R_{12})$ ,  
 $-phenyl(R_8)(R_{12})$ ,  $-naphthalenyl(R_8)(R_{12})$ ,  $-pyridinyl(R_8)(R_{12})$ ,  
 $-pyrimidinyl(R_8)(R_{12})$ , and  $-quinolinyl(R_8)(R_{12})$ .
70. The targeting conjugate of claim 40 wherein  $R_{11}$  is selected from the group consisting of  $-C_{1-8}alkyl(R_{13})$ ,  $-O-C_{1-8}alkyl(R_{13})$ ,  $-NH-C_{1-8}alkyl(R_{13})$ ,  
30  $-S-C_{1-8}alkyl(R_{13})$ ,  $-C(=O)C_{1-8}alkyl(R_{13})$ ,  $-O-C(=O)C_{1-8}alkyl(R_{13})$ ,  
 $-NH-C(=O)C_{1-8}alkyl(R_{13})$ ,  $-C(=O)OC_{1-8}alkyl(R_{13})$ ,

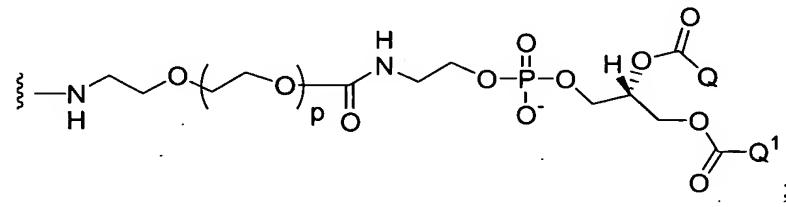
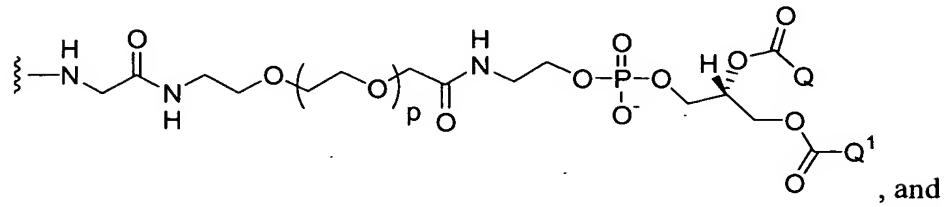
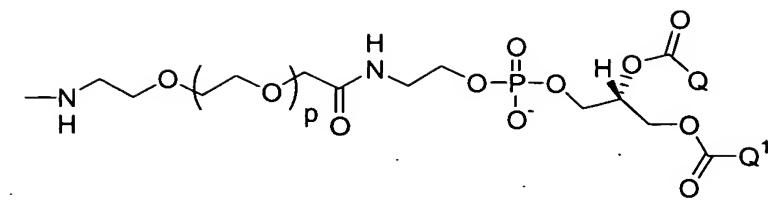
**PRD-0026 CIP**

- C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>),  
-O-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -NH-  
C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -O-  
C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -NH-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -  
C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -NH-  
C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
-SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-C(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
10 -OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
-OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
-NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
and -SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>).  
  
15 71. The targeting conjugate of claim 40 wherein R<sub>11</sub> is selected from the group  
consisting of -C<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -NH-C<sub>1-8</sub>alkyl(R<sub>13</sub>),  
-S-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>),  
-NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>),  
-O-C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>),  
20 -O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -NH-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -O-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
-NH-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
-O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), and -NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>).  
  
25 72. The targeting conjugate of claim 40 wherein R<sub>12</sub> is selected from the group  
consisting of -C<sub>1-6</sub>alkyl(R<sub>13</sub>), -O-C<sub>1-6</sub>alkyl(R<sub>13</sub>),  
-NH-C<sub>1-4</sub>alkyl(R<sub>13</sub>), -S-C<sub>1-6</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>O-C<sub>1-6</sub>alkyl(R<sub>13</sub>),  
-CH<sub>2</sub>NH-C<sub>1-6</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>S-C<sub>1-6</sub>alkyl(R<sub>13</sub>), -C(=O)C<sub>1-6</sub>alkyl(R<sub>13</sub>),  
-O-C(=O)C<sub>1-6</sub>alkyl(R<sub>13</sub>), -NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>),  
30 -CH<sub>2</sub>O-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>NH-C(=O)C<sub>1-6</sub>alkyl(R<sub>13</sub>),  
-C(=O)OC<sub>1-6</sub>alkyl(R<sub>13</sub>), -C(=O)NHC<sub>1-6</sub>alkyl(R<sub>13</sub>),  
-O-C(=O)OC<sub>1-6</sub>alkyl(R<sub>13</sub>), -O-C(=O)NHC<sub>1-6</sub>alkyl(R<sub>13</sub>),

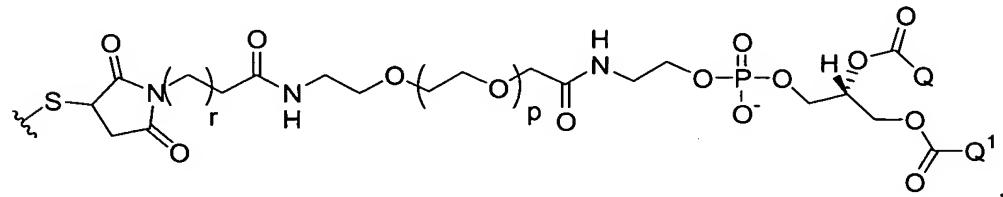
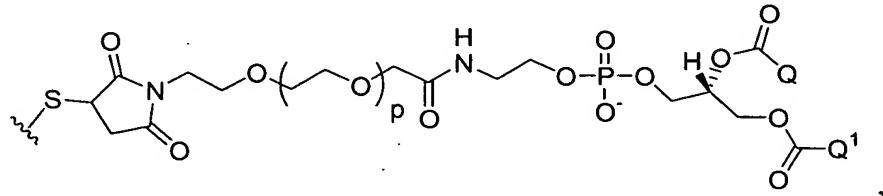
**PRD-0026 CIP**

- NH-C(=O)OC<sub>1-6</sub>alkyl(R<sub>13</sub>), -NH-C(=O)NHC<sub>1-6</sub>alkyl(R<sub>13</sub>),
- NH-C(=O)C<sub>1-6</sub>alkylC(=O)(R<sub>13</sub>), -CH<sub>2</sub>O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),
- NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -CH<sub>2</sub>O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),
- CH<sub>2</sub>NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),
- 5 -OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- 10 -OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- SO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- 15 -SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- 20 -OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- CH<sub>2</sub>OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- 25 -CH<sub>2</sub>NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- CH<sub>2</sub>NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>), and
- CH<sub>2</sub>NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>);

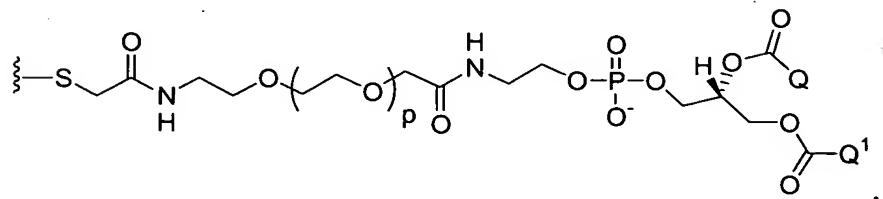
30 wherein when R<sub>11</sub> or R<sub>12</sub> terminates with a -C(=O)-, R<sub>13</sub> is selected from the group consisting of

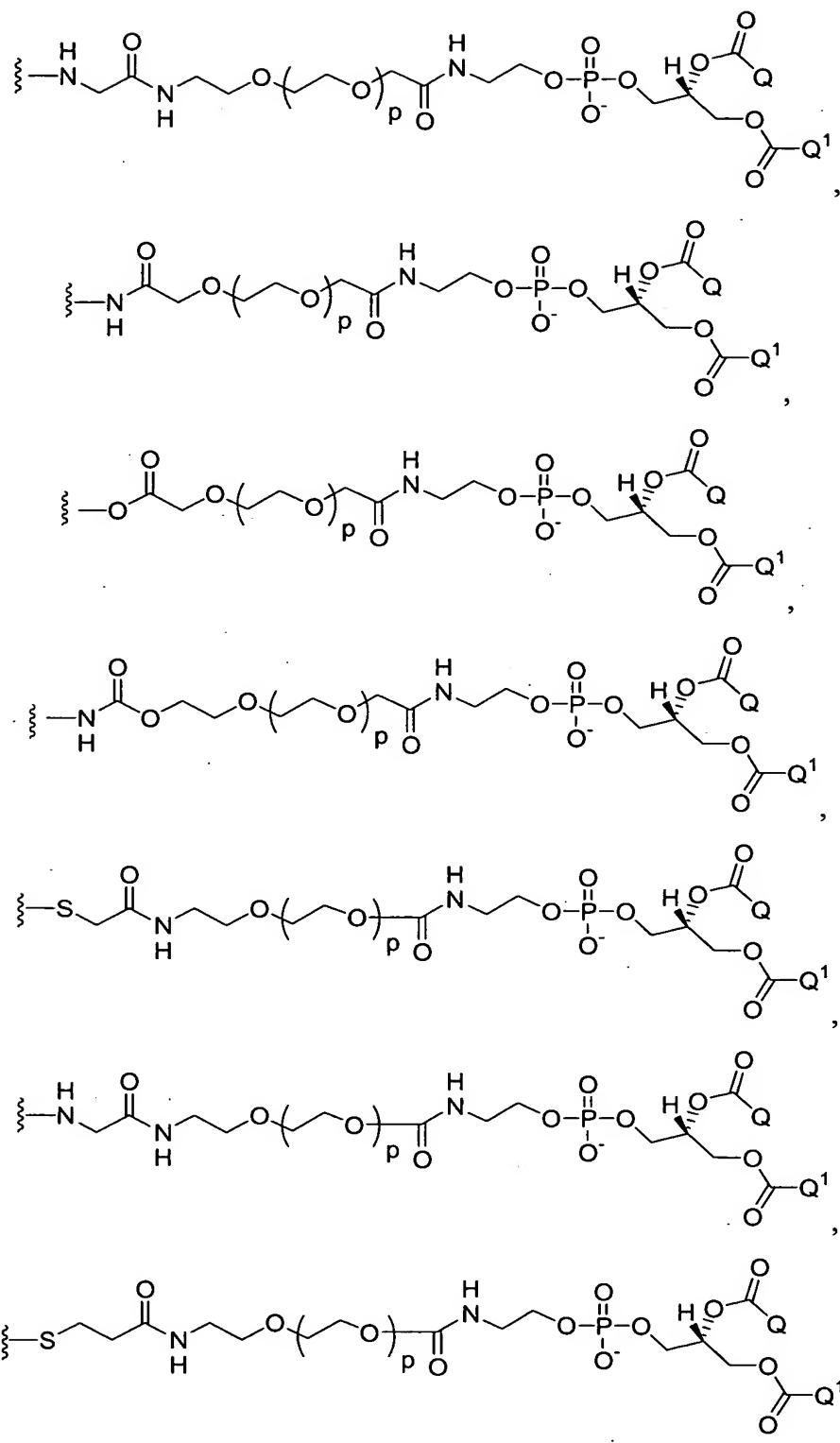


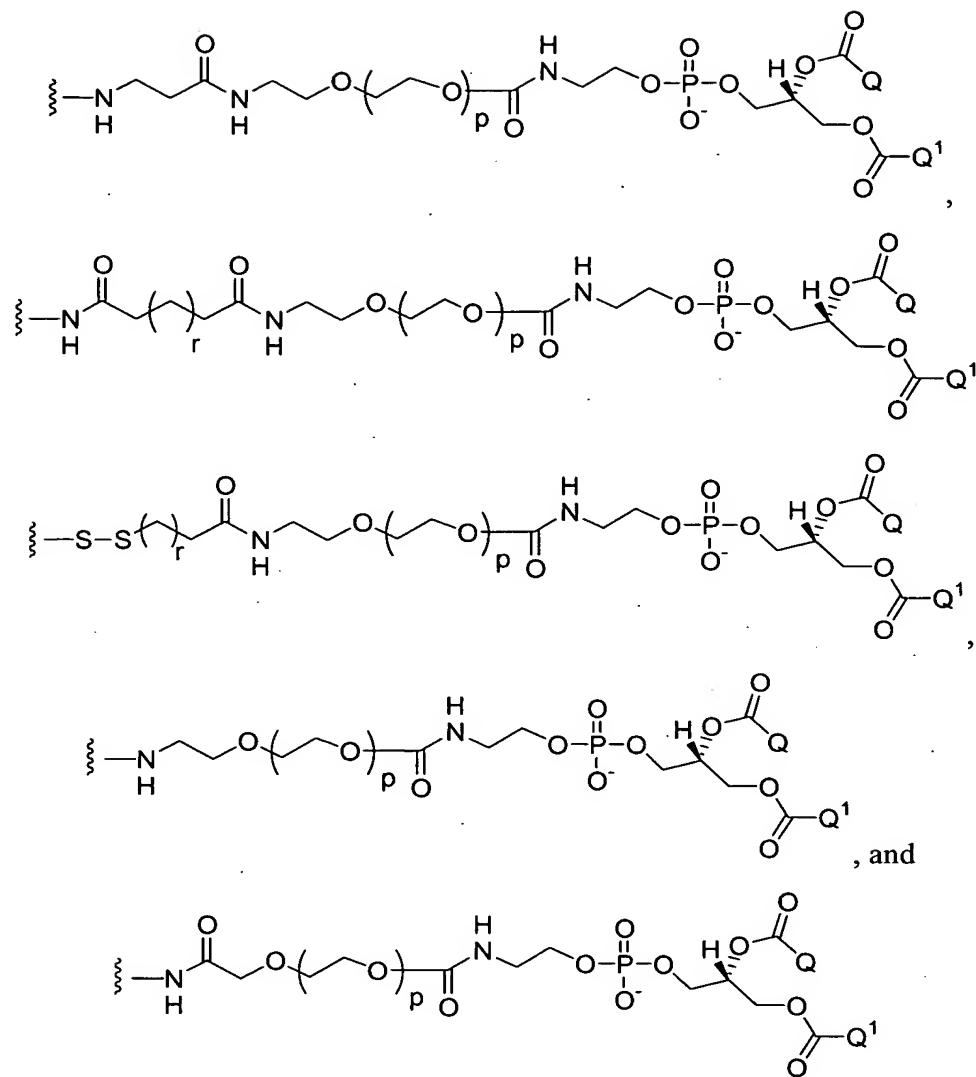
and when  $R_{11}$  or  $R_{12}$  does not terminate with a  $\text{--C}(=\text{O})-$ ,  $R_{13}$  is selected from the group consisting of



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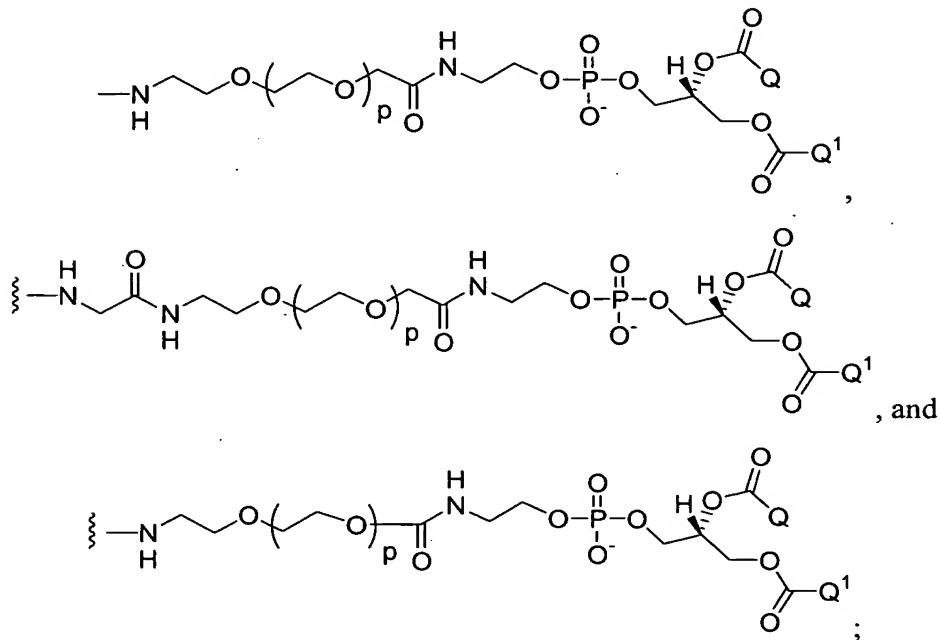
73. The targeting conjugate of claim 40 wherein R<sub>12</sub> is selected from the group consisting of -CH<sub>2</sub>O-C<sub>1-6</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>NH-C<sub>1-6</sub>alkyl(R<sub>13</sub>),  
10 -CH<sub>2</sub>S-C<sub>1-6</sub>alkyl(R<sub>13</sub>), -NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>),  
-CH<sub>2</sub>NH-C(=O)C<sub>1-6</sub>alkyl(R<sub>13</sub>), -NH-C(=O)NHC<sub>1-6</sub>alkyl(R<sub>13</sub>),  
-NH-C(=O)C<sub>1-6</sub>alkylC(=O)(R<sub>13</sub>),  
-OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
15 -NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
-NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),

**PRD-0026 CIP**

- CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>), and
- CH<sub>2</sub>NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>).

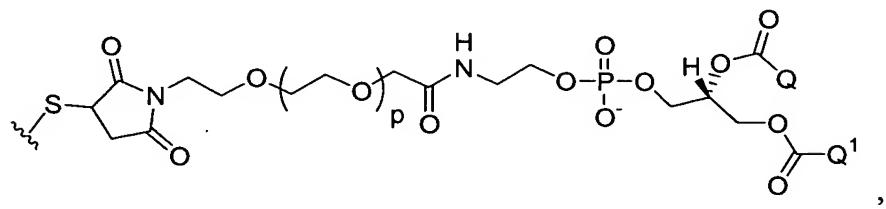
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wherein when R<sub>11</sub> or R<sub>12</sub> terminates with a -C(=O)-, R<sub>13</sub> is selected from the group consisting of

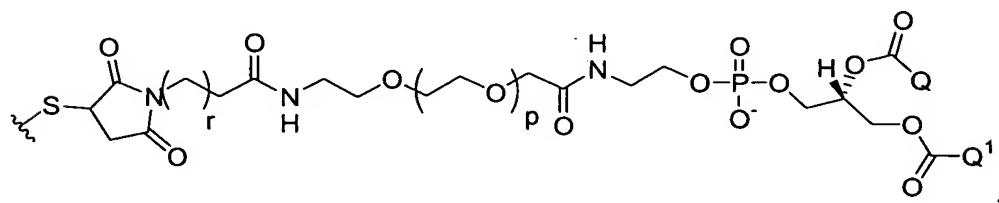


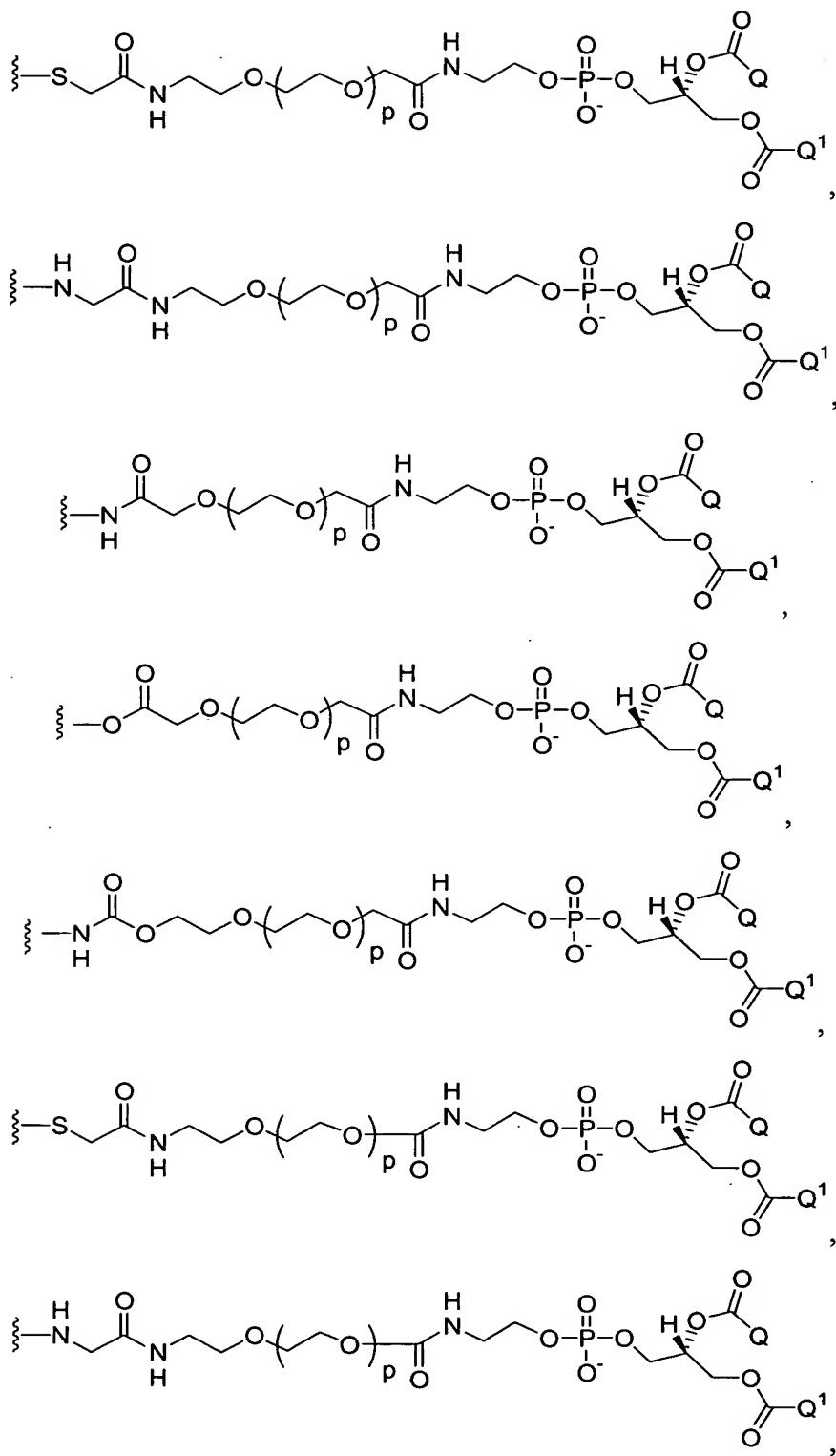
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and when R<sub>11</sub> or R<sub>12</sub> does not terminate with a -C(=O)-, R<sub>13</sub> is selected from the group consisting of

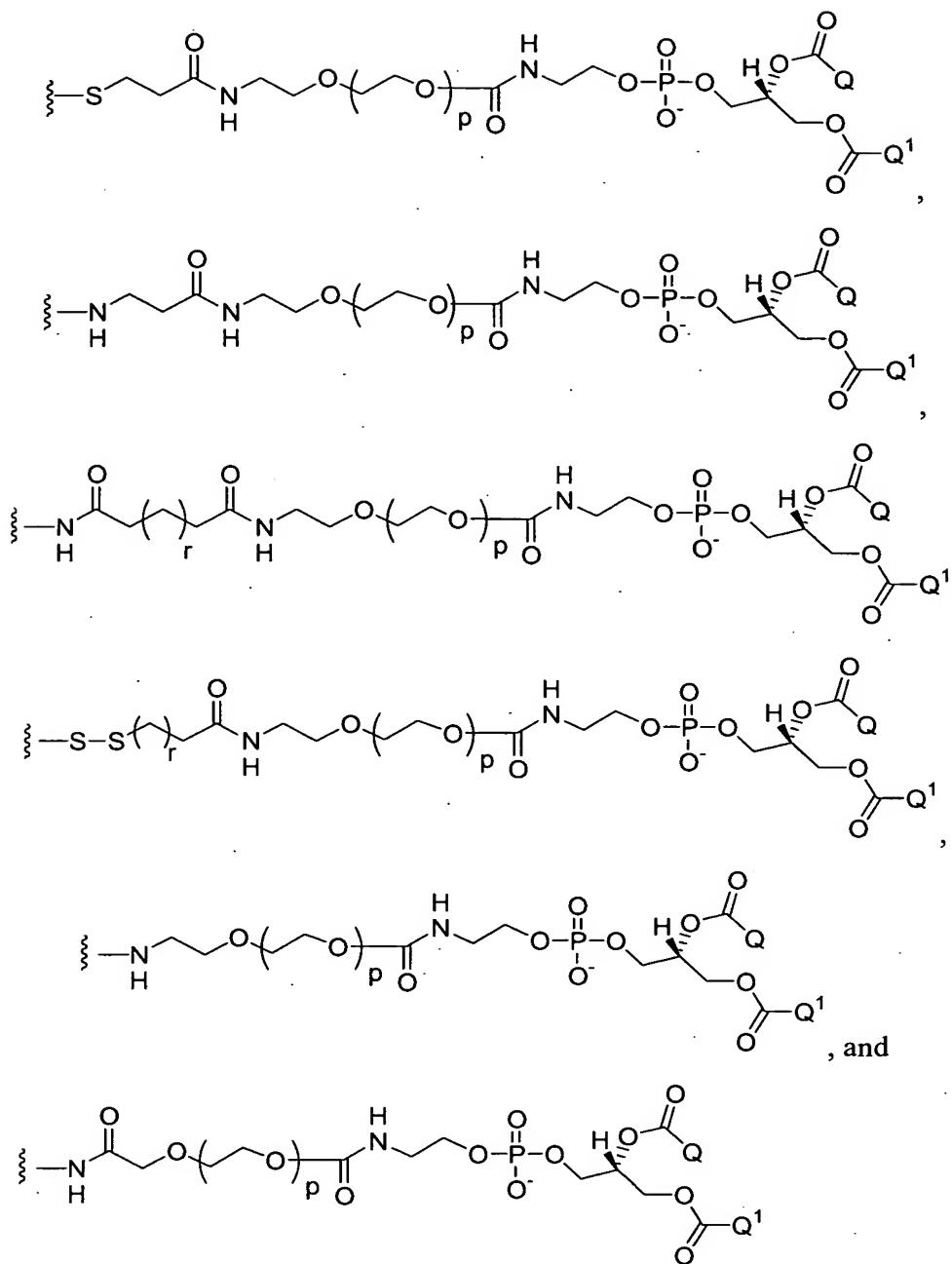


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74. The targeting conjugate of claim 40 wherein said  $-O-(CH_2CH_2O)_p-$  or



$R_{12}$  and  $R_{13}$  is a polyethylene glycol (PEG) polymer ranging in molecular weight from 2000 to 5000 daltons.

10

75. The targeting conjugate of claim 40 wherein wherein  $Q$  and  $Q^1$  of substituents

**PRD-0026 CIP**

R<sub>12</sub> and R<sub>13</sub> are the same within a given compound and are selected from the group consisting of the C<sub>15</sub> saturated chain of palmitoic acid, the C<sub>17</sub> saturated chain of stearoic acid, and the C<sub>17</sub> mono-unsaturated chain of oleoic acid.

5

76. The targeting conjugate of claim 40 wherein

W is preferably selected from the group consisting of -C<sub>0-4</sub>alkyl(R<sub>1</sub>), -C<sub>1-4</sub>alkyl(R<sub>1a</sub>), -C<sub>0-4</sub>alkyl-aryl(R<sub>1</sub>,R<sub>8</sub>), -C<sub>0-4</sub>alkyl-heterocyclyl(R<sub>1</sub>,R<sub>8</sub>), -C<sub>0-4</sub>alkoxy(R<sub>1</sub>), -C<sub>0-4</sub>alkoxy-aryl(R<sub>1</sub>,R<sub>8</sub>), and -C<sub>0-4</sub>alkoxy-heterocyclyl(R<sub>1</sub>,R<sub>8</sub>);

10

R<sub>1</sub> is -N(R<sub>4</sub>)(R<sub>6</sub>), -heterocyclyl(R<sub>8</sub>) or -heteroaryl(R<sub>8</sub>);

15

R<sub>1a</sub> is -C(R<sub>4</sub>)(=N-R<sub>4</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>) or -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>;

R<sub>4</sub> is hydrogen or -C<sub>1-4</sub>alkyl(R<sub>7</sub>);

20

R<sub>5</sub> is -C(=O)-R<sub>4</sub>, -C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -C(=O)-cycloalkyl(R<sub>8</sub>), -C(=O)-heterocyclyl(R<sub>8</sub>), -C(=O)-aryl(R<sub>8</sub>), -C(=O)-heteroaryl(R<sub>8</sub>), -C(=O)-N(R<sub>4</sub>)-cycloalkyl(R<sub>8</sub>), -C(=O)-N(R<sub>4</sub>)-aryl(R<sub>8</sub>), -CO<sub>2</sub>-R<sub>4</sub>, -CO<sub>2</sub>-cycloalkyl(R<sub>8</sub>), -CO<sub>2</sub>-aryl(R<sub>8</sub>), -C(R<sub>4</sub>)(=N-R<sub>4</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>, -N(R<sub>4</sub>)-C(R<sub>4</sub>)(=N-R<sub>4</sub>), -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>), -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>, -SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>), -SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>, -SO<sub>2</sub>-cycloalkyl(R<sub>8</sub>) or -SO<sub>2</sub>-aryl(R<sub>8</sub>);

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**PRD-0026 CIP**

R<sub>6</sub> is -heterocyclyl(R<sub>8</sub>) or -heteroaryl(R<sub>8</sub>);

R<sub>7</sub> is one to two substituents independently selected from hydrogen,

-C<sub>1-4</sub>alkoxy(R<sub>9</sub>), -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -C(=O)H,

5

-C(=O)-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>),

-C(=O)-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -C(=O)-NH-aryl(R<sub>10</sub>), -C(=O)-cycloalkyl(R<sub>10</sub>),

-C(=O)-heterocyclyl(R<sub>10</sub>), -C(=O)-aryl(R<sub>10</sub>), -C(=O)-heteroaryl(R<sub>10</sub>), -CO<sub>2</sub>H,

-CO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -CO<sub>2</sub>-aryl(R<sub>10</sub>), -C(=NH)-NH<sub>2</sub>, -SH, -S-C<sub>1-4</sub>alkyl(R<sub>9</sub>),

-S-C<sub>1-4</sub>alkyl-S-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -S-C<sub>1-4</sub>alkyl-C<sub>1-4</sub>alkoxy(R<sub>9</sub>),

10

-S-C<sub>1-4</sub>alkyl-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-NH<sub>2</sub>,

-SO<sub>2</sub>-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -SO<sub>2</sub>-aryl(R<sub>10</sub>), cyano, (halo)<sub>1-3</sub>,

hydroxy, nitro, oxo, -cycloalkyl(R<sub>10</sub>), -heterocyclyl(R<sub>10</sub>), -aryl(R<sub>10</sub>) or

-heteroaryl(R<sub>10</sub>);

15

R<sub>8</sub> is one to four substituents independently selected from hydrogen,

-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C(=O)H, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>),

-C(=O)-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>) or -SO<sub>2</sub>-NH<sub>2</sub> when

attached to a nitrogen atom; and, wherein R<sub>8</sub> is one to four substituents

independently selected from hydrogen, -C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C<sub>1-4</sub>alkoxy(R<sub>9</sub>),

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-O-aryl(R<sub>10</sub>), -C(=O)H, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>),

-C(=O)-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-NH<sub>2</sub>, -NH<sub>2</sub>,

-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, cyano, halo, hydroxy, nitro or oxo when attached to a carbon atom;

25

R<sub>9</sub> is hydrogen, -C<sub>1-4</sub>alkoxy, -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl, -N(C<sub>1-4</sub>alkyl)<sub>2</sub>, -C(=O)H,

-C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl, -C(=O)-N(C<sub>1-4</sub>alkyl)<sub>2</sub>, -CO<sub>2</sub>H,

-CO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-4</sub>alkyl,

-SO<sub>2</sub>-N(C<sub>1-4</sub>alkyl)<sub>2</sub>, cyano, (halo)<sub>1-3</sub>, hydroxy, nitro or oxo;

30

R<sub>10</sub> is one to four substituents independently selected from hydrogen, -C<sub>1-4</sub>alkyl,

-C(=O)H, -C(=O)-C<sub>1-4</sub>alkyl, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl,

-C(=O)-N(C<sub>1-4</sub>alkyl)<sub>2</sub>, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>,

**PRD-0026 CIP**

-SO<sub>2</sub>-NH-C<sub>1-4</sub>alkyl or -SO<sub>2</sub>-N(C<sub>1-4</sub>alkyl)<sub>2</sub> when attached to a nitrogen atom; and,  
wherein R<sub>10</sub> is one to four substituents independently selected from hydrogen,  
-C<sub>1-4</sub>alkyl, -C<sub>1-4</sub>alkoxy, -C(=O)H, -C(=O)-C<sub>1-4</sub>alkyl, -C(=O)-NH<sub>2</sub>,  
-C(=O)-NH-C<sub>1-4</sub>alkyl, -C(=O)-N(C<sub>1-4</sub>alkyl)<sub>2</sub>, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl,  
5 -SO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-N(C<sub>1-4</sub>alkyl)<sub>2</sub>, -NH<sub>2</sub>,  
-NH-C<sub>1-4</sub>alkyl, -N(C<sub>1-4</sub>alkyl)<sub>2</sub>, cyano, halo, hydroxy, nitro or oxo when attached  
to a carbon atom;

R<sub>2a</sub> is -cycloalkyl(R<sub>8</sub>)(R<sub>11</sub>), -heterocyclyl(R<sub>8</sub>)(R<sub>12</sub>), -aryl(R<sub>8</sub>)(R<sub>12</sub>) or  
10 -heteroaryl(R<sub>8</sub>)(R<sub>12</sub>);

q is 1, 2 or 3.

R<sub>11</sub> is selected from the group consisting of -C<sub>1-8</sub>alkyl(R<sub>13</sub>),  
15 -O-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -NH-C<sub>1-8</sub>alkyl(R<sub>13</sub>),  
-S-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>),  
-NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>),  
-O-C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>),  
-O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -NH-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
20 -C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -O-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
-NH-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
-O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
-SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
25 -SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-C(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
-OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
-OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
-NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
30 and -SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>);

R<sub>12</sub> is selected from the group consisting of

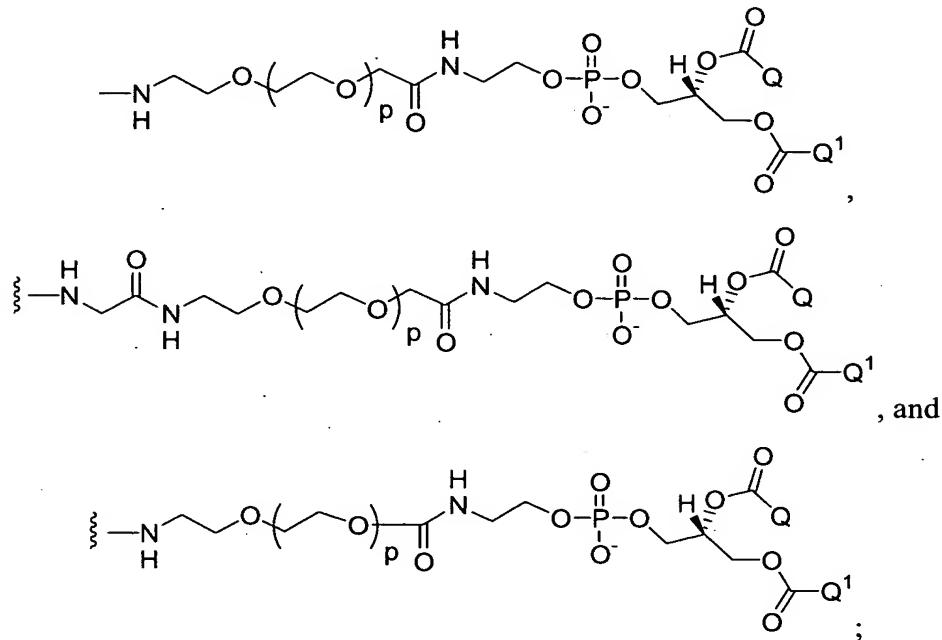
**PRD-0026 CIP**

- C<sub>1-6</sub>alkyl(R<sub>13</sub>), -O-C<sub>1-6</sub>alkyl(R<sub>13</sub>),
- NH-C<sub>1-4</sub>alkyl(R<sub>13</sub>), -S-C<sub>1-6</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>O-C<sub>1-6</sub>alkyl(R<sub>13</sub>),
- CH<sub>2</sub>NH-C<sub>1-6</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>S-C<sub>1-6</sub>alkyl(R<sub>13</sub>), -C(=O)C<sub>1-6</sub>alkyl(R<sub>13</sub>),
- O-C(=O)C<sub>1-6</sub>alkyl(R<sub>13</sub>), -NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>),
- 5 -CH<sub>2</sub>O-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>NH-C(=O)C<sub>1-6</sub>alkyl(R<sub>13</sub>),  
-C(=O)OC<sub>1-6</sub>alkyl(R<sub>13</sub>), -C(=O)NHC<sub>1-6</sub>alkyl(R<sub>13</sub>),  
-O-C(=O)OC<sub>1-6</sub>alkyl(R<sub>13</sub>), -O-C(=O)NHC<sub>1-6</sub>alkyl(R<sub>13</sub>),  
-NH-C(=O)OC<sub>1-6</sub>alkyl(R<sub>13</sub>), -NH-C(=O)NHC<sub>1-6</sub>alkyl(R<sub>13</sub>),  
-NH-C(=O)C<sub>1-6</sub>alkylC(=O)(R<sub>13</sub>), -CH<sub>2</sub>O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),
- 10 -NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -CH<sub>2</sub>O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
-CH<sub>2</sub>NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
-OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- 15 -OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
-NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
-OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- 20 -NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-SO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- 25 -CH<sub>2</sub>SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
-OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
-NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
-NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- 30 -NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
-CH<sub>2</sub>OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
-CH<sub>2</sub>NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),

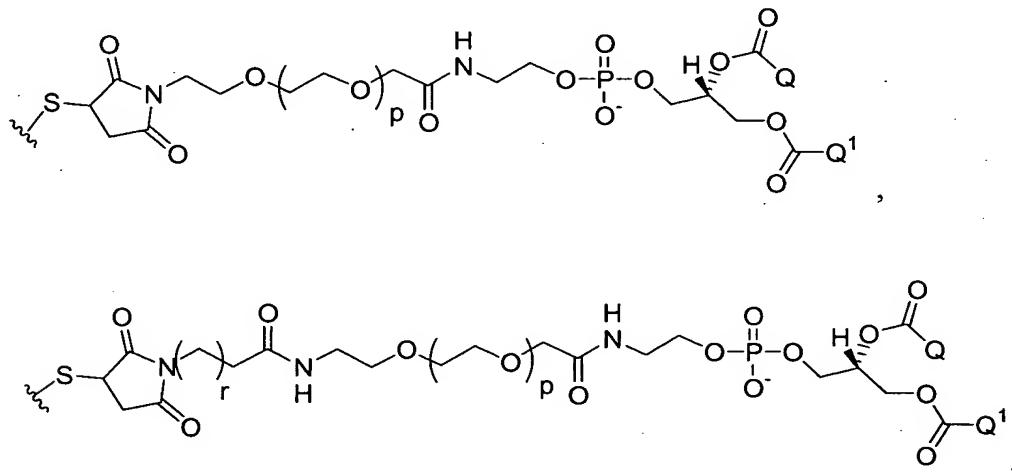
**PRD-0026 CIP**

-CH<sub>2</sub>NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>), and  
 -CH<sub>2</sub>NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>);

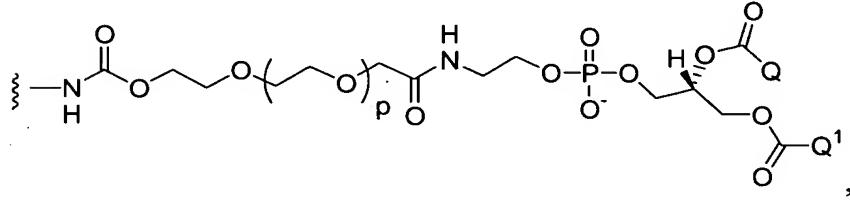
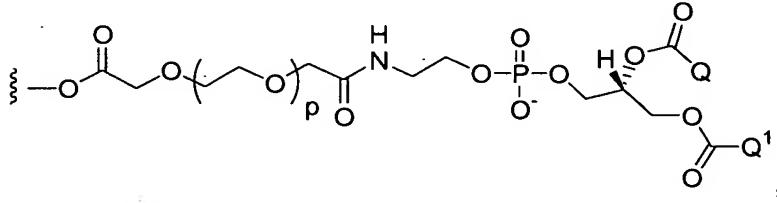
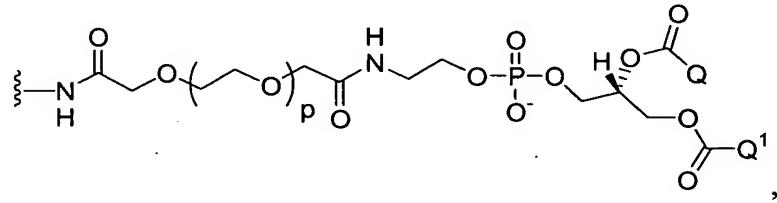
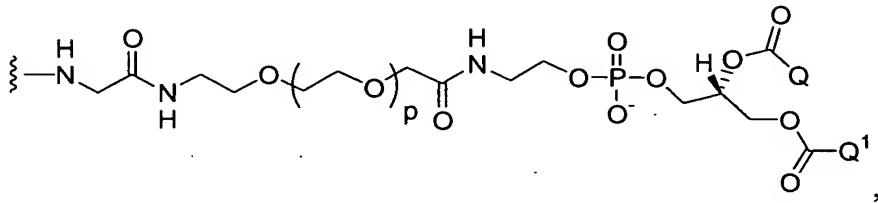
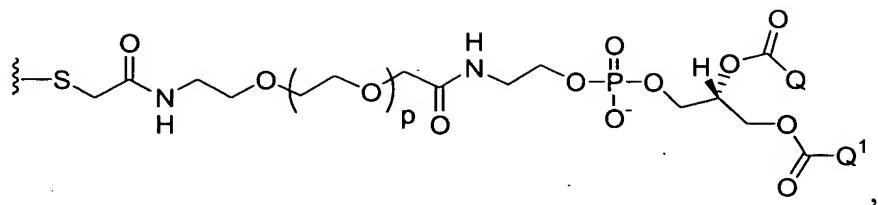
wherein when R<sub>11</sub> or R<sub>12</sub> terminates with a -C(=O)-, R<sub>13</sub> is selected from the group consisting of



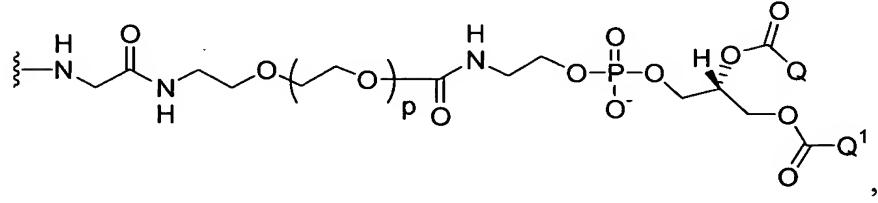
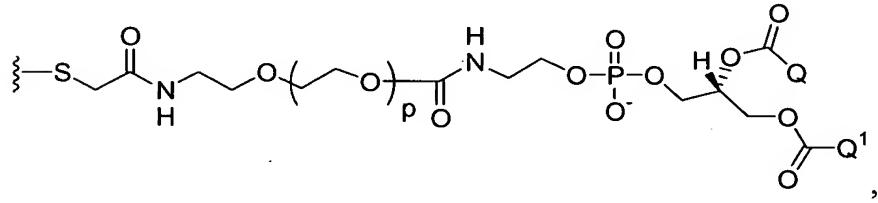
and when R<sub>11</sub> or R<sub>12</sub> does not terminate with a -C(=O)-, R<sub>13</sub> is selected from the group consisting of

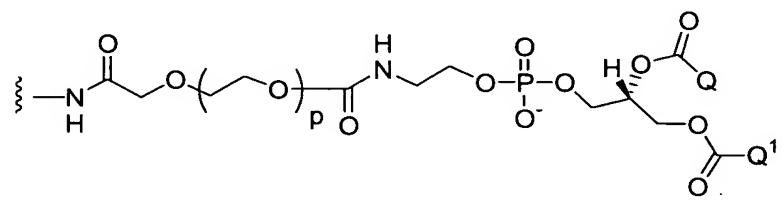
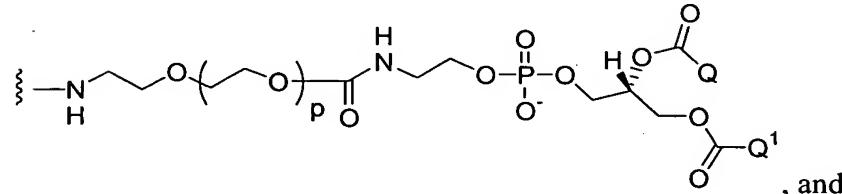
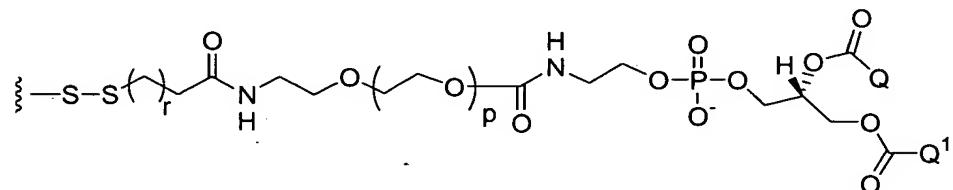
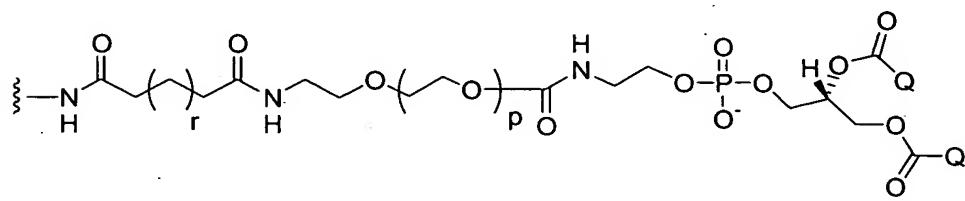
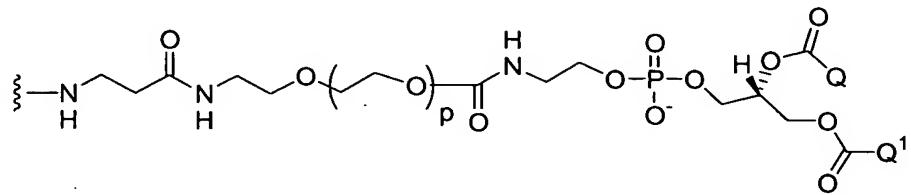
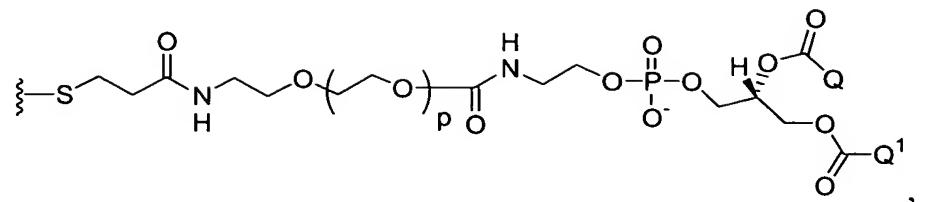


**PRD-0026 CIP**



5





said  $-\text{O---}(\text{CH}_2\text{CH}_2\text{O})_p-$  or  $\text{---O---} \begin{array}{c} \text{H} \\ | \\ \text{---CH}_2\text{---CH}_2\text{---O---} \end{array} \text{---} (\text{---O---CH}_2\text{---CH}_2\text{---})_p$  of  $R_{12}$  and  $R_{13}$  is a polyethylene glycol (PEG) polymer ranging in molecular weight from 750 to 5000 daltons;

$r$  is an integer from 0 to 8;

Q and Q<sup>1</sup> of substituents R<sub>12</sub> and R<sub>13</sub> are the same within a given compound and are selected from the group consisting of

the C<sub>11</sub> saturated chain of lauric acid,

5 the C<sub>15</sub> saturated chain of palmitoic acid,

the C<sub>17</sub> saturated chain of stearoic acid,

the C<sub>17</sub> mono-unsaturated chain of oleoic acid, and

the C<sub>17</sub> di-unsaturated chain of linoleic acid;

10 Z is selected from the group consisting of hydroxy, -NH<sub>2</sub>, -NH-C<sub>1-8</sub>alkyl, -N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -O-C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-OH, -O-C<sub>1-8</sub>alkylC<sub>1-4</sub>alkoxy, -O-C<sub>1-8</sub>alkylcarbonylC<sub>1-4</sub>alkyl, -O-C<sub>1-8</sub>alkyl-CO<sub>2</sub>H, -O-C<sub>1-8</sub>alkyl-C(O)O-C<sub>1-6</sub>alkyl, -O-C<sub>1-8</sub>alkyl-O-C(O)C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-NH<sub>2</sub>, -O-C<sub>1-8</sub>alkyl-NH-C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -O-C<sub>1-8</sub>alkylamide -O-C<sub>1-8</sub>alkyl-C(O)-NH-C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-C(O)-N(C<sub>1-8</sub>alkyl)<sub>2</sub> and  
15 -NHC(O)C<sub>1-8</sub>alkyl.

77. The targeting conjugate of claim 40 wherein

20 W is preferably -C<sub>0-4</sub>alkyl(R<sub>1</sub>) or -C<sub>0-4</sub>alkyl-phenyl(R<sub>1</sub>,R<sub>8</sub>);

R<sub>1</sub> is -N(R<sub>4</sub>)(R<sub>6</sub>), -tetrahydropyrimidinyl(R<sub>8</sub>) or -tetrahydro-1,8-naphthyridinyl(R<sub>8</sub>);

25 R<sub>1a</sub> is -C(R<sub>4</sub>)(=N-R<sub>4</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>) or -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>;

30 R<sub>4</sub> is hydrogen;

R<sub>5</sub> is -C(=O)-R<sub>4</sub>, -C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -CO<sub>2</sub>-R<sub>4</sub>, -C(R<sub>4</sub>)(=N-R<sub>4</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -N(R<sub>4</sub>)-C(R<sub>4</sub>)(=N-R<sub>4</sub>), -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>,

**PRD-0026 CIP**

-N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>) or -SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>;

R<sub>6</sub> is -dihydroimidazolyl(R<sub>8</sub>), -tetrahydropyridinyl(R<sub>8</sub>),  
-tetrahydropyrimidinyl(R<sub>8</sub>) or -pyridinyl(R<sub>8</sub>);

5

R<sub>7</sub> is hydrogen;

R<sub>8</sub> is one to four substituents independently selected from hydrogen or  
-C<sub>1-4</sub>alkyl(R<sub>9</sub>) when attached to a nitrogen atom; and, wherein R<sub>8</sub> is one to four  
10 substituents independently selected from hydrogen, -C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
-C<sub>1-4</sub>alkoxy(R<sub>9</sub>) -O-aryl(R<sub>10</sub>) or hydroxy when attached to a carbon atom;

10

15

R<sub>9</sub> is hydrogen, -C<sub>1-4</sub>alkoxy, -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl, -N(C<sub>1-4</sub>alkyl)<sub>2</sub>, (halo)<sub>1-3</sub> or  
hydroxy;

R<sub>10</sub> is hydrogen;

20

R<sub>2a</sub> is -tetrahydropyrimidinyl(R<sub>8</sub>)(R<sub>12</sub>) , -1,3-benzodioxolyl(R<sub>8</sub>)(R<sub>12</sub>),  
-dihydrobenzofuranyl(R<sub>8</sub>)(R<sub>12</sub>), -tetrahydroquinolinyl(R<sub>8</sub>)(R<sub>12</sub>),  
-phenyl(R<sub>8</sub>)(R<sub>12</sub>), -naphthalenyl(R<sub>8</sub>)(R<sub>12</sub>), -pyridinyl(R<sub>8</sub>)(R<sub>12</sub>),  
-pyrimidinyl(R<sub>8</sub>)(R<sub>12</sub>) or -quinolinyl(R<sub>8</sub>)(R<sub>12</sub>);

25

q is 1 or 2;

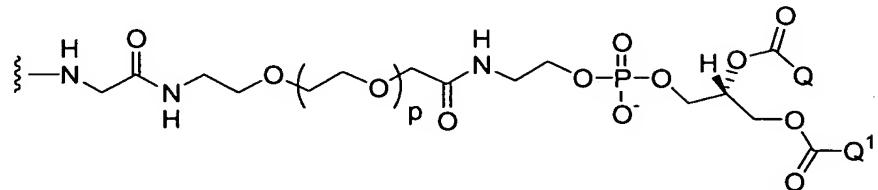
R<sub>12</sub> is selected from the group consisting of  
-CH<sub>2</sub>-O-(CH<sub>2</sub>)<sub>4</sub>(R<sub>13</sub>)-,  
-CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>4</sub>(R<sub>13</sub>)-,  
-CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>4</sub>(R<sub>13</sub>)-,  
30 -CH<sub>2</sub>-O-(CH<sub>2</sub>)<sub>6</sub>(R<sub>13</sub>)-,  
-CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>6</sub>(R<sub>13</sub>)-,  
-CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>6</sub>(R<sub>13</sub>)-,

30

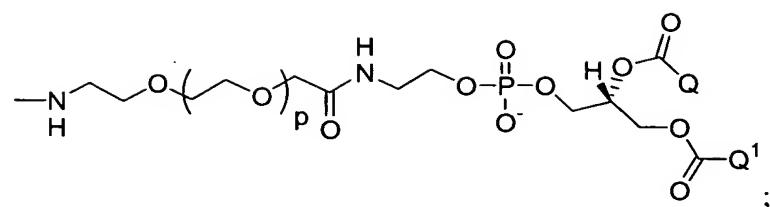
**PRD-0026 CIP**

- NH-C(=O)-(CH<sub>2</sub>)<sub>4</sub>(R<sub>13</sub>)-,
- NH-C(=O)-(CH<sub>2</sub>)<sub>7</sub>(R<sub>13</sub>)-,
- NH-C(=O)NH-(CH<sub>2</sub>)<sub>3</sub>(R<sub>13</sub>)-,
- NH-C(=O)NH-(CH<sub>2</sub>)<sub>6</sub>(R<sub>13</sub>)-,
- 5 -CH<sub>2</sub>NH-C(=O)NH-(CH<sub>2</sub>)<sub>2</sub>(R<sub>13</sub>)-,
- CH<sub>2</sub>NH-C(=O)NH-(CH<sub>2</sub>)<sub>5</sub>(R<sub>13</sub>)-,
- NHC(=O)-(CH<sub>2</sub>)<sub>2</sub>-C(=O)(R<sub>13</sub>)-,
- NHC(=O)-(CH<sub>2</sub>)<sub>3</sub>-C(=O)(R<sub>13</sub>)-,
- NHC(=O)-(CH<sub>2</sub>)<sub>4</sub>-C(=O)(R<sub>13</sub>)-,
- 10 -OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- NHCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- NHCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>C(=O)(R<sub>13</sub>)-,
- 15 -OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>C(=O)(R<sub>13</sub>)-,
- NHC(=O)CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- NHC(=O)CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- 20 -CH<sub>2</sub>SCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- CH<sub>2</sub>SCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- CH<sub>2</sub>NHC(=O)CH<sub>2</sub>OCH<sub>2</sub>C(=O)(R<sub>13</sub>)-, and
- 25 -NHC(=O)CH<sub>2</sub>OCH<sub>2</sub>C(=O)(R<sub>13</sub>)-;

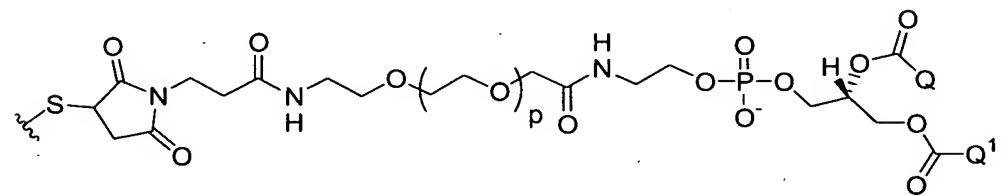
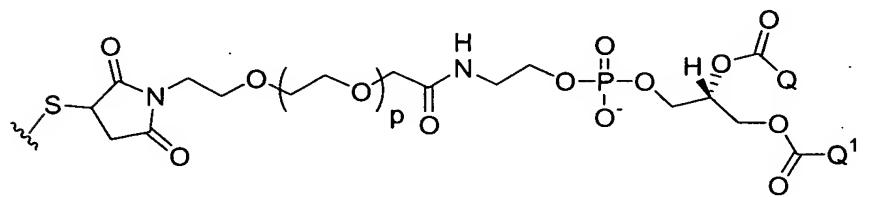
wherein when R<sub>11</sub> or R<sub>12</sub> terminates with a -C(=O)-, R<sub>13</sub> is selected from the group consisting of



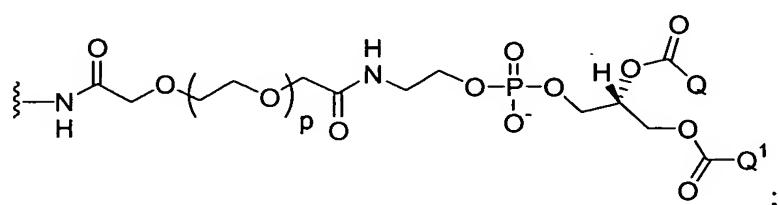
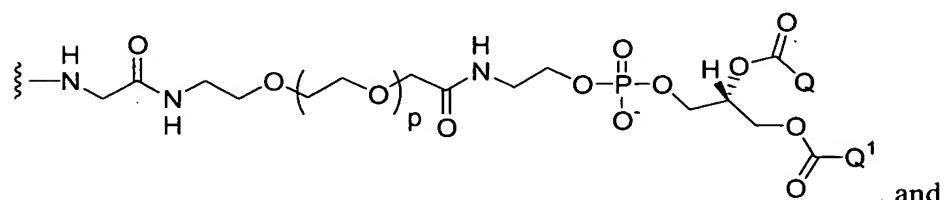
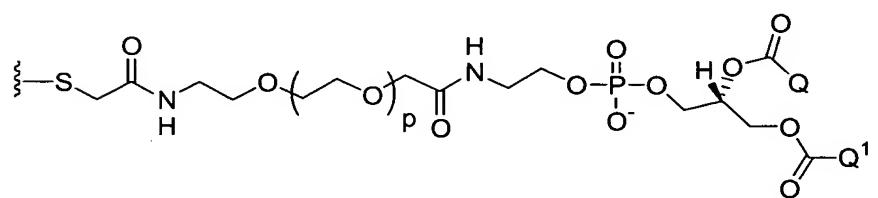
and



and when  $R_{11}$  or  $R_{12}$  does not terminate with a  $-C(=O)-$ ,  $R_{13}$  is selected from the group consisting of



10



—O( $\text{CH}_2\text{CH}_2\text{O}$ ) $_p$ —

wherein said —O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>p</sub>- or polyethylene glycol (PEG) polymer selected from 2000 (PEG 2000), 3400 (PEG 3400), or 5000 (PEG 5000) Daltons;

5

r is an integer from 0 to 8;

Q and Q<sup>1</sup> of substituents R<sub>12</sub> and R<sub>13</sub> are the same within a given compound and is the C<sub>17</sub> saturated chain of stearic acid;

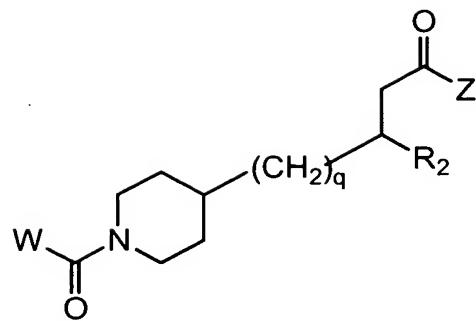
10

Z is selected from the group consisting of hydroxy, -NH<sub>2</sub>, -NH-C<sub>1-8</sub>alkyl, -N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -O-C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-OH, -O-C<sub>1-8</sub>alkylC<sub>1-4</sub>alkoxy, -O-C<sub>1-8</sub>alkylcarbonylC<sub>1-4</sub>alkyl, -O-C<sub>1-8</sub>alkyl-CO<sub>2</sub>H, -O-C<sub>1-8</sub>alkyl-C(O)O-C<sub>1-6</sub>alkyl, -O-C<sub>1-8</sub>alkyl-O-C(O)C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-NH<sub>2</sub>, -O-C<sub>1-8</sub>alkyl-NH-C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -O-C<sub>1-8</sub>alkylamide -O-C<sub>1-8</sub>alkyl-C(O)-NH-C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-C(O)-N(C<sub>1-8</sub>alkyl)<sub>2</sub> and -NHC(O)C<sub>1-8</sub>alkyl.

15

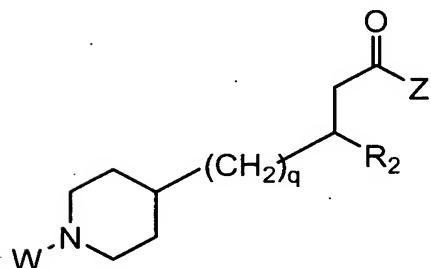
78. A therapeutic liposome composition sensitized to a target cell, comprising
- (i) a liposomal composition composed of pre-formed liposomes having an entrapped therapeutic agent; and
- (ii) a plurality of targeting conjugates, each conjugate composed of
- (a) a lipid having a polar head group and a hydrophobic tail, (b) a hydrophilic polymer having a proximal end and a distal end, where the polymer is attached at its proximal end to the head group of the lipid, and
- (c) a targeting ligand attached to the distal end of the polymer.
79. The liposome of claim 78 wherein the targeting conjugate has a formula selected from the group consisting of
- Formula (I):

25



Formula (I)

and Formula (II):



Formula (II)

5       wherein

W is selected from the group consisting of -C<sub>0-6</sub>alkyl(R<sub>1</sub>), -C<sub>1-6</sub>alkyl(R<sub>1a</sub>), -C<sub>0-6</sub>alkyl-aryl(R<sub>1</sub>,R<sub>8</sub>), -C<sub>0-6</sub>alkyl-heterocyclyl(R<sub>1</sub>,R<sub>8</sub>), -C<sub>0-6</sub>alkoxy(R<sub>1</sub>), -C<sub>0-6</sub>alkoxy-aryl(R<sub>1</sub>,R<sub>8</sub>), and -C<sub>0-6</sub>alkoxy-heterocyclyl(R<sub>1</sub>,R<sub>8</sub>);

10      R<sub>1</sub> is selected from the group consisting of hydrogen, -N(R<sub>4</sub>)<sub>2</sub>, -N(R<sub>4</sub>)(R<sub>5</sub>), -N(R<sub>4</sub>)(R<sub>6</sub>), -heterocyclyl(R<sub>8</sub>) and -heteroaryl(R<sub>8</sub>);

R<sub>1a</sub> is selected from the group consisting of -C(R<sub>4</sub>)(=N-R<sub>4</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>7</sub>) and -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>;

R<sub>4</sub> is selected from the group consisting of hydrogen and -C<sub>1-8</sub>alkyl(R<sub>7</sub>);

20      R<sub>5</sub> is selected from the group consisting of -C(=O)-R<sub>4</sub>, -C(=O)-N(R<sub>4</sub>)<sub>2</sub>,

**PRD-0026 CIP**

-C(=O)-cycloalkyl(R<sub>8</sub>), -C(=O)-heterocyclyl(R<sub>8</sub>), -C(=O)-aryl(R<sub>8</sub>),  
-C(=O)-heteroaryl(R<sub>8</sub>), -C(=O)-N(R<sub>4</sub>)-cycloalkyl(R<sub>8</sub>), -C(=O)-N(R<sub>4</sub>)-aryl(R<sub>8</sub>),  
-CO<sub>2</sub>-R<sub>4</sub>, -CO<sub>2</sub>-cycloalkyl(R<sub>8</sub>), -CO<sub>2</sub>-aryl(R<sub>8</sub>), -C(R<sub>4</sub>)=(N-R<sub>4</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>,  
-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>,  
5 -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>,  
-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>7</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>,  
-N(R<sub>4</sub>)-C(R<sub>4</sub>)=(N-R<sub>4</sub>), -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>),  
-N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>,  
-N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>7</sub>),  
10 -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>, -SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>7</sub>), -SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>,  
-SO<sub>2</sub>-cycloalkyl(R<sub>8</sub>) and -SO<sub>2</sub>-aryl(R<sub>8</sub>);

R<sub>6</sub> is selected from the group consisting of -cycloalkyl(R<sub>8</sub>), -heterocyclyl(R<sub>8</sub>), -aryl(R<sub>8</sub>) and -heteroaryl(R<sub>8</sub>);

15 R<sub>7</sub> is one to two substituents independently selected from the group consisting of hydrogen, -C<sub>1-8</sub>alkoxy(R<sub>9</sub>), -NH<sub>2</sub>, -NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -C(=O)H,  
-C(=O)-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>),  
-C(=O)-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -C(=O)-NH-aryl(R<sub>10</sub>), -C(=O)-cycloalkyl(R<sub>10</sub>),  
20 -C(=O)-heterocyclyl(R<sub>10</sub>), -C(=O)-aryl(R<sub>10</sub>), -C(=O)-heteroaryl(R<sub>10</sub>), -CO<sub>2</sub>H,  
-CO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -CO<sub>2</sub>-aryl(R<sub>10</sub>), -C(=NH)-NH<sub>2</sub>, -SH, -S-C<sub>1-8</sub>alkyl(R<sub>9</sub>),  
-S-C<sub>1-8</sub>alkyl-S-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -S-C<sub>1-8</sub>alkyl-C<sub>1-8</sub>alkoxy(R<sub>9</sub>),  
-S-C<sub>1-8</sub>alkyl-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-NH<sub>2</sub>,  
-SO<sub>2</sub>-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -SO<sub>2</sub>-aryl(R<sub>10</sub>), cyano, (halo)<sub>1-3</sub>,  
25 hydroxy, nitro, oxo, -cycloalkyl(R<sub>10</sub>), -heterocyclyl(R<sub>10</sub>), -aryl(R<sub>10</sub>) and  
-heteroaryl(R<sub>10</sub>);

R<sub>8</sub> is one to four substituents independently selected from the group consisting of hydrogen, -C<sub>1-8</sub>alkyl(R<sub>9</sub>), -C(=O)H, -C(=O)-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -C(=O)-NH<sub>2</sub>,  
30 -C(=O)-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -C(=O)-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -C(=O)-NH-aryl(R<sub>10</sub>),  
-C(=O)-cycloalkyl(R<sub>10</sub>), -C(=O)-heterocyclyl(R<sub>10</sub>), -C(=O)-aryl(R<sub>10</sub>),  
-C(=O)-heteroaryl(R<sub>10</sub>), -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -CO<sub>2</sub>-aryl(R<sub>10</sub>), -C(=NH)-NH<sub>2</sub>,

**PRD-0026 CIP**

- SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>,  
-SO<sub>2</sub>-aryl(R<sub>10</sub>), -cycloalkyl(R<sub>10</sub>) and -aryl(R<sub>10</sub>) when attached to a nitrogen atom;  
and, wherein R<sub>8</sub> is one to four substituents independently selected from the group  
consisting of hydrogen, -C<sub>1-8</sub>alkyl(R<sub>9</sub>), -C<sub>1-8</sub>alkoxy(R<sub>9</sub>), -O-cycloalkyl(R<sub>10</sub>),  
5 -O-aryl(R<sub>10</sub>), -C(=O)H, -C(=O)-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -NHC(=O)-C<sub>1-8</sub>alkyl(R<sub>9</sub>),  
-C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -C(=O)-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>,  
-C(=O)-NH-aryl(R<sub>10</sub>), -NHC(=O)-NH<sub>2</sub>, -NHC(=O)-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>),  
-NHC(=O)-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -NHC(=O)-NH-aryl(R<sub>10</sub>),  
-NHC(=O)-O-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -NHC(=O)-O-aryl(R<sub>10</sub>), -C(=O)-cycloalkyl(R<sub>10</sub>),  
10 -C(=O)-heterocyclyl(R<sub>10</sub>), -C(=O)-aryl(R<sub>10</sub>), -C(=O)-heteroaryl(R<sub>10</sub>),  
-NHC(=O)-cycloalkyl(R<sub>10</sub>), -NHC(=O)-heterocyclyl(R<sub>10</sub>), -NHC(=O)-aryl(R<sub>10</sub>),  
-NHC(=O)-heteroaryl(R<sub>10</sub>), -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -CO<sub>2</sub>-aryl(R<sub>10</sub>),  
-C(=NH)-NH<sub>2</sub>, -SO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>),  
-SO<sub>2</sub>-N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -SO<sub>2</sub>-aryl(R<sub>10</sub>), -NHSO<sub>2</sub>-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -NHSO<sub>2</sub>-aryl(R<sub>10</sub>),  
15 -SH, -S-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -S-C<sub>1-8</sub>alkyl-S-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -S-C<sub>1-8</sub>alkyl-C<sub>1-8</sub>alkoxy(R<sub>9</sub>),  
-S-C<sub>1-8</sub>alkyl-NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -NH<sub>2</sub>, -NH-C<sub>1-8</sub>alkyl(R<sub>9</sub>), -N(C<sub>1-8</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, cyano,  
halo, hydroxy, nitro, oxo, -cycloalkyl(R<sub>10</sub>), -heterocyclyl(R<sub>10</sub>), -aryl(R<sub>10</sub>), and  
-heteroaryl(R<sub>10</sub>) when attached to a carbon atom;
- 20 R<sub>9</sub> is selected from the group consisting of hydrogen, -C<sub>1-8</sub>alkoxy, -NH<sub>2</sub>, -NH-C<sub>1-8</sub>alkyl,  
-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -C(=O)H, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-8</sub>alkyl, -C(=O)-N(C<sub>1-8</sub>alkyl)<sub>2</sub>,  
-CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-8</sub>alkyl, -SO<sub>2</sub>-C<sub>1-8</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-8</sub>alkyl,  
-SO<sub>2</sub>-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, cyano, (halo)<sub>1-3</sub>, hydroxy, nitro and oxo;
- 25 R<sub>10</sub> is one to four substituents independently selected from the group consisting of  
hydrogen, -C<sub>1-8</sub>alkyl, -C(=O)H, -C(=O)-C<sub>1-8</sub>alkyl, -C(=O)-NH<sub>2</sub>,  
-C(=O)-NH-C<sub>1-8</sub>alkyl, -C(=O)-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl,  
-SO<sub>2</sub>-C<sub>1-8</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-8</sub>alkyl and -SO<sub>2</sub>-N(C<sub>1-8</sub>alkyl)<sub>2</sub> when  
attached to a nitrogen atom; and, wherein R<sub>10</sub> is one to four substituents  
30 independently selected from the group consisting of hydrogen, -C<sub>1-8</sub>alkyl,  
-C<sub>1-8</sub>alkoxy, -C(=O)H, -C(=O)-C<sub>1-8</sub>alkyl, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-8</sub>alkyl,  
-C(=O)-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-C<sub>1-8</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>,

**PRD-0026 CIP**

-SO<sub>2</sub>-NH-C<sub>1-8</sub>alkyl, -SO<sub>2</sub>-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -NH<sub>2</sub>, -NH-C<sub>1-8</sub>alkyl, -N(C<sub>1-8</sub>alkyl)<sub>2</sub>, cyano, halo, hydroxy, nitro and oxo when attached to a carbon atom;

q is 0, 1, 2, or 3;

5

R<sub>2a</sub> is selected from the group consisting of -C<sub>1-8</sub>alkyl(R<sub>7</sub>)(R<sub>11</sub>), -C<sub>2-8</sub>alkenyl(R<sub>7</sub>)(R<sub>11</sub>), -C<sub>2-8</sub>alkynyl(R<sub>7</sub>)(R<sub>11</sub>), -cycloalkyl(R<sub>7</sub>)(R<sub>11</sub>), -heterocyclyl(R<sub>8</sub>)(R<sub>12</sub>), -aryl(R<sub>8</sub>)(R<sub>12</sub>) and -heteroaryl(R<sub>8</sub>)(R<sub>12</sub>);

10 R<sub>11</sub> is selected from the group consisting of -C<sub>1-8</sub>alkyl(R<sub>13</sub>),

-O-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -NH-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -S-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>),  
-O-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>),  
-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>),  
-O-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>), -NH-C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>),

15 -NH-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),

-O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -NH-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),

-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -O-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),

-NH-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),

-O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),

20 -OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),

-NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),

-SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),

-OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),

-NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),

25 -SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),

-OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),

-OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),

-OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),

-NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),

30 -NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),

-NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),

-SO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),

**PRD-0026 CIP**

- SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- C(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- 5 -OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- SO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>), and
- 10 -SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>);

- R<sub>12</sub> is selected from the group consisting of -C<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C<sub>1-8</sub>alkyl(R<sub>13</sub>),
- NH-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -S-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>O-C<sub>1-8</sub>alkyl(R<sub>13</sub>),
  - CH<sub>2</sub>NH-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>S-C<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>),
  - 15 -O-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>),
  - CH<sub>2</sub>O-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>),
  - C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>), -C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>),
  - O-C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>), -O-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>),
  - NH-C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>), -NH-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>),
  - 20 -CH<sub>2</sub>O-C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>O-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>),
  - CH<sub>2</sub>NH-C(=O)OC<sub>1-8</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>NH-C(=O)NHC<sub>1-8</sub>alkyl(R<sub>13</sub>),
  - C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),
  - NH-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -CH<sub>2</sub>O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),
  - CH<sub>2</sub>NH-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),
  - 25 -O-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -NH-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),
  - CH<sub>2</sub>O-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -CH<sub>2</sub>NH-C(=O)OC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),
  - C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),
  - NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -CH<sub>2</sub>O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),
  - CH<sub>2</sub>NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),
  - 30 -OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
  - NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
  - SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),

**PRD-0026 CIP**

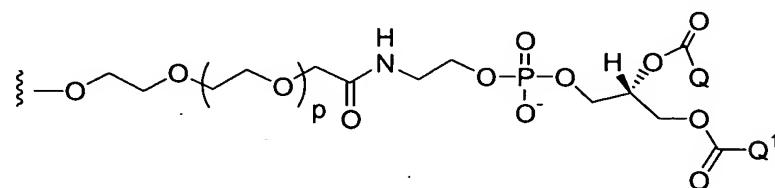
- OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- 5 -OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- 10 -SO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- 15 -CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- CH<sub>2</sub>SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- CH<sub>2</sub>OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- 20 -CH<sub>2</sub>OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- C(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- 25 -OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- 30 -NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- SO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),

**PRD-0026 CIP**

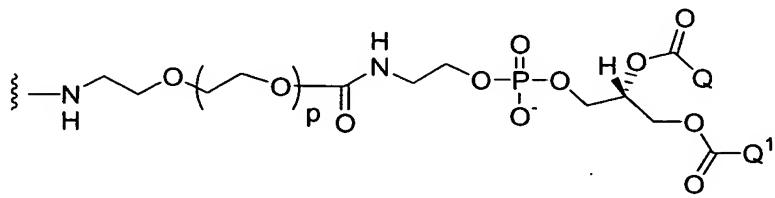
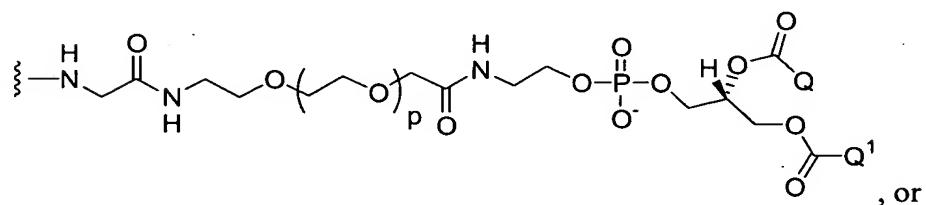
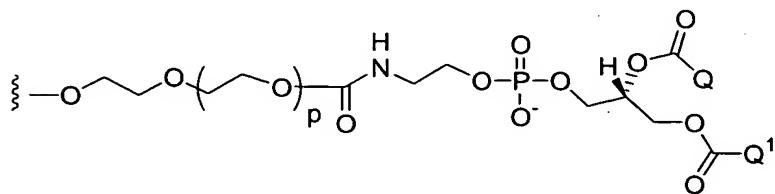
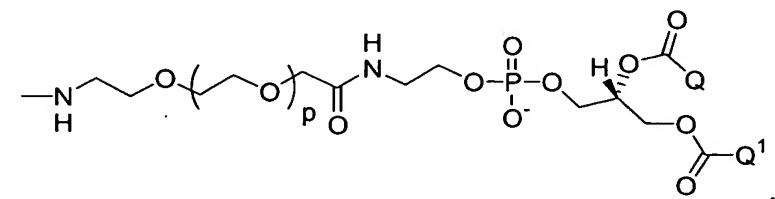
- CH<sub>2</sub>OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- CH<sub>2</sub>OC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- CH<sub>2</sub>OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- CH<sub>2</sub>NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),

5 -CH<sub>2</sub>NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>), and  
 -CH<sub>2</sub>NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>);

wherein when R<sub>11</sub> or R<sub>12</sub> terminates with a -C(=O)-, R<sub>13</sub> is selected from

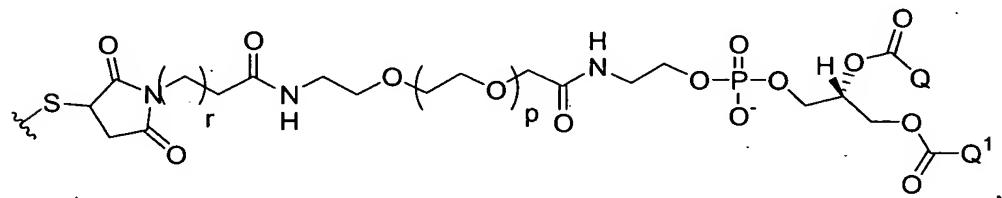
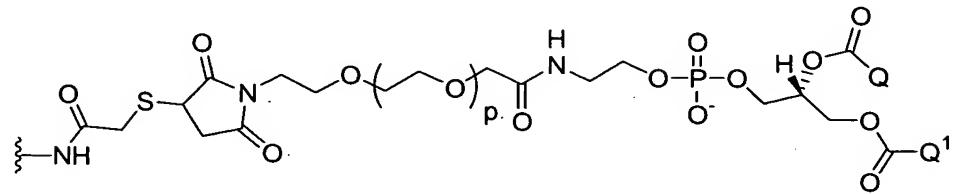
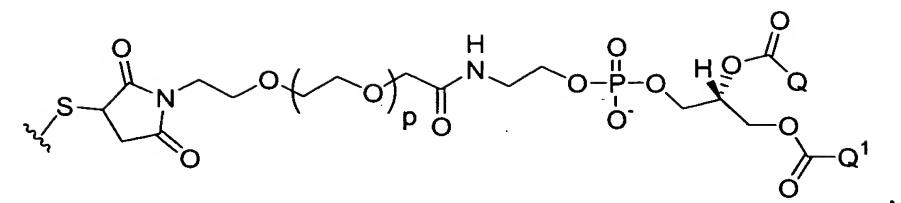


10

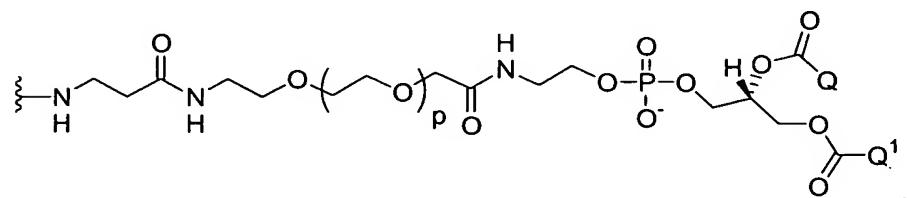
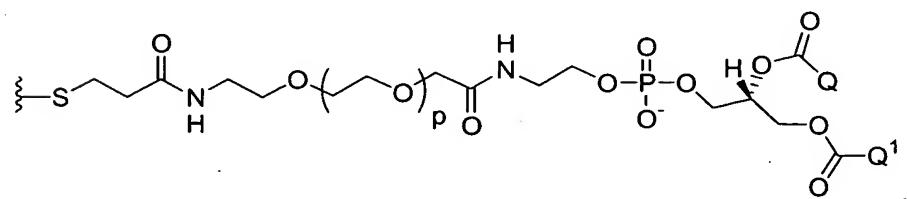
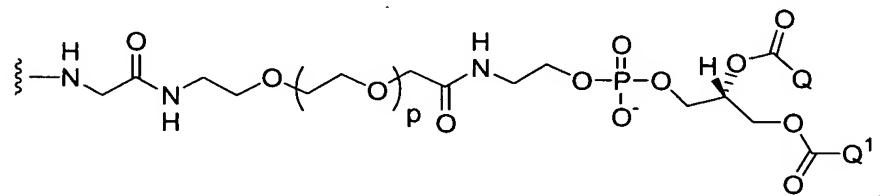
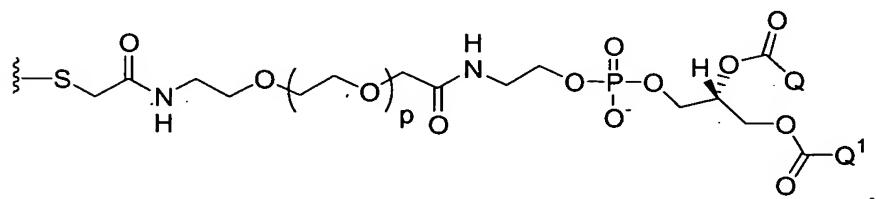


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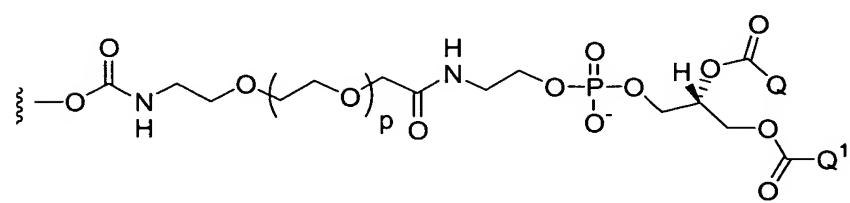
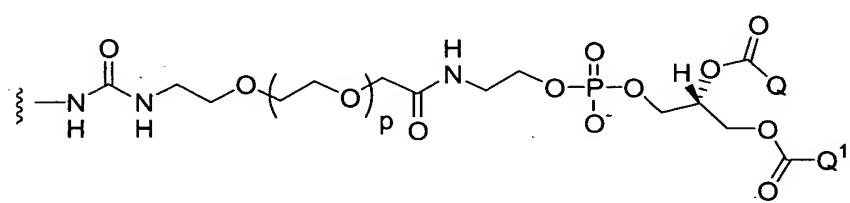
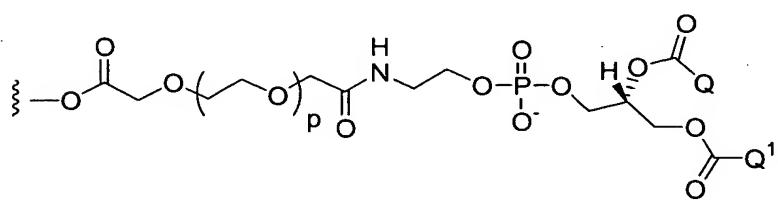
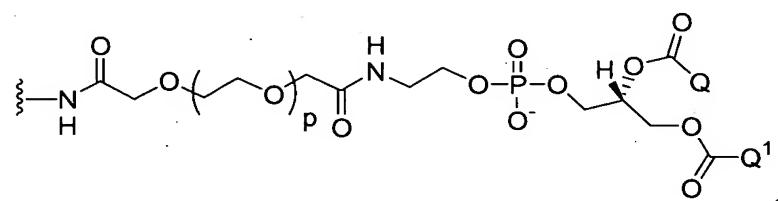
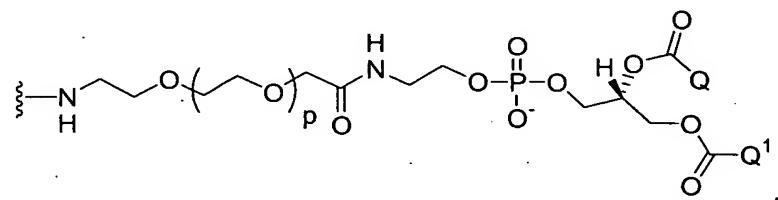
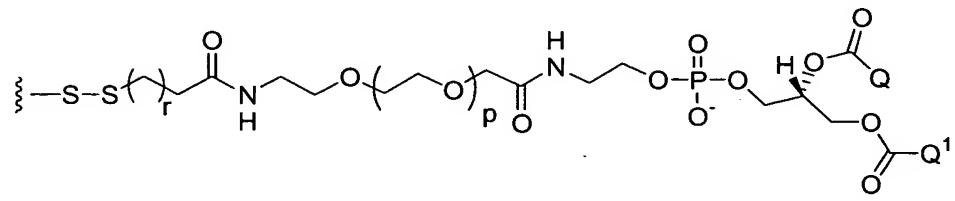
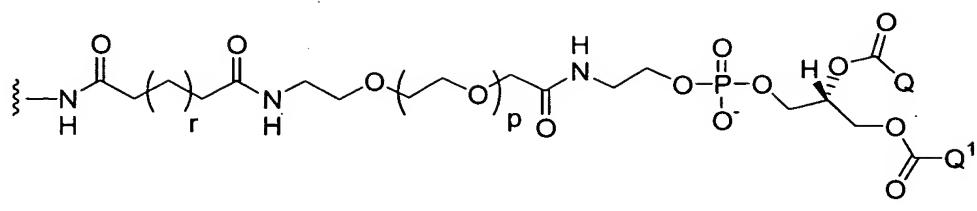
and when R<sub>11</sub> or R<sub>12</sub> does not terminate with a -C(=O)-, R<sub>13</sub> is selected from the group consisting of

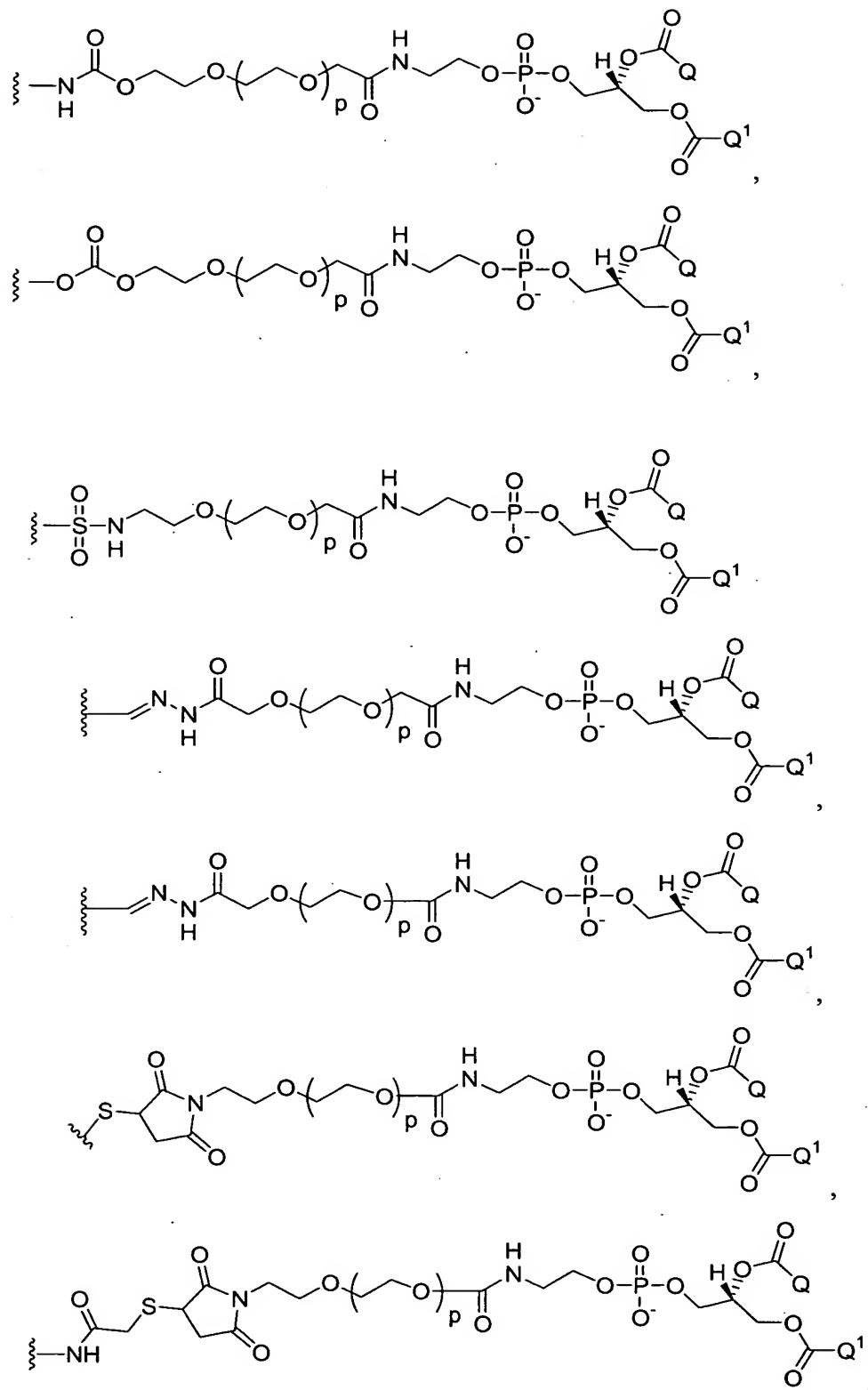


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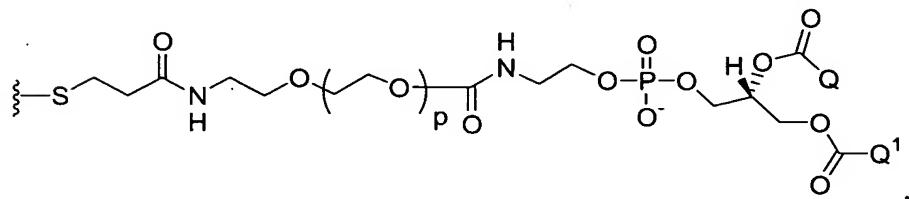
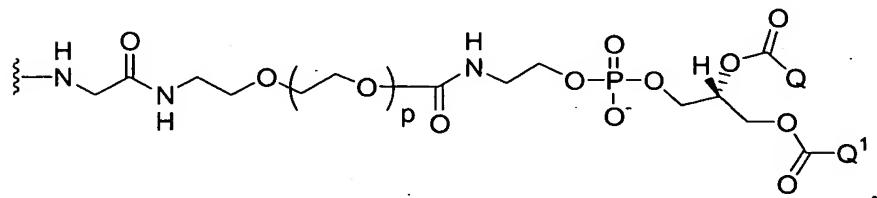
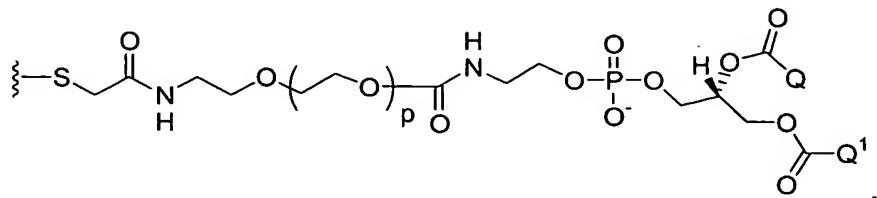
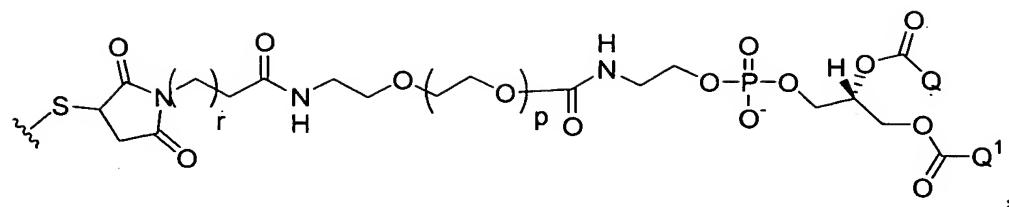


**PRD-0026 CIP**

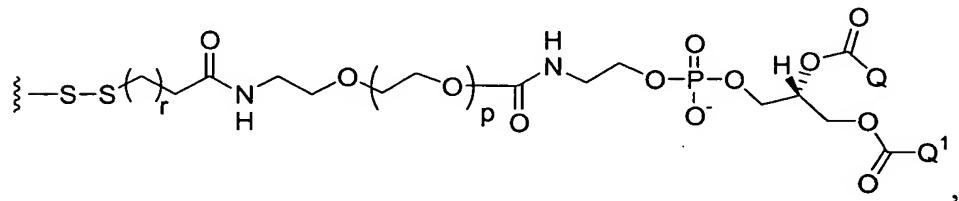
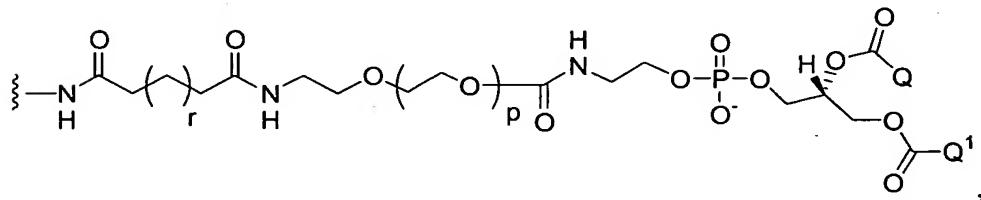
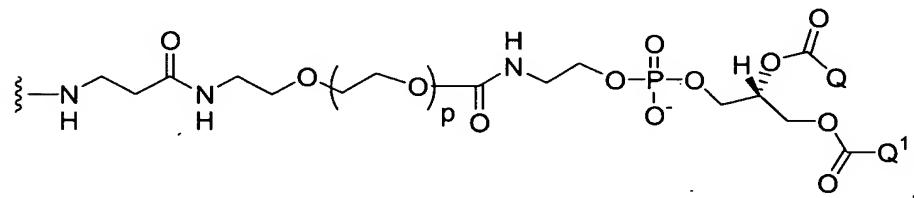


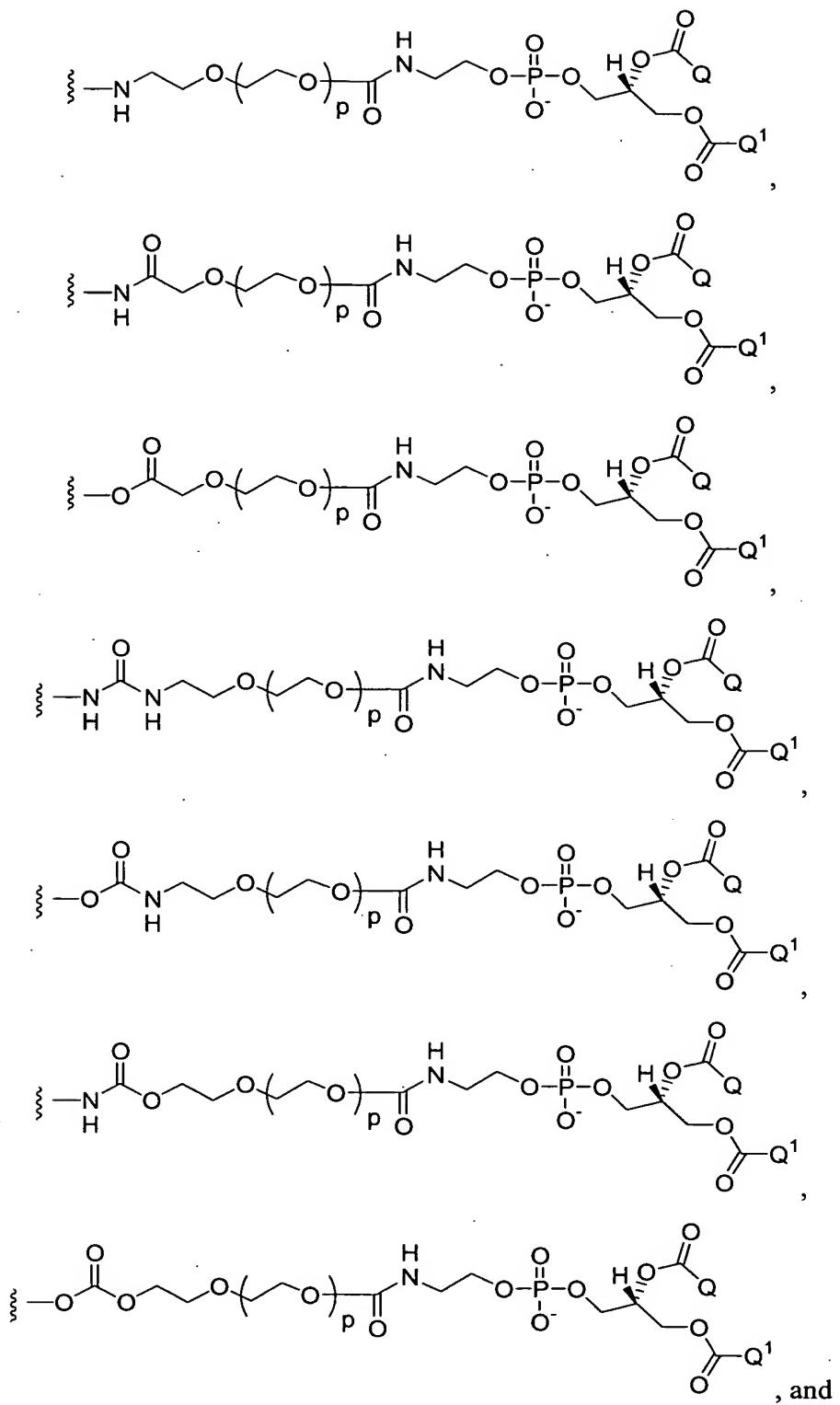


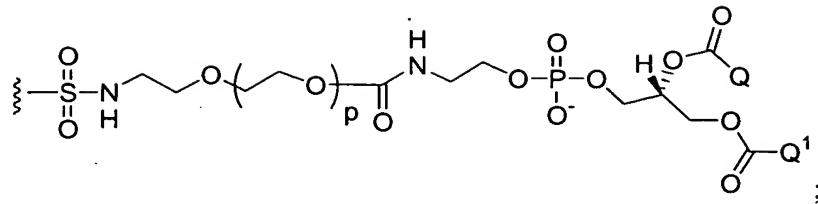
PRD-0026 CIP



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wherein the unit  $-O-(CH_2CH_2O)_p-$  or

5 of  $R_{12}$  and  $R_{13}$  is a polyethylene glycol (PEG) polymer ranging in molecular weight from 750 to 5000 daltons;

r is an integer from 0 to 8;

Q and Q¹ of substituents  $R_{12}$  and  $R_{13}$  are the same within a given compound and are

10 selected from the group consisting of  
the  $C_{11}$  saturated chain of lauric acid,  
the  $C_{13}$  saturated chain of myristoic acid,  
the  $C_{15}$  saturated chain of palmitoic acid,  
the  $C_{17}$  saturated chain of stearoic acid,  
15 the  $C_{17}$  mono-unsaturated chain of oleoic acid, and  
the  $C_{17}$  di-unsaturated chain of linoleic acid;

Z is selected from the group consisting of hydroxy,  $-NH_2$ ,  $-NH-C_{1-8}alkyl$ ,

$-N(C_{1-8}alkyl)_2$ ,  $-O-C_{1-8}alkyl$ ,  $-O-C_{1-8}alkyl-OH$ ,  $-O-C_{1-8}alkylC_{1-8}alkoxy$ ,

20  $O-C_{1-8}alkylcarbonylC_{1-8}alkyl$ ,  $-O-C_{1-8}alkyl-CO_2H$ ,  $-O-C_{1-8}alkyl-C(O)O-C_{1-8}alkyl$ ,  
 $-O-C_{1-8}alkyl-O-C(O)C_{1-8}alkyl$ ,  $-O-C_{1-8}alkyl-NH_2$ ,  $-O-C_{1-8}alkyl-NH-C_{1-8}alkyl$ ,  
 $O-C_{1-8}alkyl-N(C_{1-8}alkyl)_2$ ,  $-O-C_{1-8}alkylamide$ ,  $-O-C_{1-8}alkyl-C(O)-NH-C_{1-8}alkyl$ ,  
 $O-C_{1-8}alkyl-C(O)-N(C_{1-8}alkyl)_2$ , and  $-NHC(O)C_{1-8}alkyl$ ;

25 and pharmaceutically acceptable salts, racemic mixtures and enantiomers thereof.

80. The liposome of claim 79 wherein  $R_{12}$  is selected from the group consisting of -  
 $C_{1-6}alkyl(R_{13})$ ,  $-O-C_{1-6}alkyl(R_{13})$ ,

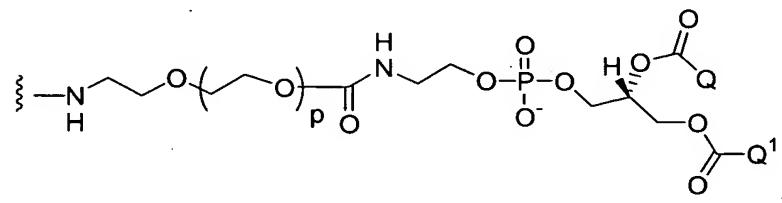
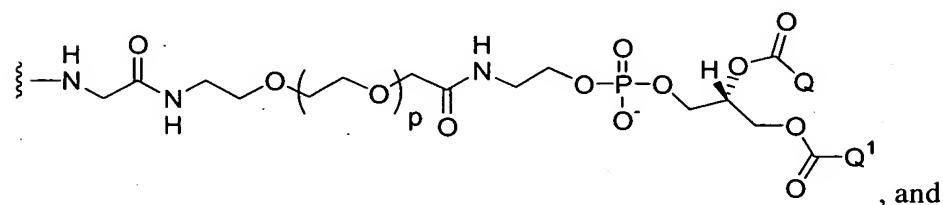
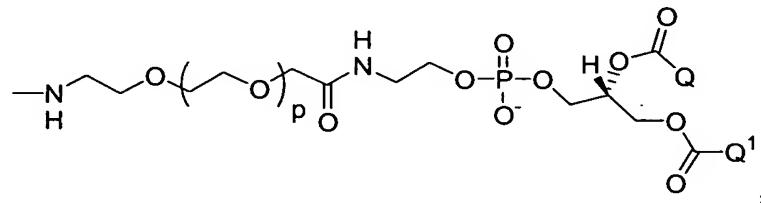
**PRD-0026 CIP**

-NH-C<sub>1-4</sub>alkyl(R<sub>13</sub>), -S-C<sub>1-6</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>O-C<sub>1-6</sub>alkyl(R<sub>13</sub>),  
-CH<sub>2</sub>NH-C<sub>1-6</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>S-C<sub>1-6</sub>alkyl(R<sub>13</sub>), -C(=O)C<sub>1-6</sub>alkyl(R<sub>13</sub>),  
-O-C(=O)C<sub>1-6</sub>alkyl(R<sub>13</sub>), -NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>),  
-CH<sub>2</sub>O-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>NH-C(=O)C<sub>1-6</sub>alkyl(R<sub>13</sub>),  
5 -C(=O)OC<sub>1-6</sub>alkyl(R<sub>13</sub>), -C(=O)NHC<sub>1-6</sub>alkyl(R<sub>13</sub>),  
-O-C(=O)OC<sub>1-6</sub>alkyl(R<sub>13</sub>), -O-C(=O)NHC<sub>1-6</sub>alkyl(R<sub>13</sub>),  
-NH-C(=O)OC<sub>1-6</sub>alkyl(R<sub>13</sub>), -NH-C(=O)NHC<sub>1-6</sub>alkyl(R<sub>13</sub>),  
-NH-C(=O)C<sub>1-6</sub>alkylC(=O)(R<sub>13</sub>), -CH<sub>2</sub>O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
-NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -CH<sub>2</sub>O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
10 -CH<sub>2</sub>NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
-OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
15 -NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
-OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
20 -SO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-CH<sub>2</sub>SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
25 -CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
-OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
-NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
-NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
-NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
30 -CH<sub>2</sub>OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
-CH<sub>2</sub>NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
-CH<sub>2</sub>NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>), and

**PRD-0026 CIP**

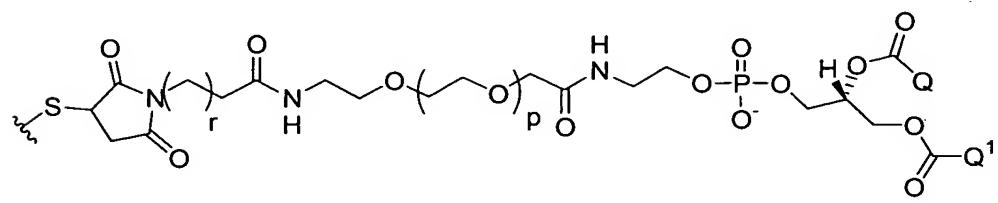
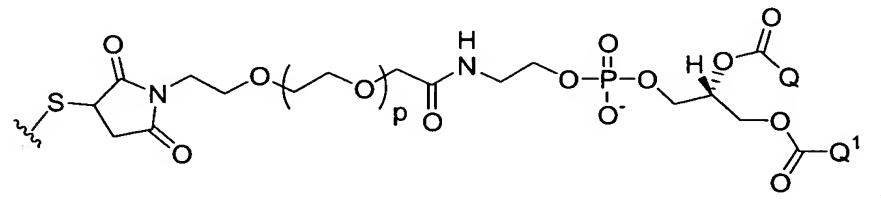
-CH<sub>2</sub>NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>);

wherein when R<sub>11</sub> or R<sub>12</sub> terminates with a -C(=O)-, R<sub>13</sub> is selected from the group consisting of

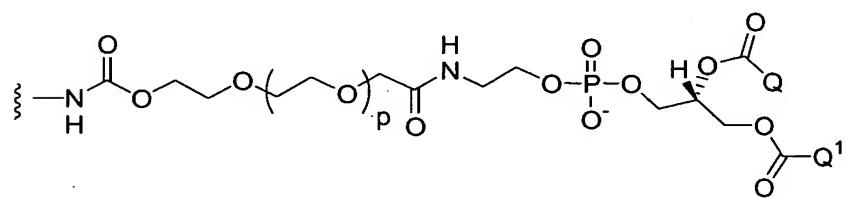
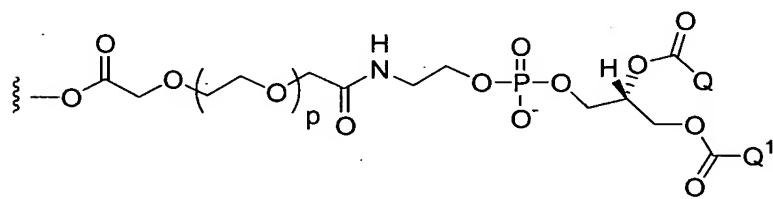
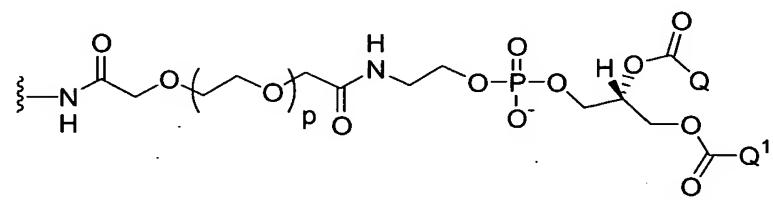
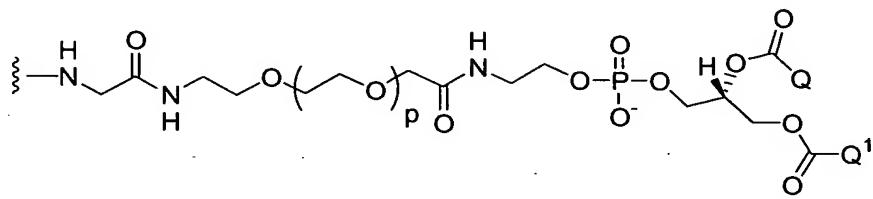
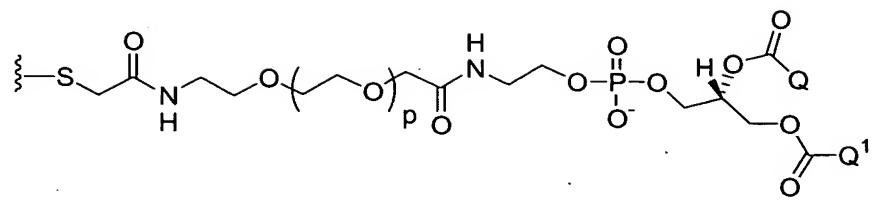


and when R<sub>11</sub> or R<sub>12</sub> does not terminate with a -C(=O)-, R<sub>13</sub> is selected from the group consisting of

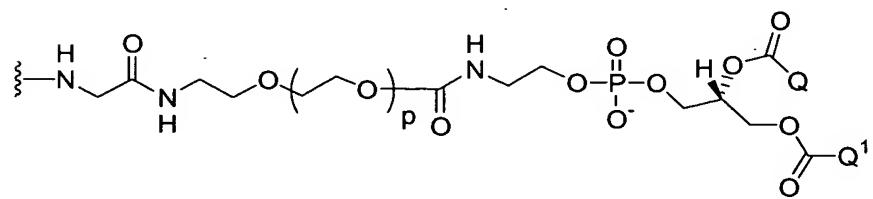
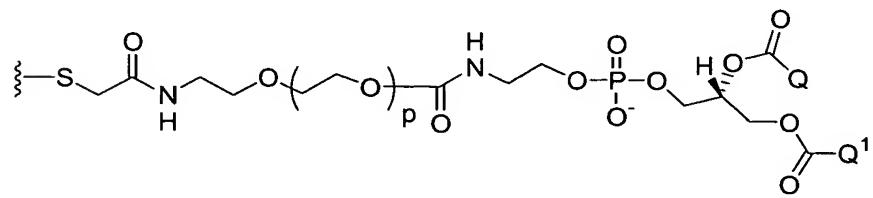
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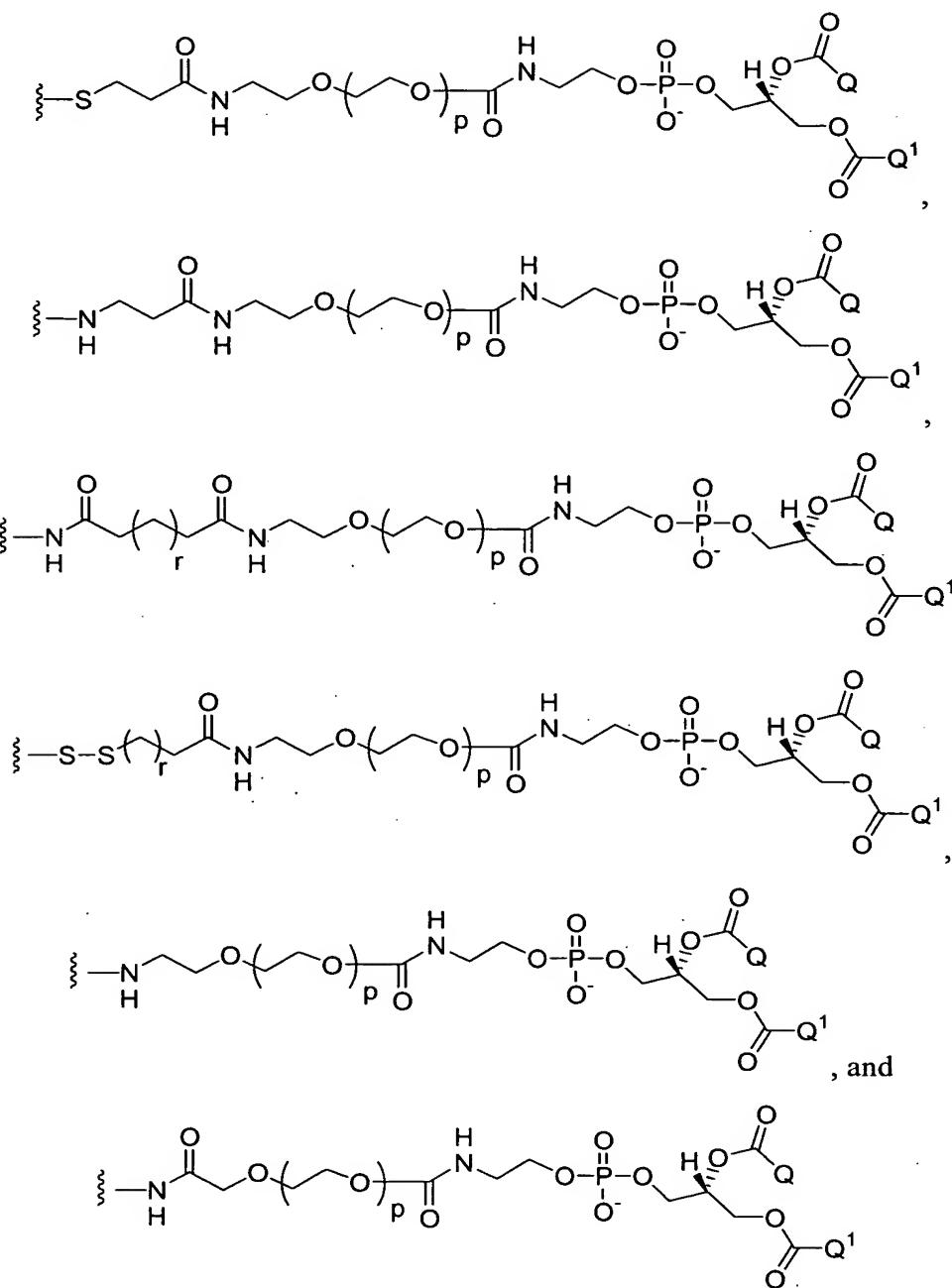


**PRD-0026 CIP**



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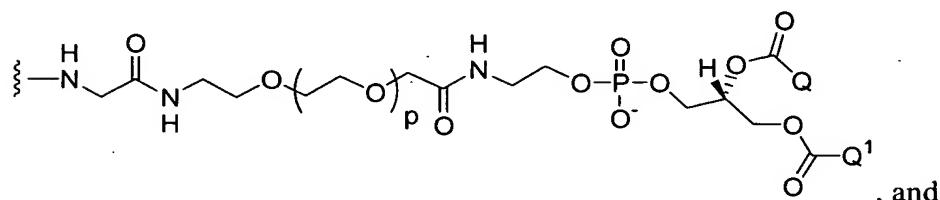
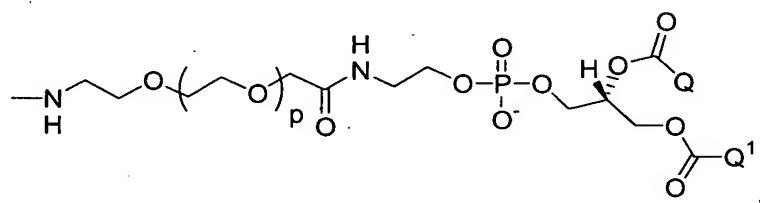


- 10        81. The liposome of claim 79 wherein R<sub>12</sub> is selected from the group consisting of - CH<sub>2</sub>O-C<sub>1-6</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>NH-C<sub>1-6</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>S-C<sub>1-6</sub>alkyl(R<sub>13</sub>), -NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>NH-C(=O)C<sub>1-6</sub>alkyl(R<sub>13</sub>), -NH-C(=O)NHC<sub>1-6</sub>alkyl(R<sub>13</sub>),

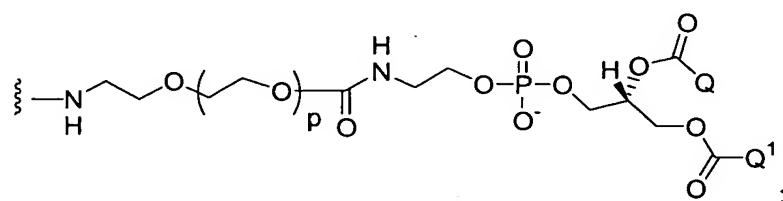
**PRD-0026 CIP**

- NH-C(=O)C<sub>1-6</sub>alkylC(=O)(R<sub>13</sub>),
- OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- 5 -NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- CH<sub>2</sub>SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),
- NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>), and
- 10 -CH<sub>2</sub>NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>).

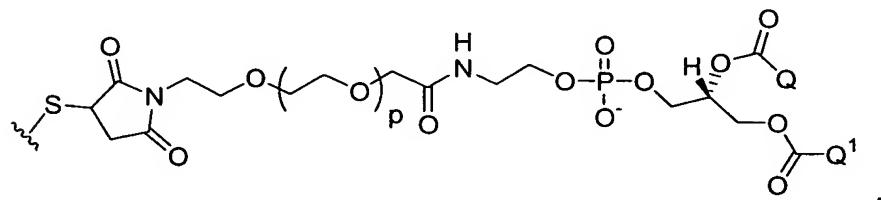
wherein when R<sub>11</sub> or R<sub>12</sub> terminates with a -C(=O)-, R<sub>13</sub> is selected from the group consisting of



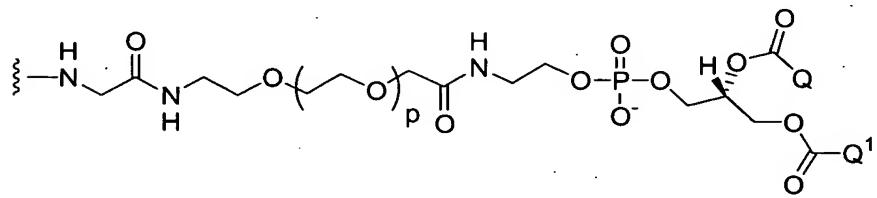
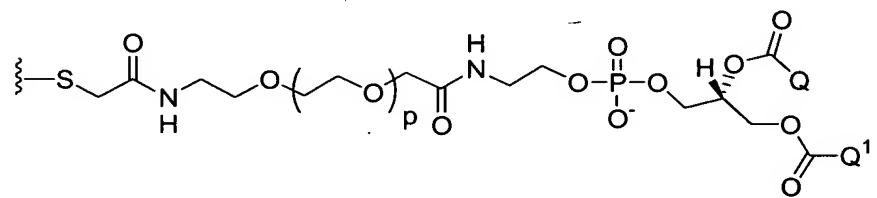
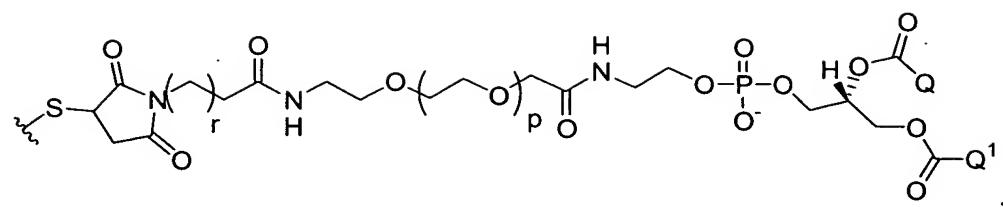
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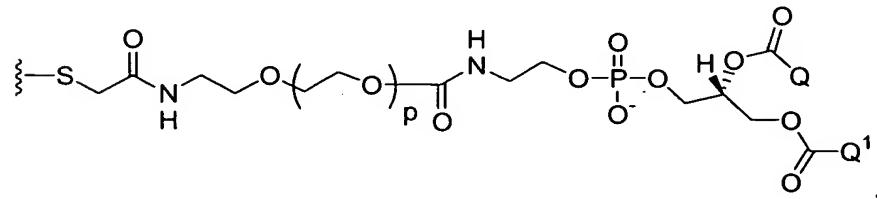
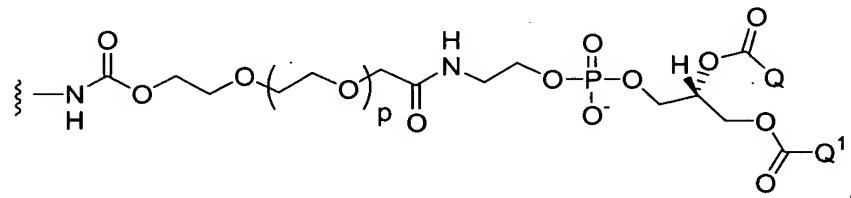
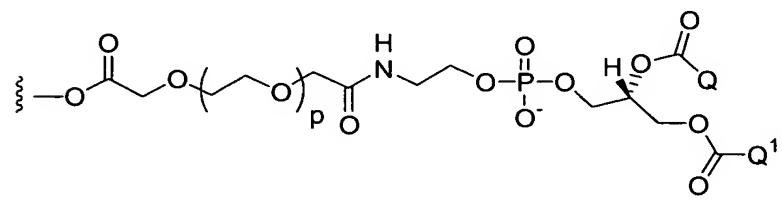
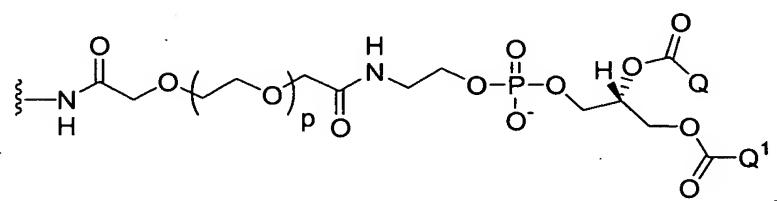
and when R<sub>11</sub> or R<sub>12</sub> does not terminate with a -C(=O)-, R<sub>13</sub> is selected from the group consisting of

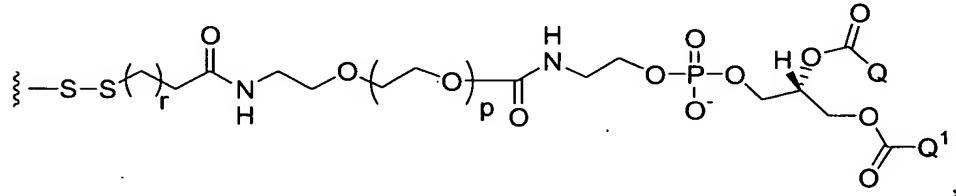
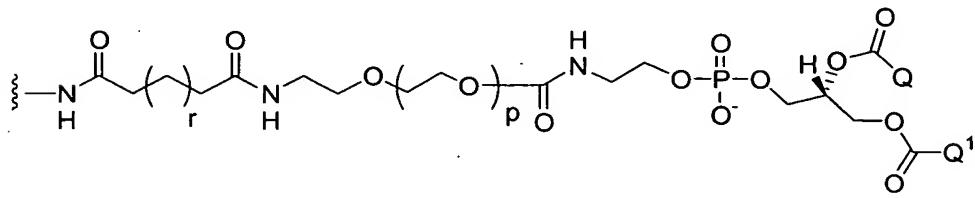
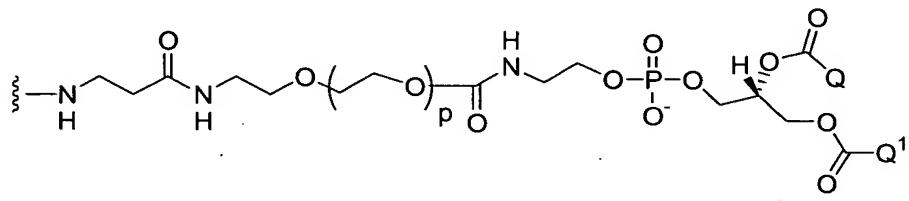
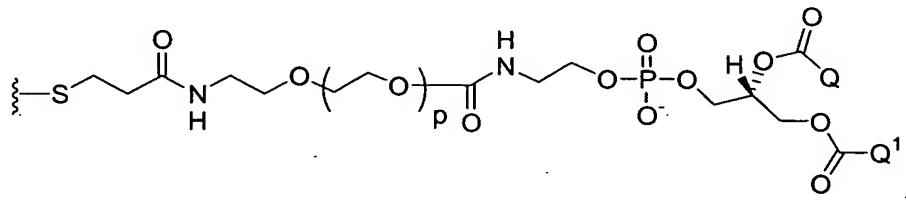
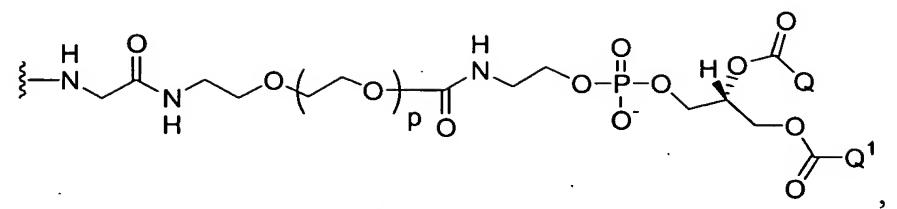


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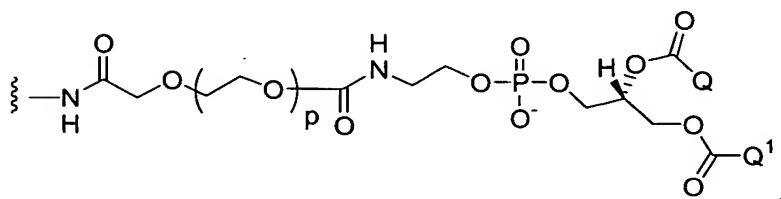
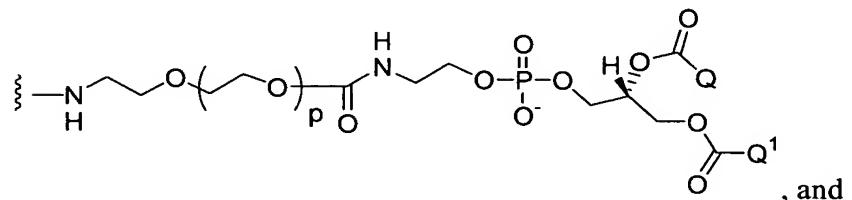


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82. The liposome of claim 79 wherein said  $\text{--O}(\text{--CH}_2\text{--CH}_2\text{O})_p\text{--}$  or  $\text{--O}(\text{--CH}_2\text{--CH}_2\text{O})_p$  of



**PRD-0026 CIP**

R<sub>12</sub> and R<sub>13</sub> is a polyethylene glycol (PEG) polymer ranging in molecular weight from 2000 to 5000 daltons.

83. The liposome of claim 79 wherein wherein Q and Q<sup>1</sup> of substituents  
5 R<sub>12</sub> and R<sub>13</sub> are the same within a given compound and are selected from the group consisting of the C<sub>15</sub> saturated chain of palmitoic acid, the C<sub>17</sub> saturated chain of stearoic acid, and the C<sub>17</sub> mono-unsaturated chain of oleoic acid.
- 10 84. The liposome of claim 79 wherein  
W is preferably is selected from the group consisting of -C<sub>0-4</sub>alkyl(R<sub>1</sub>), -C<sub>1-4</sub>alkyl(R<sub>1a</sub>), -C<sub>0-4</sub>alkyl-aryl(R<sub>1</sub>,R<sub>8</sub>), -C<sub>0-4</sub>alkyl-heterocyclyl(R<sub>1</sub>,R<sub>8</sub>), -C<sub>0-4</sub>alkoxy(R<sub>1</sub>), -C<sub>0-4</sub>alkoxy-aryl(R<sub>1</sub>,R<sub>8</sub>), and -C<sub>0-4</sub>alkoxy-heterocyclyl(R<sub>1</sub>,R<sub>8</sub>);
- 15 R<sub>1</sub> is -N(R<sub>4</sub>)(R<sub>6</sub>), -heterocyclyl(R<sub>8</sub>) or -heteroaryl(R<sub>8</sub>);  
R<sub>1a</sub> is -C(R<sub>4</sub>)(=N-R<sub>4</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>) or -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>;
- 20 R<sub>4</sub> is hydrogen or -C<sub>1-4</sub>alkyl(R<sub>7</sub>);  
R<sub>5</sub> is -C(=O)-R<sub>4</sub>, -C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -C(=O)-cycloalkyl(R<sub>8</sub>), -C(=O)-heterocyclyl(R<sub>8</sub>), -C(=O)-aryl(R<sub>8</sub>), -C(=O)-heteroaryl(R<sub>8</sub>), -C(=O)-N(R<sub>4</sub>)-cycloalkyl(R<sub>8</sub>), -C(=O)-N(R<sub>4</sub>)-aryl(R<sub>8</sub>), -CO<sub>2</sub>-R<sub>4</sub>, -CO<sub>2</sub>-cycloalkyl(R<sub>8</sub>), -CO<sub>2</sub>-aryl(R<sub>8</sub>), -C(R<sub>4</sub>)(=N-R<sub>4</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>, -N(R<sub>4</sub>)-C(R<sub>4</sub>)(=N-R<sub>4</sub>), -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>,

**PRD-0026 CIP**

-N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>, -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>),  
-N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>, -SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>), -SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>,  
-SO<sub>2</sub>-cycloalkyl(R<sub>8</sub>) or -SO<sub>2</sub>-aryl(R<sub>8</sub>);

5 R<sub>6</sub> is -heterocyclyl(R<sub>8</sub>) or -heteroaryl(R<sub>8</sub>);

R<sub>7</sub> is one to two substituents independently selected from hydrogen,

-C<sub>1-4</sub>alkoxy(R<sub>9</sub>), -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -C(=O)H,  
-C(=O)-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
10 -C(=O)-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -C(=O)-NH-aryl(R<sub>10</sub>), -C(=O)-cycloalkyl(R<sub>10</sub>),  
-C(=O)-heterocyclyl(R<sub>10</sub>), -C(=O)-aryl(R<sub>10</sub>), -C(=O)-heteroaryl(R<sub>10</sub>), -CO<sub>2</sub>H,  
-CO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -CO<sub>2</sub>-aryl(R<sub>10</sub>), -C(=NH)-NH<sub>2</sub>, -SH, -S-C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
-S-C<sub>1-4</sub>alkyl-S-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -S-C<sub>1-4</sub>alkyl-C<sub>1-4</sub>alkoxy(R<sub>9</sub>),  
-S-C<sub>1-4</sub>alkyl-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-NH<sub>2</sub>,  
15 -SO<sub>2</sub>-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -SO<sub>2</sub>-aryl(R<sub>10</sub>), cyano, (halo)<sub>1-3</sub>,  
hydroxy, nitro, oxo, -cycloalkyl(R<sub>10</sub>), -heterocyclyl(R<sub>10</sub>), -aryl(R<sub>10</sub>) or  
-heteroaryl(R<sub>10</sub>);

R<sub>8</sub> is one to four substituents independently selected from hydrogen,

20 -C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C(=O)H, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
-C(=O)-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>) or -SO<sub>2</sub>-NH<sub>2</sub> when  
attached to a nitrogen atom; and, wherein R<sub>8</sub> is one to four substituents  
independently selected from hydrogen, -C<sub>1-4</sub>alkyl(R<sub>9</sub>), -C<sub>1-4</sub>alkoxy(R<sub>9</sub>),  
-O-aryl(R<sub>10</sub>), -C(=O)H, -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
25 -C(=O)-N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, -CO<sub>2</sub>H, -CO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -SO<sub>2</sub>-NH<sub>2</sub>, -NH<sub>2</sub>,  
-NH-C<sub>1-4</sub>alkyl(R<sub>9</sub>), -N(C<sub>1-4</sub>alkyl(R<sub>9</sub>))<sub>2</sub>, cyano, halo, hydroxy, nitro or oxo when  
attached to a carbon atom;

R<sub>9</sub> is hydrogen, -C<sub>1-4</sub>alkoxy, -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl, -N(C<sub>1-4</sub>alkyl)<sub>2</sub>, -C(=O)H,

30 -C(=O)-NH<sub>2</sub>, -C(=O)-NH-C<sub>1-4</sub>alkyl, -C(=O)-N(C<sub>1-4</sub>alkyl)<sub>2</sub>, -CO<sub>2</sub>H,  
-CO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-C<sub>1-4</sub>alkyl, -SO<sub>2</sub>-NH<sub>2</sub>, -SO<sub>2</sub>-NH-C<sub>1-4</sub>alkyl,  
-SO<sub>2</sub>-N(C<sub>1-4</sub>alkyl)<sub>2</sub>, cyano, (halo)<sub>1-3</sub>, hydroxy, nitro or oxo;

$R_{10}$  is one to four substituents independently selected from hydrogen,  $-C_{1-4}alkyl$ ,  
 $-C(=O)H$ ,  $-C(=O)-C_{1-4}alkyl$ ,  $-C(=O)-NH_2$ ,  $-C(=O)-NH-C_{1-4}alkyl$ ,  
 $-C(=O)-N(C_{1-4}alkyl)_2$ ,  $-CO_2H$ ,  $-CO_2-C_{1-4}alkyl$ ,  $-SO_2-C_{1-4}alkyl$ ,  $-SO_2-NH_2$ ,

5           wherein  $R_{10}$  is one to four substituents independently selected from hydrogen,  
 $-C_{1-4}alkyl$ ,  $-C_{1-4}alkoxy$ ,  $-C(=O)H$ ,  $-C(=O)-C_{1-4}alkyl$ ,  $-C(=O)-NH_2$ ,  
 $-C(=O)-NH-C_{1-4}alkyl$ ,  $-C(=O)-N(C_{1-4}alkyl)_2$ ,  $-CO_2H$ ,  $-CO_2-C_{1-4}alkyl$ ,  
 $-SO_2-C_{1-4}alkyl$ ,  $-SO_2-NH_2$ ,  $-SO_2-NH-C_{1-4}alkyl$ ,  $-SO_2-N(C_{1-4}alkyl)_2$ ,  $-NH_2$ ,  
10            $-NH-C_{1-4}alkyl$ ,  $-N(C_{1-4}alkyl)_2$ , cyano, halo, hydroxy, nitro or oxo when attached  
              to a carbon atom;

$R_{2a}$  is  $-cycloalkyl(R_8)(R_{11})$ ,  $-heterocyclyl(R_8)(R_{12})$ ,  $-aryl(R_8)(R_{12})$  or  
 $-heteroaryl(R_8)(R_{12})$ ;

15           q is 1, 2 or 3.

$R_{11}$  is selected from the group consisting of  $-C_{1-8}alkyl(R_{13})$ ,  
 $-O-C_{1-8}alkyl(R_{13})$ ,  $-NH-C_{1-8}alkyl(R_{13})$ ,  
20            $-S-C_{1-8}alkyl(R_{13})$ ,  $-C(=O)C_{1-8}alkyl(R_{13})$ ,  $-O-C(=O)C_{1-8}alkyl(R_{13})$ ,  
 $-NH-C(=O)C_{1-8}alkyl(R_{13})$ ,  $-C(=O)OC_{1-8}alkyl(R_{13})$ ,  $-C(=O)NHC_{1-8}alkyl(R_{13})$ ,  
 $-O-C(=O)OC_{1-8}alkyl(R_{13})$ ,  $-O-C(=O)NHC_{1-8}alkyl(R_{13})$ ,  
 $-O-C(=O)C_{1-8}alkylC(=O)(R_{13})$ ,  $-NH-C(=O)C_{1-8}alkylC(=O)(R_{13})$ ,  
 $-C(=O)OC_{1-8}alkylC(=O)(R_{13})$ ,  $-O-C(=O)OC_{1-8}alkylC(=O)(R_{13})$ ,  
25            $-NH-C(=O)OC_{1-8}alkylC(=O)(R_{13})$ ,  $-C(=O)NHC_{1-8}alkylC(=O)(R_{13})$ ,  
 $-O-C(=O)NHC_{1-8}alkylC(=O)(R_{13})$ ,  $-NH-C(=O)NHC_{1-8}alkylC(=O)(R_{13})$ ,  
 $-SCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$ ,  
 $-NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$ ,  
 $-SO_2NHCH_2CH_2O(CH_2CH_2O)_rCH_2CH_2(R_{13})$ ,  
30            $-C(=O)CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$ ,  
 $-OC(=O)OCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$ ,  
 $-OC(=O)NHCH_2CH_2O(CH_2CH_2O)_rCH_2C(=O)(R_{13})$ ,

**PRD-0026 CIP**

-NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
and -SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>);

R<sub>12</sub> is selected from the group consisting of

- 5           -C<sub>1-6</sub>alkyl(R<sub>13</sub>), -O-C<sub>1-6</sub>alkyl(R<sub>13</sub>),  
-NH-C<sub>1-4</sub>alkyl(R<sub>13</sub>), -S-C<sub>1-6</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>O-C<sub>1-6</sub>alkyl(R<sub>13</sub>),  
-CH<sub>2</sub>NH-C<sub>1-6</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>S-C<sub>1-6</sub>alkyl(R<sub>13</sub>), -C(=O)C<sub>1-6</sub>alkyl(R<sub>13</sub>),  
-O-C(=O)C<sub>1-6</sub>alkyl(R<sub>13</sub>), -NH-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>),  
-CH<sub>2</sub>O-C(=O)C<sub>1-8</sub>alkyl(R<sub>13</sub>), -CH<sub>2</sub>NH-C(=O)C<sub>1-6</sub>alkyl(R<sub>13</sub>),  
10          -C(=O)OC<sub>1-6</sub>alkyl(R<sub>13</sub>), -C(=O)NHC<sub>1-6</sub>alkyl(R<sub>13</sub>),  
-O-C(=O)OC<sub>1-6</sub>alkyl(R<sub>13</sub>), -O-C(=O)NHC<sub>1-6</sub>alkyl(R<sub>13</sub>),  
-NH-C(=O)OC<sub>1-6</sub>alkyl(R<sub>13</sub>), -NH-C(=O)NHC<sub>1-6</sub>alkyl(R<sub>13</sub>),  
-NH-C(=O)C<sub>1-6</sub>alkylC(=O)(R<sub>13</sub>), -CH<sub>2</sub>O-C(=O)C<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
-NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>), -CH<sub>2</sub>O-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
15          -CH<sub>2</sub>NH-C(=O)NHC<sub>1-8</sub>alkylC(=O)(R<sub>13</sub>),  
-OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
20          -NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
-OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
25          -SO<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-SO<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
-CH<sub>2</sub>SCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>),  
30          -CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
-OC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),  
-NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),

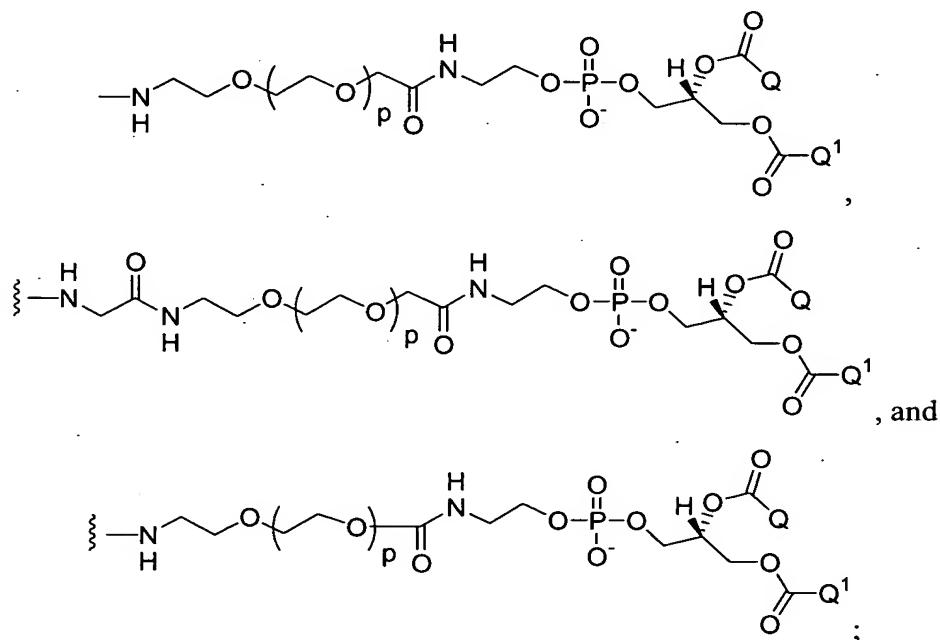
**PRD-0026 CIP**

- NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- CH<sub>2</sub>OC(=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- CH<sub>2</sub>NH(C=O)CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>),
- CH<sub>2</sub>NHC(=O)OCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>), and
- CH<sub>2</sub>NHC(=O)NHCH<sub>2</sub>CH<sub>2</sub>O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>r</sub>CH<sub>2</sub>C(=O)(R<sub>13</sub>);

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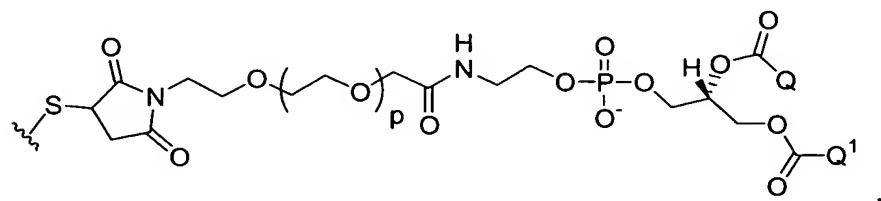
wherein when R<sub>11</sub> or R<sub>12</sub> terminates with a -C(=O)-, R<sub>13</sub> is selected from the group consisting of

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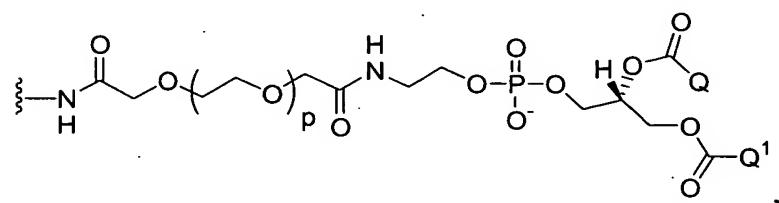
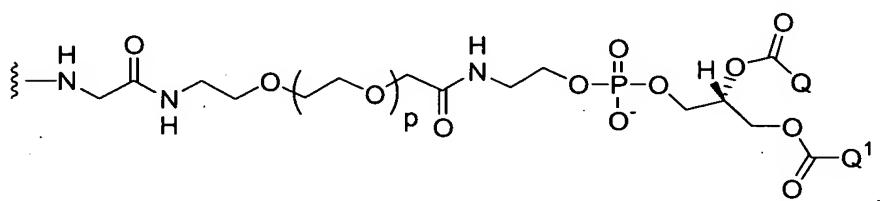
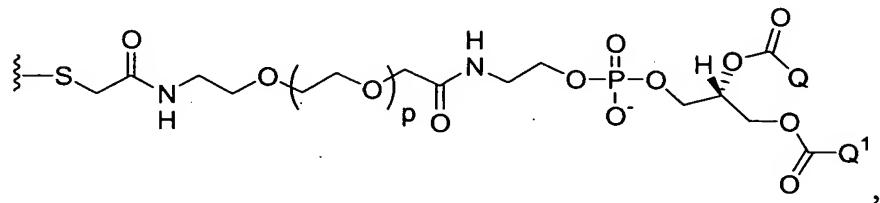
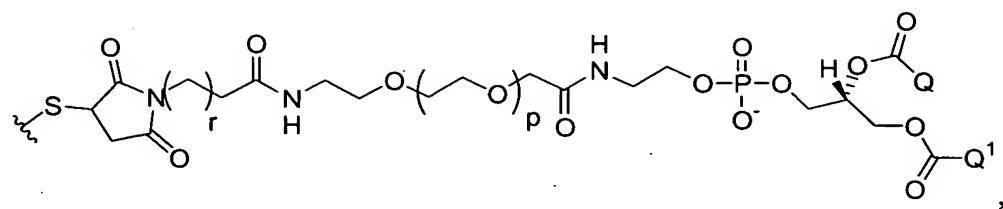


and when R<sub>11</sub> or R<sub>12</sub> does not terminate with a -C(=O)-, R<sub>13</sub> is selected from the group consisting of

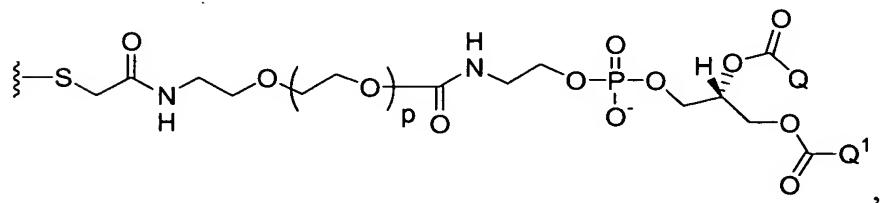
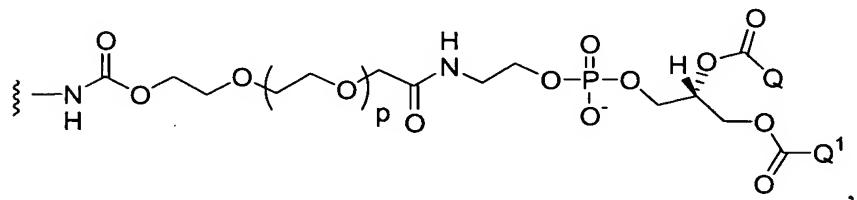
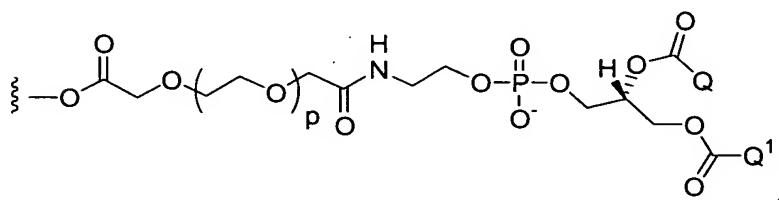
15



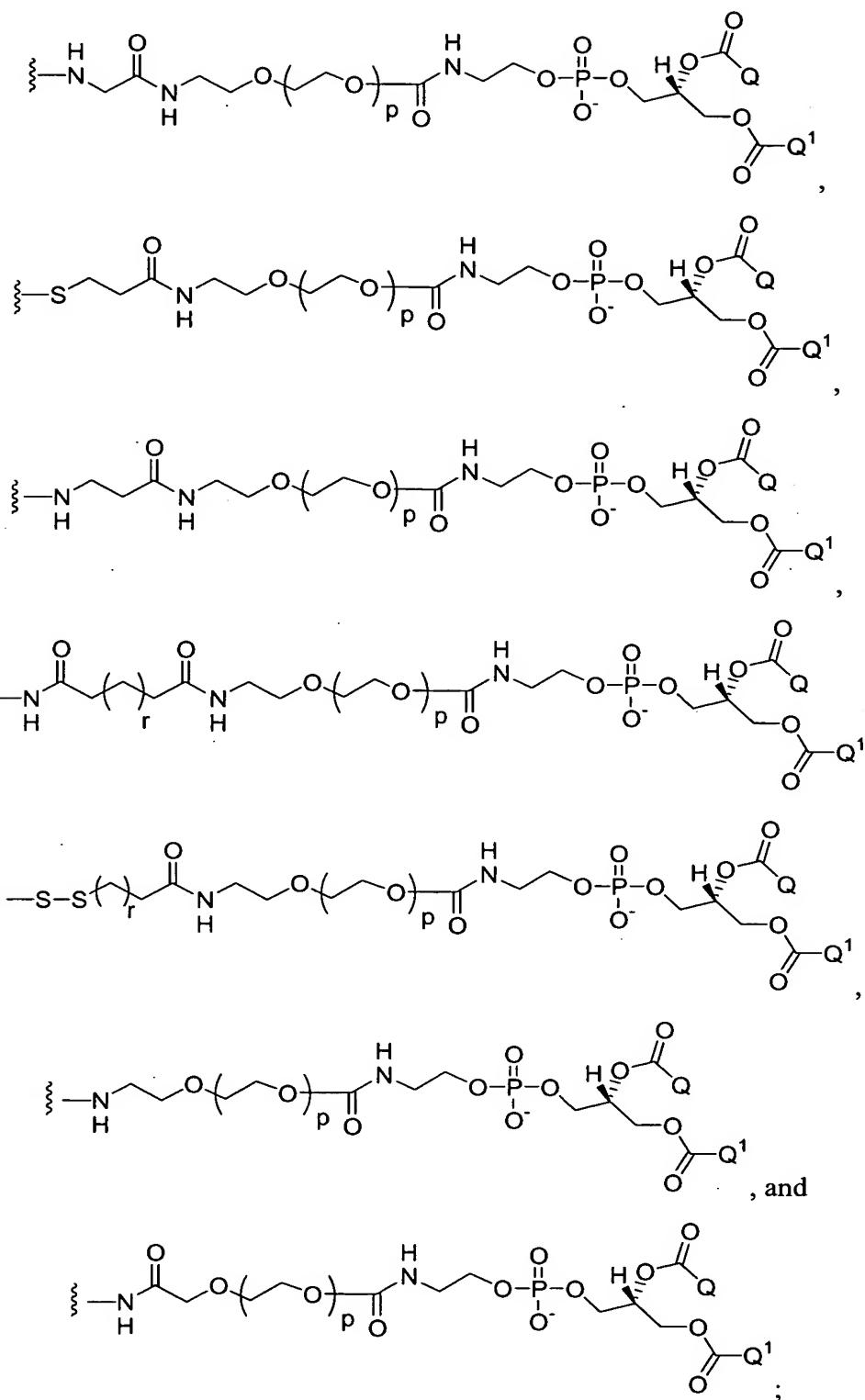
**PRD-0026 CIP**



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PRD-0026 CIP



—O()<sub>p</sub>

said —O-(CH<sub>2</sub>CH<sub>2</sub>O-)<sub>p</sub>- or —O()<sub>p</sub> of R<sub>12</sub> and R<sub>13</sub> is a polyethylene glycol (PEG) polymer ranging in molecular weight from 750 to 5000 daltons;

r is an integer from 0 to 8;

5

Q and Q<sup>1</sup> of substituents R<sub>12</sub> and R<sub>13</sub> are the same within a given compound and are selected from the group consisting of  
 the C<sub>11</sub> saturated chain of lauric acid,  
 the C<sub>15</sub> saturated chain of palmitoic acid,  
 10 the C<sub>17</sub> saturated chain of stearoic acid,  
 the C<sub>17</sub> mono-unsaturated chain of oleoic acid, and  
 the C<sub>17</sub> di-unsaturated chain of linoleic acid;

Z is selected from the group consisting of hydroxy, -NH<sub>2</sub>, -NH-C<sub>1-8</sub>alkyl,  
 15 -N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -O-C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-OH, -O-C<sub>1-8</sub>alkylC<sub>1-4</sub>alkoxy, -O-C<sub>1-8</sub>alkylcarbonylC<sub>1-4</sub>alkyl, -O-C<sub>1-8</sub>alkyl-CO<sub>2</sub>H, -O-C<sub>1-8</sub>alkyl-C(O)O-C<sub>1-6</sub>alkyl, -O-C<sub>1-8</sub>alkyl-O-C(O)C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-NH<sub>2</sub>, -O-C<sub>1-8</sub>alkyl-NH-C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-N(C<sub>1-8</sub>alkyl)<sub>2</sub>, -O-C<sub>1-8</sub>alkylamide -O-C<sub>1-8</sub>alkyl-C(O)-NH-C<sub>1-8</sub>alkyl, -O-C<sub>1-8</sub>alkyl-C(O)-N(C<sub>1-8</sub>alkyl)<sub>2</sub> and  
 20 -NHC(O)C<sub>1-8</sub>alkyl.

85. The liposome of claim 79 wherein

W is preferably -C<sub>0-4</sub>alkyl(R<sub>1</sub>) or -C<sub>0-4</sub>alkyl-phenyl(R<sub>1</sub>,R<sub>8</sub>);

25

R<sub>1</sub> is -N(R<sub>4</sub>)(R<sub>6</sub>), -tetrahydropyrimidinyl(R<sub>8</sub>) or  
 -tetrahydro-1,8-naphthyridinyl(R<sub>8</sub>);

30

R<sub>1a</sub> is -C(R<sub>4</sub>)(=N-R<sub>4</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>),  
 -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-R<sub>4</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-C(=O)-N(R<sub>4</sub>)<sub>2</sub>,  
 -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-CO<sub>2</sub>-R<sub>4</sub>, -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>) or

**PRD-0026 CIP**

-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)-SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>;

R<sub>4</sub> is hydrogen;

5 R<sub>5</sub> is -C(=O)-R<sub>4</sub>, -C(=O)-N(R<sub>4</sub>)<sub>2</sub>, -CO<sub>2</sub>-R<sub>4</sub>, -C(R<sub>4</sub>)(=N-R<sub>4</sub>), -C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>,  
-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -N(R<sub>4</sub>)-C(R<sub>4</sub>)(=N-R<sub>4</sub>), -N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)<sub>2</sub>,  
-N(R<sub>4</sub>)-C(=N-R<sub>4</sub>)-N(R<sub>4</sub>)(R<sub>6</sub>), -SO<sub>2</sub>-C<sub>1-4</sub>alkyl(R<sub>7</sub>) or -SO<sub>2</sub>-N(R<sub>4</sub>)<sub>2</sub>;

10 R<sub>6</sub> is -dihydroimidazolyl(R<sub>8</sub>), -tetrahydropyridinyl(R<sub>8</sub>),  
-tetrahydropyrimidinyl(R<sub>8</sub>) or -pyridinyl(R<sub>8</sub>);

R<sub>7</sub> is hydrogen;

15 R<sub>8</sub> is one to four substituents independently selected from hydrogen or  
-C<sub>1-4</sub>alkyl(R<sub>9</sub>) when attached to a nitrogen atom; and, wherein R<sub>8</sub> is one to four  
substituents independently selected from hydrogen, -C<sub>1-4</sub>alkyl(R<sub>9</sub>),  
-C<sub>1-4</sub>alkoxy(R<sub>9</sub>) -O-aryl(R<sub>10</sub>) or hydroxy when attached to a carbon atom;

20 R<sub>9</sub> is hydrogen, -C<sub>1-4</sub>alkoxy, -NH<sub>2</sub>, -NH-C<sub>1-4</sub>alkyl, -N(C<sub>1-4</sub>alkyl)<sub>2</sub>, (halo)<sub>1-3</sub> or  
hydroxy;

R<sub>10</sub> is hydrogen;

25 R<sub>2a</sub> is -tetrahydropyrimidinyl(R<sub>8</sub>)(R<sub>12</sub>) , -1,3-benzodioxolyl(R<sub>8</sub>)(R<sub>12</sub>),  
-dihydrobenzofuranyl(R<sub>8</sub>)(R<sub>12</sub>), -tetrahydroquinolinyl(R<sub>8</sub>)(R<sub>12</sub>),  
-phenyl(R<sub>8</sub>)(R<sub>12</sub>), -naphthalenyl(R<sub>8</sub>)(R<sub>12</sub>), -pyridinyl(R<sub>8</sub>)(R<sub>12</sub>),  
-pyrimidinyl(R<sub>8</sub>)(R<sub>12</sub>) or -quinolinyl(R<sub>8</sub>)(R<sub>12</sub>);

30 q is 1 or 2;

R<sub>12</sub> is selected from the group consisting of  
-CH<sub>2</sub>-O-(CH<sub>2</sub>)<sub>4</sub>(R<sub>13</sub>)-,

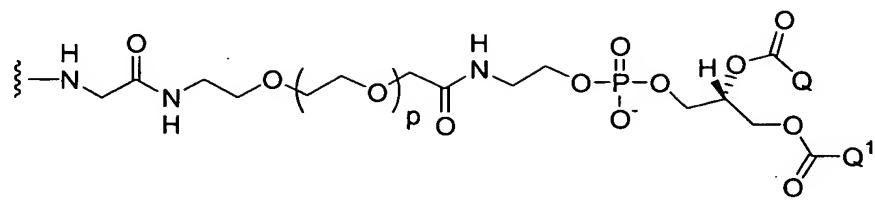
**PRD-0026 CIP**

- CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>4</sub>(R<sub>13</sub>)-,
- CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>4</sub>(R<sub>13</sub>)-,
- CH<sub>2</sub>-O-(CH<sub>2</sub>)<sub>6</sub>(R<sub>13</sub>)-,
- CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>6</sub>(R<sub>13</sub>)-,
- 5 -CH<sub>2</sub>-S-(CH<sub>2</sub>)<sub>6</sub>(R<sub>13</sub>)-,
- NH-C(=O)-(CH<sub>2</sub>)<sub>4</sub>(R<sub>13</sub>)-,
- NH-C(=O)-(CH<sub>2</sub>)<sub>7</sub>(R<sub>13</sub>)-,
- NH-C(=O)NH-(CH<sub>2</sub>)<sub>3</sub>(R<sub>13</sub>)-,
- NH-C(=O)NH-(CH<sub>2</sub>)<sub>6</sub>(R<sub>13</sub>)-,
- 10 -CH<sub>2</sub>NH-C(=O)NH-(CH<sub>2</sub>)<sub>2</sub>(R<sub>13</sub>)-,
- CH<sub>2</sub>NH-C(=O)NH-(CH<sub>2</sub>)<sub>5</sub>(R<sub>13</sub>)-,
- NHC(=O)-(CH<sub>2</sub>)<sub>2</sub>-C(=O)(R<sub>13</sub>)-,
- NHC(=O)-(CH<sub>2</sub>)<sub>3</sub>-C(=O)(R<sub>13</sub>)-,
- NHC(=O)-(CH<sub>2</sub>)<sub>4</sub>-C(=O)(R<sub>13</sub>)-,
- 15 -OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- NHCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- NHCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>C(=O)(R<sub>13</sub>)-,
- 20 -OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>C(=O)(R<sub>13</sub>)-,
- NHC(=O)CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- NHC(=O)CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- 25 -CH<sub>2</sub>SCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- CH<sub>2</sub>NHCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- CH<sub>2</sub>SCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>(R<sub>13</sub>)-,
- CH<sub>2</sub>NHC(=O)CH<sub>2</sub>OCH<sub>2</sub>C(=O)(R<sub>13</sub>)-, and
- 30 -NHC(=O)CH<sub>2</sub>OCH<sub>2</sub>C(=O)(R<sub>13</sub>)-;

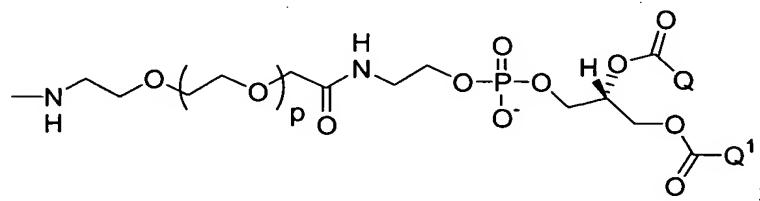
wherein when R<sub>11</sub> or R<sub>12</sub> terminates with a -C(=O)-, R<sub>13</sub> is selected from the

**PRD-0026 CIP**

group consisting of

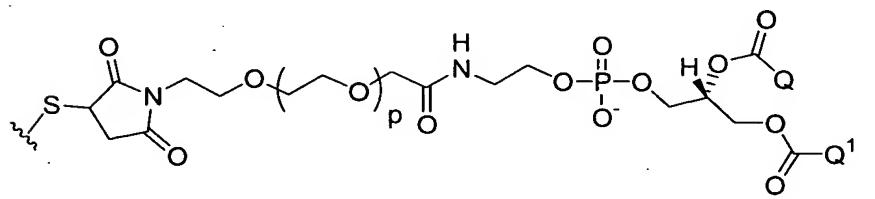


and

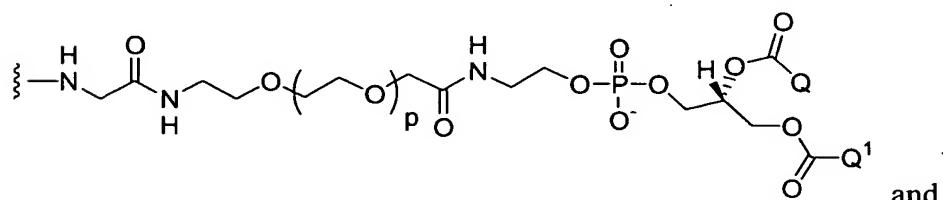
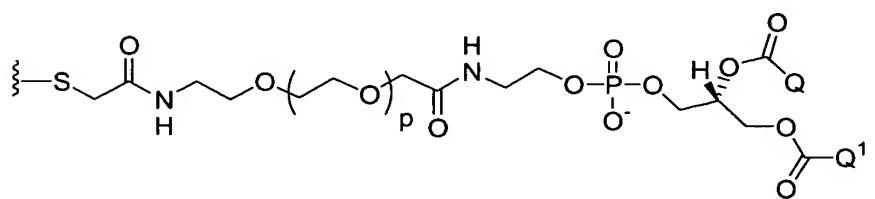
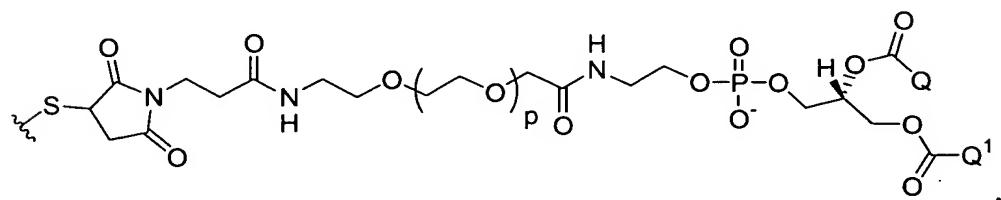


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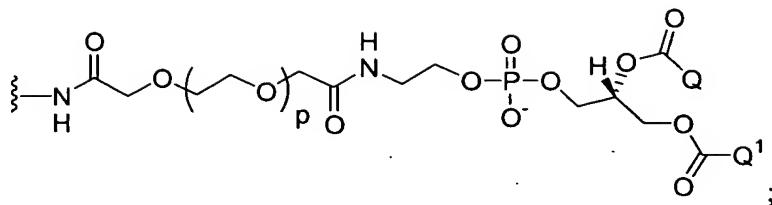
and when R<sub>11</sub> or R<sub>12</sub> does not terminate with a -C(=O)-, R<sub>13</sub> is selected from the group consisting of



10



, and



5 wherein said  $-O-(CH_2CH_2O)_p-$  or  $-O\left(\begin{array}{c} | \\ -O-CH_2-CH_2-O- \end{array}\right)_p$  of  $R_{12}$  and  $R_{13}$  is a polyethylene glycol (PEG) polymer selected from 2000 (PEG 2000), 3400 (PEG 3400), or 5000 (PEG 5000) Daltons;

r is an integer from 0 to 8;

10 Q and  $Q^1$  of substituents  $R_{12}$  and  $R_{13}$  are the same within a given compound and is the  $C_{17}$  saturated chain of stearoic acid;

15 Z is selected from the group consisting of hydroxy,  $-NH_2$ ,  $-NH-C_{1-8}alkyl$ ,  $-N(C_{1-8}alkyl)_2$ ,  $-O-C_{1-8}alkyl$ ,  $-O-C_{1-8}alkyl-OH$ ,  $-O-C_{1-8}alkyl-C_{1-4}alkoxy$ ,  $-O-C_{1-8}alkylcarbonylC_{1-4}alkyl$ ,  $-O-C_{1-8}alkyl-CO_2H$ ,  $-O-C_{1-8}alkyl-C(O)O-C_{1-6}alkyl$ ,  $-O-C_{1-8}alkyl-O-C(O)C_{1-8}alkyl$ ,  $-O-C_{1-8}alkyl-NH_2$ ,  $-O-C_{1-8}alkyl-NH-C_{1-8}alkyl$ ,  $-O-C_{1-8}alkyl-N(C_{1-8}alkyl)_2$ ,  $-O-C_{1-8}alkylamide$ ,  $-O-C_{1-8}alkyl-C(O)-NH-C_{1-8}alkyl$ ,  $-O-C_{1-8}alkyl-C(O)-N(C_{1-8}alkyl)_2$  and  $-NHC(O)C_{1-8}alkyl$ .

- 20 86. The therapeutic liposome composition of claim 78 wherein the therapeutic agent is selected from the group consisting of steroids, immunosuppressants, antihistamines, non-steroidal anti-asthamtics, non-steroidal anti-inflammatory agents, cyclooxygenase-2 inhibitors, cytotoxic agents, gene therapy agents, radiotherapy agents, and imaging agents.
- 25 87. The therapeutic liposome composition of claim 78 wherein the therapeutic agent is a cytotoxic drug.

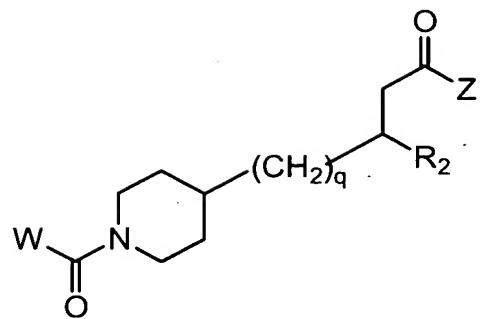
88. The therapeutic liposome composition of claim 87 wherein the cytotoxic drug is selected from the group consisting of anthracycline antibiotics, platinum compounds, topoisomerase 1 inhibitors, and vinca alkaloids.
- 5        89. The therapeutic liposome composition of claim 87 wherein the cytotoxic agent is selected from the group consisting of doxorubicin, daunorubicin, epirubicin, idarubicin, cisplatin, carboplatin, ormaplatin, oxaliplatin, zeniplatin, enloplatin, lobaplatin, spiroplatin, ((*-*)-(R)-2-aminomethylpyrrolidine (1,1-cyclobutane dicarboxylato)platinum), (SP-4-3(R)-1,1-cyclobutane-dicarboxylato(2-)-(2-methyl-1,4-butanediamine-N,N')platinum), nedaplatin, (bis-acetato-ammine-dichloro-cyclohexylamine-platinum(IV), topotecan, irinotecan, (7-(4-methylpiperazino-methylene)-10,11-ethylenedioxy-20(S)-camptothecin), 7-(2-(N-isopropylamino)ethyl)-(20S)-camptothecin, 9-aminocamptothecin, 9-nitrocamptothecin, vincristine, vinblastine, vinleurosine, vinrodisine, 15        vinorelbine, and vindesine.
90. The therapeutic liposome composition of claim 87 wherein the cytotoxic agent is selected from the group consisting of doxorubicin, daunorubicin, epirubicin, idarubicin, cisplatin, including salts.

20

**ABSTRACT OF THE INVENTION**

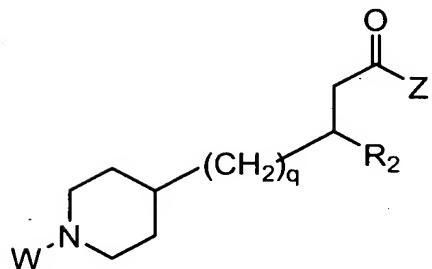
**ABSTRACT**

The present invention relates to the synthesis and biological application of  
25        piperidinoyl carboxylic acid integrin antagonists affinity moiety of  
IFormula (I):



Formula (I)

and Formula (II):



Formula (II)

- 5 These affinity moieties maybe used with imaging agents or liposomes to target cells that express the  $\alpha_v\beta_3$ ,  $\alpha_v\beta_5$ , or  $\alpha_v\beta_6$  integrin receptors.